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(54) Title: PHENYL-THIOPHENE TYPE VITAMIN D RECEPTOR MODULATORS

(57) Abstract: The present invention relates to novel, non-secosteroid, phenyl-thiophene compounds with vitamin D receptor (VDR) modulating activity that are less hypercalcemic than 1 α ,25 dihydroxy vitamin D₃. These compounds are useful for treating bone disease and psoriasis.

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PHENYL-THIOPHENE TYPE VITAMIN D RECEPTOR MODULATORS

5

CROSS REFERENCE TO RELATED APPLICATIONS

This patent application claims the benefit of priority under Title 35 United States Code, section 119(e), of Provisional Patent Application No. 60/384,151 filed May 29, 2002; the disclosure of which is incorporated herein by reference.

10

BACKGROUND OF THE INVENTION

Vitamin D₃ Receptor (VDR) is a ligand dependent transcription factor that belongs to the superfamily of nuclear hormone receptors. The VDR protein is 427 amino acids, with a molecular weight of ~50 kDa. The VDR ligand, 1 α ,25-dihydroxyvitamin D₃ (the hormonally active form of Vitamin D) has its action mediated by its interaction with the nuclear receptor known as Vitamin D receptor ("VDR"). The VDR ligand, 1 α ,25-dihydroxyvitamin D₃ (1 α ,25(OH)₂D₃) acts upon a wide variety of tissues and cells both related to and unrelated to calcium and phosphate homeostasis.

The activity of 1 α ,25-dihydroxyvitamin D₃ (1 α ,25(OH)₂D₃) in various systems suggests wide clinical applications. However, use of conventional VDR ligands is hampered by their associated toxicity, namely hypercalcemia (elevated serum calcium). Currently, 1 α ,25(OH)₂D₃, marketed as Rocaltrol® pharmaceutical agent (product of Hoffmann-La Roche), is administered to kidney failure patients undergoing chronic kidney dialysis to treat hypocalcemia and the resultant metabolic bone disease. Other therapeutic agents, such as Calcipotriol® (synthetic analog of 1 α ,25(OH)₂D₃) show increased separation of binding affinity on VDR from hypercalcemic activity.

Recently, chemical modifications of 1 α ,25(OH)₂D₃ have yielded analogs with attenuated calcium mobilization effects (R. Bouillon et. al., Endocrine Rev. 1995, 16, 200-257). One such analog, Dovonex® pharmaceutical agent (product of Bristol-Meyers Squibb Co.), is currently used in Europe and the United States as a topical treatment for mild to moderate psoriasis (K. Kragballe et. al., Br. J. Dermatol. 1988, 119, 223-230).

Other vitamin D₃ mimics have been described in the publication, Vitamin D Analogs: Mechanism of Action of Therapeutic Applications, by Nagpal, S.; Lu, J.; Boehm, M. F., Curr. Med. Chem. 2001, 8, 1661-1679.

Although some degree of separation between the beneficial action and calcium raising (calcemic) effects has been achieved with these VDR ligands, to date the separation has been insufficient to allow for oral administration to treat conditions such as osteoporosis, cancers, leukemias, and severe psoriasis.

One example of a major class of disorder that could benefit from VDR mediated biological efficacy in the absence of hypercalcemia is osteoporosis. Osteoporosis is a systemic disorder characterized by decreased bone mass and microarchitectural deterioration of bone tissue leading to bone fragility and increased susceptibility to fractures of the hip, spine, and wrist (World Health Organization WHO 1994). Osteoporosis affects an estimated 75 million people in the United States, Europe, and Japan.

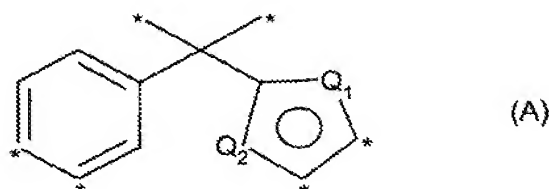
Within the past few years, several antiresorptive therapies have been introduced. These include bisphosphonates, hormone replacement therapy (HRT), a selective estrogen receptor modulator (SERM), and calcitonins. These treatments reduce bone resorption, bone formation, and increase bone density. However, none of these treatments increase true bone volume nor can they restore lost bone architecture.

Synthetic vitamin D receptor (VDR) ligands with reduced calcemic potential have been synthesized. For example, a class of bis-phenyl compounds stated to mimic 1 α , 25-dihydroxyvitamin D₃ is described in US Patent No. 6,218,430 and the article; "Novel nonsecosteroidal vitamin D mimics exert VDR-modulating activities with less calcium mobilization than 1 α , 25-Dihydroxyvitamin D₃" by Marcus F. Boehm, et. al., Chemistry & Biology 1999, Vol 6, No. 5, pgs. 265-275.

There remains a need for improved treatments using alternative or improved pharmaceutical agents that mimic 1 α , 25-dihydroxyvitamin D₃ to stimulate bone formation, restore bone quality, and treat other diseases without the attendant disadvantage of hypercalcemia.

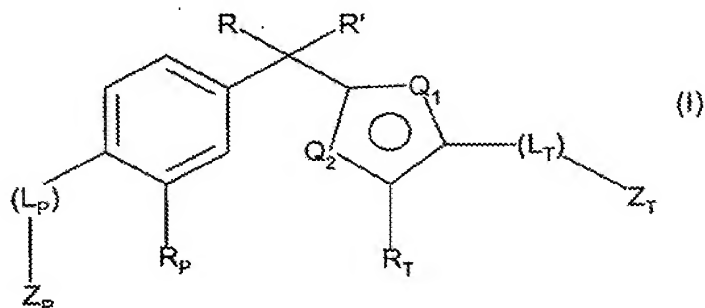
SUMMARY OF THE INVENTION

Novel compounds having a nucleus of formula "(A)" have been found effective as Vitamin D Receptor (VDR) modulators:



where one of the pair of ring atoms (Q_1, Q_2) is sulfur and the other is carbon and each asterisk mark ("*") is a point of substitution. Compounds of the present invention with VDR modulating activities are represented by formula (I)

10 formula I:



wherein the variables $R, R', Q_1, Q_2, R_p, R_t, L_t, L_p, Z_t$, and Z_p are as hereinafter defined. The inventors have discovered that compounds described herein display the desirable cell differentiation and antiproliferative effects of $1,25(OH)_2D_3$ with reduced calcium mobilization (calcemic) effects.

15

In another aspect, the present invention is directed towards pharmaceutical compositions containing pharmaceutically effective amounts of compounds of formulae I or a pharmaceutically acceptable salt or prodrug thereof, either singly or in combination, together with pharmaceutically acceptable carriers and/or auxiliary agents.

20 Another aspect of the invention are novel chemical intermediates suitable for preparing the compounds of Formula I.

Another aspect of the invention is to use the compounds of the invention to treat

or prevent disease states responsive to Vitamin D receptor ligands.

Another aspect of the invention is the prevention and treatment of abscess, acne, adhesion, actinic keratosis, alopecia, Alzheimer's disease, autoimmune induced diabetes, bone fracture healing, breast cancer, Crohn's disease, colon cancer, Type I diabetes, host-graft rejection, hypercalcemia, Type II diabetes, leukemia, multiple sclerosis, insufficient sebum secretion, osteomalacia, osteoporosis, insufficient dermal firmness, insufficient dermal hydration, myelodysplastic syndrome, psoriatic arthritis, prostate cancer, psoriasis, renal osteodystrophy, rheumatoid arthritis, scleroderma, seborrheic dermatitis, skin cancer, systemic lupus erythematosus, ulcerative colitis and wrinkles; by administering to a mammal in need thereof a pharmaceutically effective amount of a compound of Formula I.

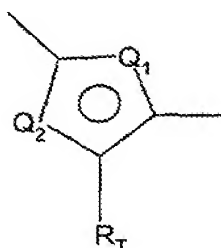
Another aspect of the invention is the use of the compounds of Formula I for treating or preventing disease states mediated by the Vitamin D receptor.

DETAILED DESCRIPTION OF THE INVENTION

I. Definitions:

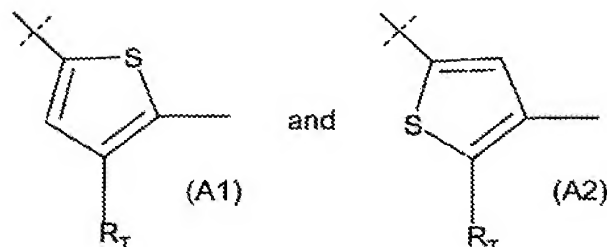
In accordance with the present invention and as used herein, the following terms are defined to have the following meanings, unless explicitly stated otherwise:

The structural formula:



is a substructure of Formula I and represents alternative thiophene substructures, namely;

-5-



dependent on whether Q1 is sulfur when Q2 is carbon (A1) or Q1 is carbon when Q2 is sulfur (A2).

The term "alkenyl" refers to aliphatic groups wherein the point of attachment is a carbon-carbon double bond, for example vinyl, 1-propenyl, and 1-cyclohexenyl. Alkenyl groups may be straight-chain, branched-chain, cyclic, or combinations thereof, and may be optionally substituted. Suitable alkenyl groups have from 2 to about 20 carbon atoms.

The term "alkoxy" refers to -OR wherein R is an aliphatic or aromatic group which may be optionally substituted. Methoxy, ethoxy, propoxy, butoxy, and phenoxy are examples of alkoxy groups.

The term "alkyl" refers to saturated aliphatic groups including straight-chain, branched-chain, cyclic and any combinations thereof. Alkyl groups may further be divided into "primary", "secondary", and "tertiary" alkyl groups. In primary alkyl groups, the carbon atom of attachment is substituted with zero (methyl) or one organic radical. In secondary alkyl groups, the carbon atom of attachment is substituted with two organic radicals. In tertiary alkyl groups, the carbon atom of attachment is substituted with three organic radicals.

The term "cycloalkyl" includes organic radicals such as cyclopropenyl, cyclobutenyl, and cyclopentyl.

The term, "cycloalkenyl" includes organic radicals such as cyclopropenyl, cyclobutenyl, cyclopentenyl, and cyclohexenyl.

The term, "terminal hydroxyalkyl" is a group selected from 3-methyl-3-hydroxypentyl; 3-ethyl-3-hydroxypentyl; 3-ethyl-3-hydroxy-4-methylpentyl; 3-ethyl-3-hydroxy-4,4-dimethylpentyl; 3-methyl-3-hydroxy-4,4-dimethylpentyl; 1-hydroxycycloalkenyl; and 1-hydroxycycloalkyl.

The term, "C₁-C₅ fluoroalkyl" is an alkyl group containing fluorine and includes organic radicals such as -CF₃, -CHF₂, -CH₂F, -CF₂CF₃, -CHF₂CF₃, -CH₂CF₃,

-CH₂CHF₂, and -CH₂CH₂F, with -CF₃ being preferred.

The term, "Active Ingredient" refers to a compound of the invention represented by any of (i) formulae I, II, III, IV, (ii) the product of any example set out herein, or (iii) a compound identified in any row of Tables 1, 2, 3, or 4; or a salt or prodrug derivative of the preceding compound.

The abbreviation, "Me" means methyl.

The abbreviation, "Et" means ethyl.

The abbreviation, "iPr" means 1-methylethyl.

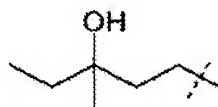
The abbreviation, "tBu" means 1,1-dimethylethyl.

The symbol "-(CH₂)₂- is equivalent to -CH₂-CH₂-.

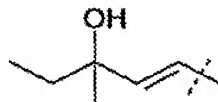
The symbol, "*" in a structural formula identifies a chiral center (except in formula "A" where it symbolizes substitution).

The univalent symbol "-O" in any structural formula is a hydroxyl group (-OH).

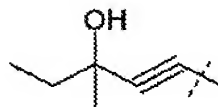
The term, "3-methyl-3-hydroxypentyl" refers to the radical having the structural formula:



The term, "3-methyl-3-hydroxypentenyl" refers to the radical having the structural formula:

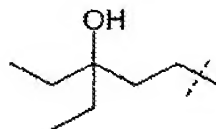


The term, "3-methyl-3-hydroxypentynyl" refers to the radical having the structural formula:

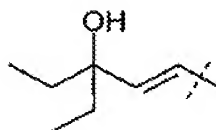


The term, "3-ethyl-3-hydroxypentyl" refers to the radical having the structural formula:

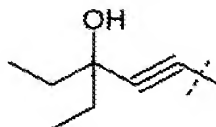
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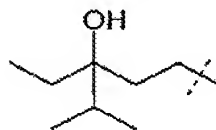
The term, "3-ethyl-3-hydroxypentenyl" refers to the radical having the structural formula:



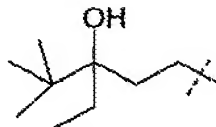
5 The term, "3-ethyl-3-hydroxypentynyl" refers to the radical having the structural formula:



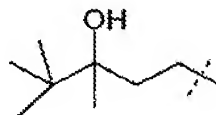
10 The term, "3-ethyl-3-hydroxy-4-methylpentyl" refers to the radical having the structural formula:



The term, "3-ethyl-3-hydroxy-4,4-dimethylpentyl" refers to the radical having the structural formula:



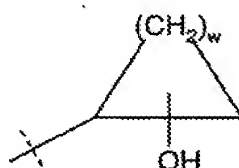
15 The term, "3-methyl-3-hydroxy-4,4-dimethylpentyl" refers to the radical having the structural formula:



The term, "1-hydroxycycloalkenyl" refers to a radical selected from
1-hydroxycyclopentenyl, 1-hydroxycyclohexenyl,

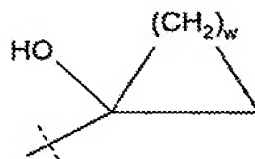
5 1-hydroxycycloheptenyl, or 1-hydroxycyclooctenyl.

The term "hydroxycycloalkyl" refers to a radical having the general structural
formula:



10 where w is an integer from 1 to 6 and the hydroxyl radical is substituted on any ring carbon atom.

The term "1-hydroxycycloalkyl" refers to a radical having the general structural
formula:



15

Examples of 1-hydroxycycloalkyl radicals are

1-hydroxycyclopropyl, 1-hydroxycyclobutyl, 1-hydroxycyclopentyl,

1-hydroxycyclohexyl, 1-hydroxycycloheptyl, and 1-hydroxycyclooctyl.

The abbreviation, "Me" means methyl.

20 The abbreviation, "Et" means ethyl.

The abbreviation, "iPr" means 1-methylethyl.

The abbreviation, "tBu" means 1,1-dimethylethyl.

The abbreviation, "3Me3OH-Pentyl" means 3-methyl-3-hydroxypentyl.

The abbreviation, "3Me3OH-Pentenyl" means 3-methyl-3-hydroxypentenyl

25 The abbreviation, "3Me3OH-Pentynyl" means 3-methyl-3-hydroxypentynyl

The abbreviation, "3Et3OH-Pentyl" means 3-ethyl-3-hydroxypentyl.

The abbreviation, "3Et3OH-Pentenyl" means 3-ethyl-3-hydroxypentenyl

The abbreviation, "3Et3OH-Pentynyl" means 3-ethyl-3-hydroxypentynyl

The abbreviation, "3Et3OH4Me-Pentyl" means 3-ethyl-3-hydroxy-4-methylpentyl.

5 The abbreviation, "3Et3OH44DiMe-Pentyl" means 3-ethyl-3-hydroxy-4,4-dimethylpentyl.

The abbreviation, "3Me3OH44DiMe-Pentyl" means 3-methyl-3-hydroxy-4,4-dimethylpentyl.

The term "C₁-C₅ alkyl" is an alkyl substituent selected from the group consisting of: methyl; ethyl; propyl; 1-methylethyl; 1-methylpropyl; 2-methylpropyl; 1,1-dimethylethyl; 1,1-dimethylpropyl; 1,2-dimethylpropyl; and 2,2-dimethylpropyl. The
10 preferred groups are 2-methylpropyl and 1,1-dimethylethyl, with the 1,1-dimethylethyl group being most preferred.

The symbol "-(C₁-C₅ alkyl)₂" when included as part of a substituent group means two independently selected C₁-C₅ alkyl groups, for example, the generic formula:

15 $-(C_1-C_5 \text{ alkyl})-NH-(C_1-C_5 \text{ alkyl})_2$

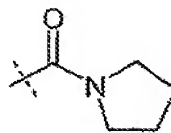
would be descriptive of species including;

$-(C_1-C_5 \text{ alkyl})-NH-(CH_3)_2$ or $-(C_1-C_5 \text{ alkyl})-NH-(CH_3)(C_2H_5)$

The term "amide" refers to derivatives of acids wherein one or more hydroxyl groups is replaced with a amino groups. The amino groups are optionally substituted with
20 one or two organic radicals which may be aliphatic or aromatic. Amides may be cyclic. The term "carboxamide" refers to an amide of a carboxylic acid. The term "aminocarbonyl" refers to carboxamide radicals wherein the point of attachment is the carbonyl carbon. The term "acylamido" refers to carboxamide radicals wherein the point of attachment is the nitrogen atom.

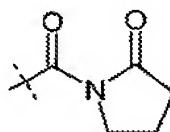
25 The term, "amine", includes primary, secondary and tertiary amines having respectively one, two, or three organic groups that are attached to the nitrogen atom.

The symbol, "-C(O)-N-pyrrolidine" refers to the radical represented by the formula:

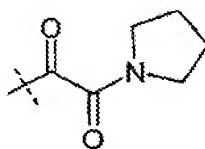


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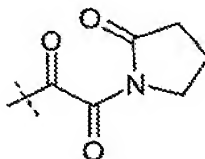
The symbol, "-C(O)-N-pyrrolidin-2-one" refers to the radical represented by the formula:



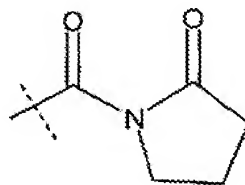
5 The symbol, "-C(O)-C(O)-N-pyrrolidine" refers to the radical represented by the formula:



The symbol, "-C(O)-C(O)-N-pyrrolidin-2-one" refers to the radical represented by the formula:



10 The symbol, "-CH₂-C(O)-N-pyrrolidin-2-one" is the organic radical represented by the structural formula:

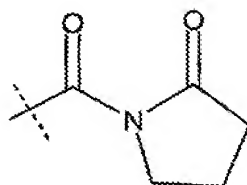


The dotted line symbol crossing a solid line representing a bond

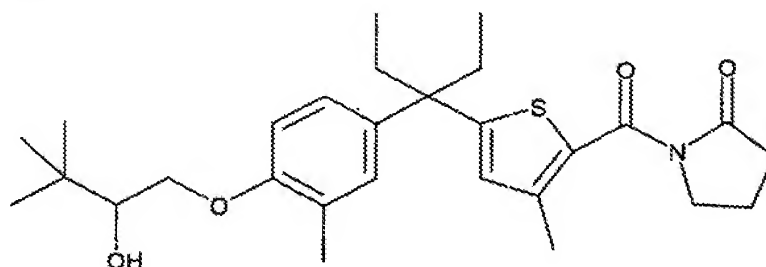


15 means that the bond so marked is the bond attached to the nucleus of formula "(A)" of the parent molecule or to a divalent linking group that is attached to the nucleus of the parent molecule. For example, the group;

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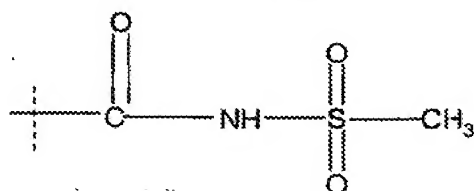


is attached to a parent aryl-thiophene nucleus to provide a compound of the invention as shown;



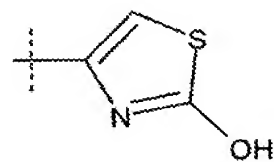
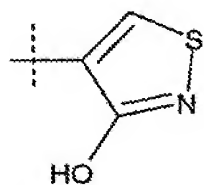
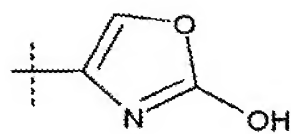
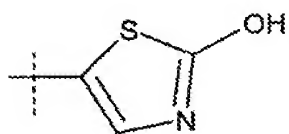
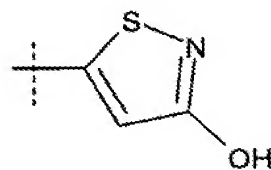
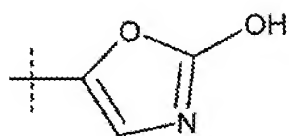
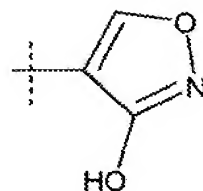
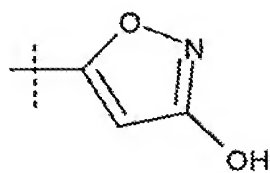
- 5 The term, "(Acidic Group)" means an organic group that acts as a proton donor capable of hydrogen bonding. Illustrative of an (Acidic Group) is a group selected from the following:

-C(O)OH,
-5-tetrazolyl,

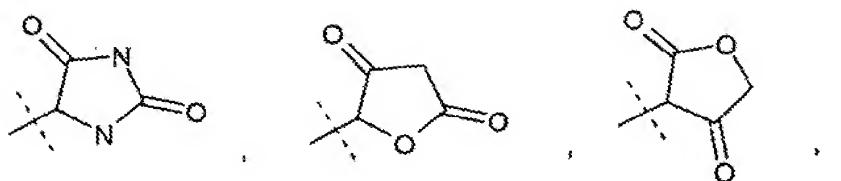
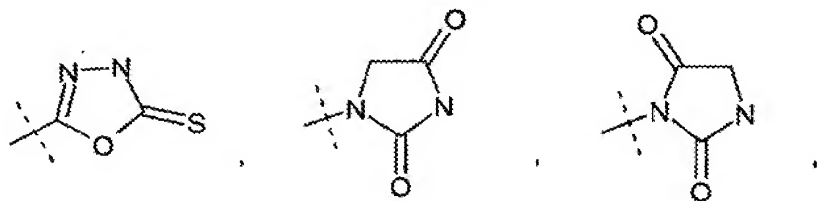
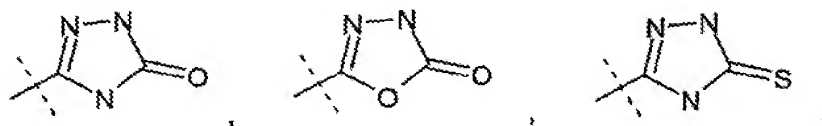
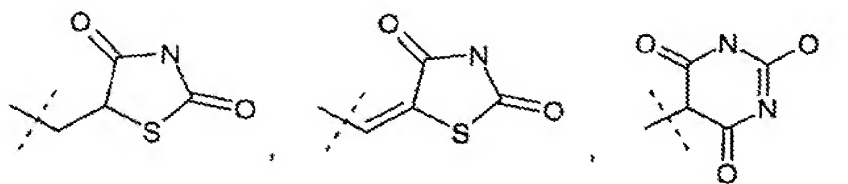


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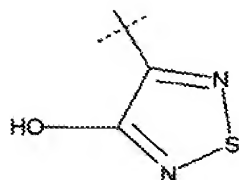
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-13-



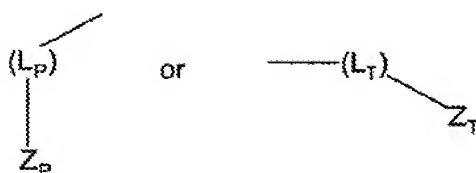
or



or corresponding salts of the above acids (e.g., Na, K, Ca, or Mg).

The term, "mammal" includes humans.

5 The term, "combined group" refers to the pendent binary groups of linkers, -(L)-, and Z substituents represented in formula I by either of:



The term "ester" refers to compounds wherein a hydroxy group of an acid is replaced with an alkoxide group. For example, a carboxylic ester is one in which the hydroxy group of a carboxylic acid is replaced with an alkoxide. Esters may derive from any acid comprising one or more hydroxy groups: for example, carbonic acid, carbamic acids, phosphonic acids, sulfonic acids, and boronic acids. The terms "alkoxycarbonyl" and "carboalkoxy" refer to carboxylic ester radicals wherein the point of attachment is the carbonyl carbon.

10 The term "halo" refer to fluorine, chlorine, bromine, and iodine.

The term "substituted" indicate that the group in question is substituted with from one or a plurality of independently selected conventional organic substituents such as acyl, acyloxy, alkenyl, alkoxy, alkyl, amino, aminocarbonyl, aryl, , carboxy, halo, hydroxy, oxa, oxo, perhaloalkyl, perhaloaryl, phosphino, phosphinyl, phosphoryl, sulfinyl, sulfonyl, thia, thio, and combinations and protected derivatives thereof.

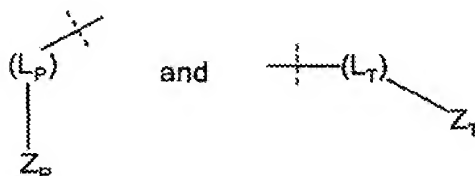
The term "pharmaceutically acceptable salt" includes salts of the compounds of the present invention derived from the combination of the compound and an organic or inorganic acid or base. In practice, acidic members of the compounds of formulae I and II would be combined with a base or bases, basic members of the compounds of formulae I and II would be combined with an acid or acids, and members of the compounds of formulae I and II with both acid and base functionalities would be combined with one or more acids, bases or any combination thereof. Both the neutral and salt forms fall within the scope of the present invention. Examples of cationic salts are sodium, aluminum, zinc, potassium, calcium, magnesium and ammonium.

25 The word "abscess" is a complication often associated with surgery, trauma, or diseases that predispose the host to abscess formation from encapsulated bacteria lymphocytes, macrophages, and etc.

The word "adhesion" refers to the abnormal union of surfaces normally separate by the formulation of new fibrous tissue resulting from an inflammatory

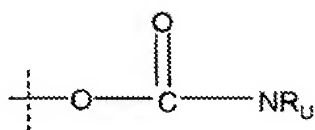
process.

The term, "combined groups" refers to the groups in Formula I represented by either of the groups



5

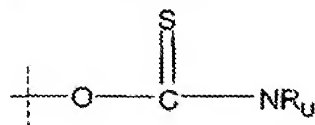
The term, "urethane" refers to the radical:



10

wherein each R_U is independently hydrogen or C_1 - C_8 alkyl, for example, methyl, ethyl, n-propyl, and isopropyl.

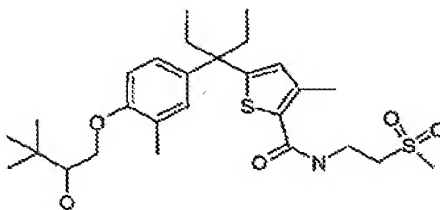
The term, "thiourethane" refers to the radical:



15

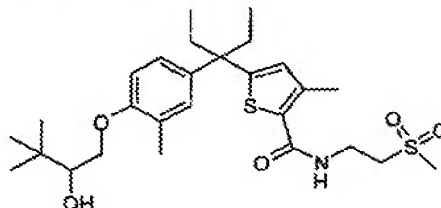
wherein R_U is hydrogen or C_1 - C_8 alkyl, for example, methyl, ethyl, n-propyl, and isopropyl.

Some of the structural formulae used herein omit depiction of hydrogen atoms. For example, the formula:



20

is understood to be the equivalent of the formula:



The term, "urethane-type radical" refers to either urethane or thiourethane radicals.

5

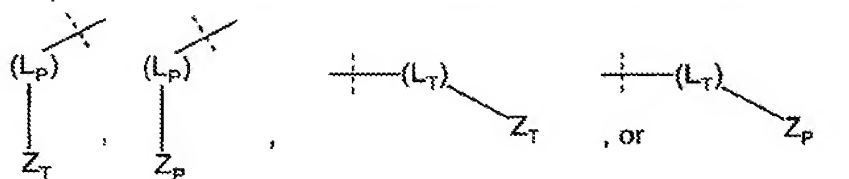
Definitions IA: Rule of Polarity and Lipophilicity for Substituents pendant on the compounds of the invention:

The substituents L_P , L_T , Z_P , and Z_T pendant on the compounds of the invention are constrained both by (i) the identity of each substituent, and (ii) the polar or lipophilic nature of each substituent. The occurrence of "polar" and "lipophilic" is to be done in

10

accord with the following Rule:

RULE: The combined groups in formula I, II, III, IV and V represented by



may all be lipophilic, or one may be lipophilic and the other one polar; but both combined groups may not be polar. If any part of a combined group is polar, then the "combined group" itself is deemed polar. For example, in the group

15

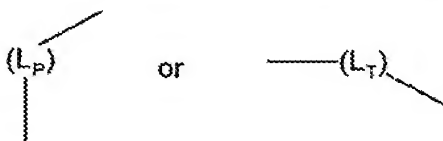


if the divalent linking group $-(L_P)-$ is the polar group, $-C(O)-NH-$ and Z_P is the lipophilic group, $-CH_2-CH_2-(t\text{-butyl})$; then the combined group is defined as "polar."

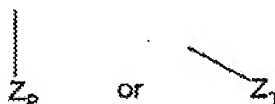
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Definitions IB: Definition of "Polar" and "Lipophilic"

The term "lipophilic group" refers to any linking group

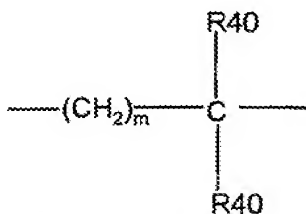
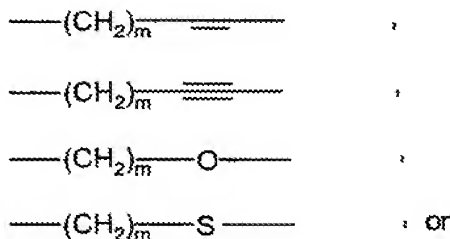


5 or any of the Z substituents



that is hydrophobic, preferring or attracted to a hydrocarbon loving, non-aqueous environment. Lipophilic linking groups in the practice of the invention are

a bond



10

where m is 0, 1, or 2, and each R₄₀ is independently hydrogen, -CH₃, -F, -CH₂F, -CHF₂, and -CF₃. All other exemplified linking groups are polar.

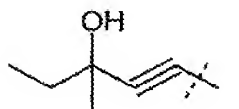
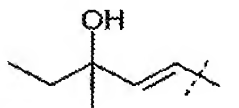
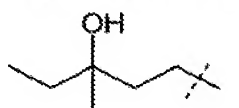
Generally all linking groups containing only hydrocarbon subunit groups or hydrocarbon subunit groups in combination with ether or thioether groups are lipophilic.

15 Moreover, fluorinated derivatives of such groups are considered lipophilic.

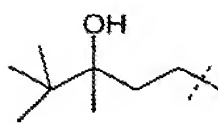
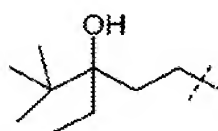
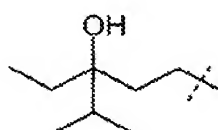
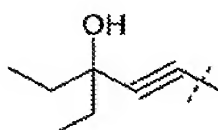
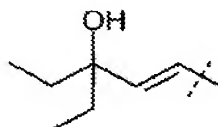
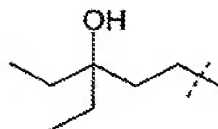
Lipophilic Z_T or Z_P groups in the practice of the invention are partially

exemplified by

- 5
- O-CH₂-C(O)-C₁-C₅alkyl,
 - O-CH₂-CH(OH)-C₁-C₅alkyl,
 - O-CH₂-C(CH₃)(OH)-C₁-C₅alkyl,
 - O-CH₂-CH(OCH₃)-C₁-C₅alkyl,
 - O-CH(CH₃)-C(O)-C₁-C₅alkyl
 - O-CH(CH₃)-CH(OH)-C₁-C₅alkyl,
 - O-CH₂-C(O)-C(CH₃)₂-C₁-C₅alkyl,
 - O-CH₂-CH(OH)-C(CH₃)₂-C₁-C₅alkyl,
- 10
- O-CH₂-C(O)-C₁-C₅alkyl,
 - O-CH₂-CH(OH)-C₁-C₅alkyl,
 - O-CH₂-CH(OCH₃)-C₁-C₅alkyl,
 - CH₂-CH₂-C(O)-C₁-C₅alkyl,
 - CH₂-CH₂-CH(OH)-C₁-C₅alkyl,
- 15
- CH₂-CH₂-CH(OCH₃)-C₁-C₅alkyl,
 - CH₂-C(O)-C₁-C₅alkyl,
 - CH₂-CH(OH)-C₁-C₅alkyl,
 - CH₂-C(CH₃)(OH)-C₁-C₅alkyl,
 - CH(CH₃)-C(O)-C₁-C₅alkyl,
- 20
- CH(CH₃)-CH(OH)-C₁-C₅alkyl,
 - CH(CH₃)-C(CH₃)(OH)-C₁-C₅alkyl,



-19-



1-hydroxycyclopentenyl,

1-hydroxycyclohexenyl,

1-hydroxycycloheptenyl,

1-hydroxycyclooctenyl,

1-hydroxycyclopropyl,

1-hydroxycyclobutyl,

1-hydroxycyclopentyl,

1-hydroxycyclohexyl,

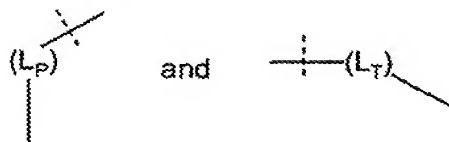
1-hydroxycycloheptyl,

and

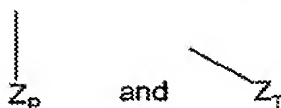
1-hydroxycyclooctyl.

-20-

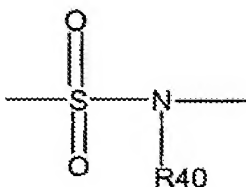
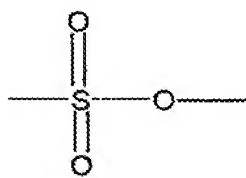
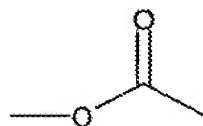
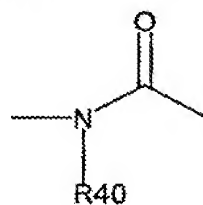
Conversely, the term "polar group" refers to any linking group



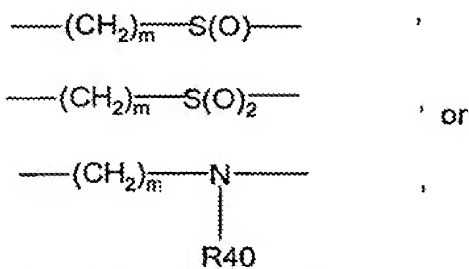
that is not a lipophilic group. The term "polar group" also refers to any Z substituent



- 5 that is not a lipophilic group. The term, "polar" as used herein generally refers to chemical substituents that are hydrophilic, preferring or attracted to an aqueous environment. An example of a polar linking group is a linking group selected from the following:



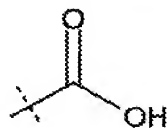
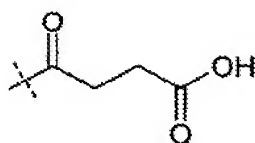
-21-



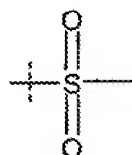
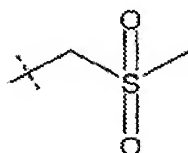
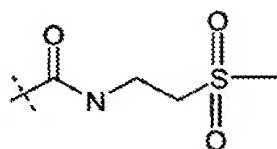
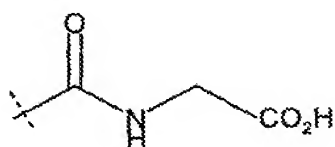
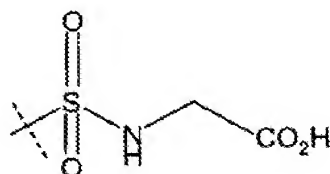
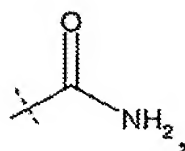
where m is 0, 1, or 2 and R40 is as previously defined.

Exemplary polar Z_T or Z_P groups in the practice of the invention are depicted by the following formulae:

5



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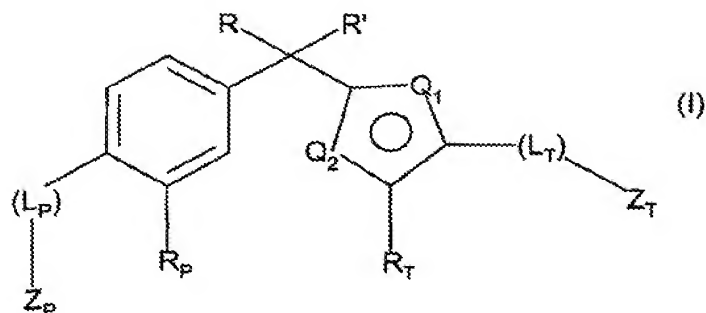


5

II. Compounds of the Invention:

The compounds of the invention are Vitamin D Receptor Modulators represented by formula I or a pharmaceutically acceptable salt or prodrug derivative thereof:

10



wherein;

R and R' are independently C₁-C₅ alkyl, C₁-C₅ fluoroalkyl, or together R and R' form a substituted or unsubstituted, saturated or unsaturated carbocyclic ring having from
 5 3 to 8 carbon atoms;

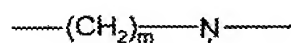
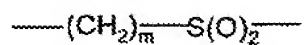
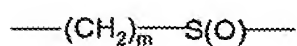
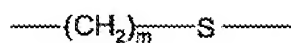
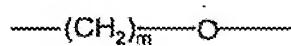
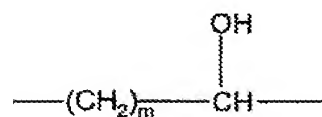
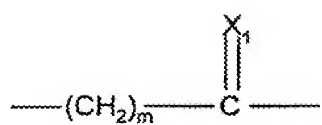
Ring atoms Q₁ and Q₂ are independently selected from carbon or sulfur, with the proviso that one atom is sulfur and the other atom is carbon;

R_p and R_T are independently selected from the group consisting of hydrogen, halo, C₁-C₅ alkyl, C₁-C₅ fluoroalkyl, -O-C₁-C₅ alkyl, -S-C₁-C₅ alkyl, -O-C₁-C₅
 10 fluoroalkyl, -CN, -NO₂, acetyl, -S-C₁-C₅ fluoroalkyl, C₂-C₅ alkenyl, C₃-C₅ cycloalkyl, and C₃-C₅ cycloalkenyl;

(L_p) and (L_T) are divalent linking groups independently selected from the group consisting of

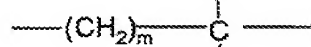
-24-

a bond

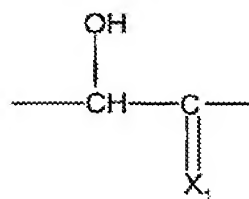
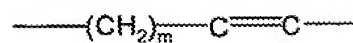
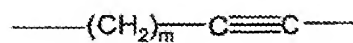


R40

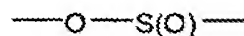
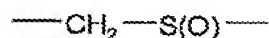
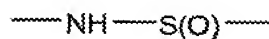
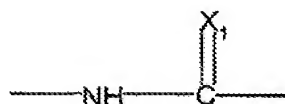
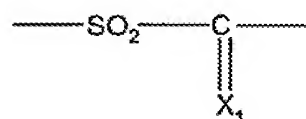
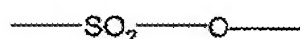
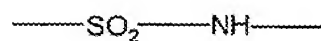
R40



R40



-25-



5

where m is 0, 1 or 2, X₁ is oxygen or sulfur, and each R₄₀ is independently hydrogen or C₁-C₅ alkyl or C₁-C₅ fluoroalkyl;

Z_p and Z_T are independently selected from

- hydrogen,
- phenyl,
- benzyl,
- fluorophenyl,
- 10 -(C₁-C₅ alkyl),
- (C₂-C₅ alkenyl),
- 15 -(C₃-C₅ cycloalkyl),
- (C₃-C₅ cycloalkenyl),
- (C₁-C₅ hydroxyalkyl),
- (C₁-C₅ fluoroalkyl),
- (C₁-C₅ alkyl)-phenyl,

- 5
 10
 15
 20
 25
 30
- (C₁-C₅ alkyl)-O-(C₁-C₅) alkyl,
 - (C₁-C₅ alkyl)-NH₂,
 - (C₁-C₅ alkyl)-NH-(C₁-C₅ alkyl),
 - (C₁-C₅ alkyl)-N-(C₁-C₅ alkyl)₂,
 - (C₁-C₅ alkyl)-C(O)-NH₂,
 - (C₁-C₅ alkyl)-C(O)-NH-(C₁-C₅ alkyl),
 - (C₁-C₅ alkyl)-C(O)-N-(C₁-C₅ alkyl)₂,
 - (C₁-C₅ alkyl)-C(O)-(C₁-C₅ alkyl),
 - (C₁-C₅ alkyl)-NH-SO₂-(C₁-C₅ alkyl),
 - (C₁-C₅ alkyl)-N-pyrrolidin-2-one,
 - (C₁-C₅ alkyl)-N-pyrrolidine,
 - (C₁-C₅ alkyl)-(1-methylpyrrolidin-2-one-3-yl),
 - (C₁-C₅ alkyl)-C(O)-(O-C₁-C₅ alkyl),
 - (C₁-C₅ alkyl)-C(O)-OH,
 - (C₁-C₅ alkyl)-5-tetrazolyl,
 - (C₁-C₅ alkyl)-P(O)-(O-C₁-C₅ alkyl)₂,
 - (C₁-C₅ alkyl)-SO₂-(C₁-C₅ alkyl),
 - (C₁-C₅ alkyl)-SO₂-NH₂,
 - (C₁-C₅ alkyl)-SO₂-NH-(C₁-C₅ alkyl),
 - (C₁-C₅ alkyl)-SO₂-N-(C₁-C₅ alkyl)₂,
 - (C₁-C₅ alkyl)-SO₂-(C₁-C₅ alkyl),
 - (C₁-C₅ alkyl)-S(O)-(C₁-C₅ alkyl),
 - (C₁-C₅ alkyl)-S(O)-NH₂,
 - (C₁-C₅ alkyl)-S(O)-NH-(C₁-C₅ alkyl),
 - (C₁-C₅ alkyl)-S(O)-N-(C₁-C₅ alkyl)₂,
 - (C₁-C₅ alkyl)-S(O)-(C₁-C₅ alkyl),
 - (C₁-C₅ alkyl)-N(C(O)(C₁-C₅ alkyl)CH₂C(O)OH,
 - (C₁-C₅ alkyl)-N(C(O)(C₁-C₅ alkyl)CH₂C(O)-(C₁-C₅ alkyl),
 - CH(OH)-(C₁-C₅ alkyl)
 - CH(OH)-(C₂-C₅ alkenyl),

- 5
- CH(OH)-(C₃-C₅ cycloalkyl),
 - CH(OH)-(C₃-C₅ cycloalkenyl),
 - CH(OH)-(C₁-C₅ hydroxyalkyl),
 - CH(OH)-(C₁-C₅ fluoroalkyl),
 - CH(OH)-phenyl
 - CH(OH)-5-tetrazolyl,
 - CH(OH)-(1-methylpyrrolidin-2-one-3-yl),
- 10
- C(O)-(C₁-C₅ alkyl),
 - C(O)-(C₁-C₅ alkyl)-C(O)OH,
 - C(O)-(C₁-C₅ alkyl)-C(O)(O-C₁-C₅ alkyl),
 - C(O)-(C₂-C₅ alkenyl),
 - C(O)-(C₃-C₅ cycloalkyl),
 - C(O)-(C₃-C₅ cycloalkenyl),
- 15
- C(O)-(C₁-C₅ hydroxyalkyl),
 - C(O)-(C₁-C₅ fluoroalkyl),
 - C(O)-(C₁-C₅ alkyl)-phenyl
 - C(O)-O-(C₁-C₅ alkyl),
 - C(O)-O-(C₂-C₅ alkenyl),
- 20
- C(O)-O-(C₃-C₅ cycloalkyl),
 - C(O)-O-(C₃-C₅ cycloalkenyl),
 - C(O)-O-(C₁-C₅ hydroxyalkyl),
 - C(O)-O-(C₁-C₅ fluoroalkyl),
 - C(O)-O-(C₁-C₅ alkyl)-phenyl,
- 25
- C(O)-NH₂,
 - C(O)-NH(OH),
 - C(O)-NH-(C₁-C₅ alkyl),
 - C(O)-N-(C₁-C₅ alkyl)₂,
 - C(O)-NH-(C₂-C₅ alkenyl),
- 30
- C(O)-NH-(C₃-C₅ cycloalkyl),
 - C(O)-NH-(C₃-C₅ cycloalkenyl),
 - C(O)-NH-(C₁-C₅ fluoroalkyl),

- C(O)-NH-(C₁-C₅ alkyl)-phenyl,
 -C(O)-NH-SO₂-(C₁-C₅ alkyl),
 -C(O)-NH-SO₂-(C₂-C₅ alkenyl),
 -C(O)-NH-SO₂-(C₃-C₅ cycloalkyl),
 5 -C(O)-NH-SO₂-(C₃-C₅ cycloalkenyl),
 -C(O)-NH-S(O)-(C₁-C₅ alkyl),
 -C(O)-NH-S(O)-(C₂-C₅ alkenyl),
 -C(O)-NH-S(O)-(C₃-C₅ cycloalkyl),
 -C(O)-NH-S(O)-(C₃-C₅ cycloalkenyl),
 10 -C(O)-NH-(C₁-C₅ fluoroalkyl),
 -C(O)-NH-(C₁-C₅ alkyl)-phenyl
 -C(O)-NH-(C₁-C₅ alkyl)-SO₂-(C₁-C₅ alkyl),
 -C(O)-NH-(C₁-C₅ alkyl)-S(O)-(C₁-C₅ alkyl),
 -C(O)-NH-CH₂-C(O)OH
 15 -C(O)-NH-CH₂-C(O)-(O-C₁-C₅ alkyl),
 -C(O)-N-(C₁-C₅ alkyl)(C(O)OH),
 -C(O)-N-(C₁-C₅ alkyl)(C(O)-(O-C₁-C₅ alkyl)),
 -C(O)-NH-CH((CH₂)(CO₂H))(CO₂H),
 -C(O)-NH-CH((CH₂)(C(O)-(C₁-C₅ alkyl)))(C(O)-(O-C₁-
 20 C₅ alkyl)),
 -C(O)-NH-CH((CH₂OH)(CO₂H)),
 -C(O)-NH-CH((CH₂OH)(C(O)-(O-C₁-C₅ alkyl))),
 -C(O)-NH-C((C₁-C₅ alkyl)(C₁-C₅ alkyl))(CO₂H),
 -C(O)-NH-C((C₁-C₅ alkyl)(C₁-C₅ alkyl))(C(O)-(O-C₁-C₅
 25 alkyl)),
 -C(O)-NH-5-tetrazolyl,
 -C(O)-N-pyrrolidin-2-one,
 -C(O)-N-pyrrolidine,
 -C(O)-(1-methylpyrrolidin-2-one-3-yl),
 30 -C(O)-(C₁-C₅ alkyl)-N-pyrrolidin-2-one,
 -C(O)-(C₁-C₅ alkyl)-N-pyrrolidine,
 -C(O)-(C₁-C₅ alkyl)-(1-methylpyrrolidin-2-one-3-yl),

- C(O)-N-pyrrolidin-2-(CO₂H),
 -C(O)-N-pyrrolidin-2-(C(O)-(O-C₁-C₅ alkyl)),
 -C(O)-N-(C(O)-(C₁-C₅ alkyl))CH₂(CO₂H),
 -C(O)-N-(C(O)-(C₁-C₅ alkyl))CH₂(C(O)-(O-C₁-C₅ alkyl)),
 -C(O)-N-(C₁-C₅ alkyl))CH₂(CO₂H),
 -C(O)-C(O)-OH,
 -C(O)-C(O)-(C₁-C₅ alkyl),
 -C(O)-C(O)-(C₂-C₅ alkenyl),
 -C(O)-C(O)-(C₃-C₅ cycloalkyl),
 -C(O)-C(O)-(C₃-C₅ cycloalkenyl),
 -C(O)-C(O)-(C₁-C₅ hydroxyalkyl),
 -C(O)-C(O)-(C₁-C₅ fluoroalkyl),
 -C(O)-C(O)-(C₁-C₅ alkyl)-phenyl,
 -C(O)-C(O)-NH₂,
 -C(O)-C(O)-NH-(C₁-C₅ alkyl),
 -C(O)-C(O)-N-(C₁-C₅ alkyl)₂,
 -C(O)-C(O)-5-tetrazolyl,
 -C(O)-C(O)-N-pyrrolidin-2-one,
 -C(O)-C(O)-N-pyrrolidine,
 -C(O)-C(O)-(1-methylpyrrolidin-2-one-3-yl),
 -O-(C₁-C₅ alkyl),
 -O-(C₂-C₅ alkenyl),
 -O-(C₃-C₅ cycloalkyl),
 -O-(C₃-C₅ cycloalkenyl),
 -O-(C₁-C₅ hydroxyalkyl),
 -O-(C₁-C₅ fluoroalkyl),
 -O-(C₁-C₅ alkyl)-phenyl,
 -O-(C₁-C₅ alkyl)-(O)-(C₁-C₅ alkyl),
 -O-(C₁-C₅ alkyl)NH₂,
 -O-(C₁-C₅ alkyl)-NH-(C₁-C₅ alkyl)₂,

- O-(C₁-C₅ alkyl)-C(O)-NH₂,
 -O-(C₁-C₅ alkyl)-C(O)-NH-(C₁-C₅ alkyl),
 -O-(C₁-C₅ alkyl)-C(O)-N-(C₁-C₅ alkyl)₂,
 -O-(C₁-C₅ alkyl)-C(O)-OH,
 5 -O-(C₁-C₅ alkyl)-C(O)-NH-5-tetrazolyl,
 -O-(C₁-C₅ alkyl)-C(O)-(C₁-C₅ alkyl),
 -O-(C₁-C₅ alkyl)-C(O)-(O-C₁-C₅ alkyl),
 -O-(C₁-C₅ alkyl)-NH₂,
 -O-(C₁-C₅ alkyl)-NH-(C₁-C₅ alkyl),
 10 -O-(C₁-C₅ alkyl)-N-(C₁-C₅ alkyl)₂,
 -O-(C₁-C₅ alkyl)-NH-SO₂-(C₁-C₅ alkyl),
 -O-(C₁-C₅ alkyl)-N-pyrrolidin-2-one,
 -O-(C₁-C₅ alkyl)-N-pyrrolidine,
 -O-(C₁-C₅ alkyl)-(1-methylpyrrolidin-2-one-3-yl),
 15 -O-(C₁-C₅ alkyl)-SO₂-(C₁-C₅ alkyl),
 -O-(C₁-C₅ alkyl)-SO₂-NH₂,
 -O-(C₁-C₅ alkyl)-SO₂-NH-(C₁-C₅ alkyl),
 -O-(C₁-C₅ alkyl)-SO₂-N-(C₁-C₅ alkyl)₂,
 -O-(C₁-C₅ alkyl)-SO₂-(C₁-C₅ alkyl),
 20 -O-(C₁-C₅ alkyl)-S(O)-(C₁-C₅ alkyl),
 -O-(C₁-C₅ alkyl)-S(O)-NH₂,
 -O-(C₁-C₅ alkyl)-S(O)-NH-(C₁-C₅ alkyl),
 -O-(C₁-C₅ alkyl)-S(O)-N-(C₁-C₅ alkyl)₂,
 -O-(C₁-C₅ alkyl)-S(O)-(C₁-C₅ alkyl),
 25 -O-(C₁-C₅ alkyl)-P(O)-(O-C₁-C₅ alkyl)₂,
 -O-(C₁-C₅ alkyl)-5-tetrazolyl,
 -O-CH₂-CO₂H,
 -O-CH₂-5-tetrazolyl,
 -O-(C₁-C₅ alkyl),
 30 -O-C(O)-NH₂,
 -O-C(O)-N-(CH₃)₂,
 -O-C(S)-N-(CH₃)₂,

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- O-C(O)-O-(C₁-C₅ alkyl),
 - O-(5-tetrazolyl),
 - O-SO₂-(C₁-C₅ alkyl),
 - O-SO₂-NH₂,
 - O-SO₂-NH-(C₁-C₅ alkyl),
 - O-SO₂-N-(C₁-C₅ alkyl)₂,
 - O-S(O)-(C₁-C₅ alkyl),
 - O-S(O)-NH₂,
 - O-S(O)-NH-(C₁-C₅ alkyl),
 - O-S(O)-N-(C₁-C₅ alkyl)₂,
 - S-(C₁-C₅ alkyl),
 - S-(C₂-C₅ alkenyl),
 - S-(C₃-C₅ cycloalkyl),
 - S-(C₃-C₅ cycloalkenyl),
 - S-(C₁-C₅ fluoroalkyl),
 - S-(C₁-C₅ hydroxyalkyl),
 - S-(C₁-C₅ alkyl)-phenyl,
 - S-(C₁-C₅ alkyl)-O-(C₁-C₅ alkyl),
 - S-(C₁-C₅ alkyl)-C(O)-OH,
 - S-(C₁-C₅ alkyl)-C(O)-(C₁-C₅ alkyl),
 - S-(C₁-C₅ alkyl)-C(O)-O-(C₁-C₅ alkyl),
 - S-(C₁-C₅ alkyl)-C(O)-NH₂,
 - S-(C₁-C₅ alkyl)-C(O)-NH-(C₁-C₅ alkyl),
 - S-(C₁-C₅ alkyl)-C(O)-N-(C₁-C₅ alkyl)₂,
 - S-(C₁-C₅ alkyl) NH₂,
 - S-(C₁-C₅ alkyl)-NH-(C₁-C₅ alkyl),
 - S-(C₁-C₅ alkyl)-N-(C₁-C₅ alkyl)₂,
 - S-(C₁-C₅ alkyl)-NH-SO₂-(C₁-C₅ alkyl),
 - S-(C₁-C₅ alkyl)-N-pyrrolidin-2-one,
 - S-(C₁-C₅ alkyl)-N-pyrrolidine,
 - S-(C₁-C₅ alkyl)-(1-methylpyrrolidin-2-one-3-yl),

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- 5
- S-(C₁-C₅ alkyl)-SO₂-(C₁-C₅ alkyl),
 - S-(C₁-C₅ alkyl)-SO₂-NH₂,
 - S-(C₁-C₅ alkyl)-SO₂-NH-(C₁-C₅ alkyl),
 - S-(C₁-C₅ alkyl)-SO₂-N-(C₁-C₅ alkyl)₂,
 - S-(C₁-C₅ alkyl)-SO₂-(C₁-C₅ alkyl),
 - S-(C₁-C₅ alkyl)-P(O)-(O-C₁-C₅ alkyl)₂,
 - S-(C₁-C₅ alkyl)-5-tetrazolyl,
 - S-(C₁-C₅ alkyl)-S(O)-(C₁-C₅ alkyl),
 - S-(C₁-C₅ alkyl)-S(O)-NH₂,
 - 10 -S-(C₁-C₅ alkyl)-S(O)-NH-(C₁-C₅ alkyl),
 - S-(C₁-C₅ alkyl)-S(O)-N-(C₁-C₅ alkyl)₂,
 - S-(C₁-C₅ alkyl)-S(O)-(C₁-C₅ alkyl),
- 15
- SO₂-(C₁-C₅ alkyl),
 - SO₂-(C₂-C₅ alkenyl),
 - SO₂-(C₃-C₅ cycloalkyl),
 - SO₂-(C₃-C₅ cycloalkenyl),
 - SO₂-(C₁-C₅ hydroxyalkyl),
 - SO₂-(C₁-C₅ fluoroalkyl),
 - 20 -SO₂-(C₁-C₅)-phenyl,
- 25
- SO₂-NH₂,
 - SO₂-NH-(C₁-C₅ alkyl),
 - SO₂-NH-CH₂-C(O)OH,
 - SO₂-NH-CH₂-C(O)(O-C₁-C₅ alkyl),
 - SO₂-NH-(C₁-C₅ alkyl)-C(O)OH,
 - SO₂-NH-(C₁-C₅ alkyl)-C(O)(O-C₁-C₅ alkyl),
 - SO₂-NHC(O)-(C₃-C₆ cycloalkyl),
- 30
- SO₂-NH-C(O)-(C₁-C₅ alkyl),
 - SO₂-N-(C₁-C₅ alkyl)₂,
 - SO₂-(C₁-C₅ alkyl)-O-(C₁-C₅ alkyl),

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- SO₂-(C₁-C₅ alkyl)-C(O)-(C₁-C₅ alkyl),
 -SO₂-(C₁-C₅ alkyl) NH₂,
 -SO₂-(C₁-C₅ alkyl)-NH-(C₁-C₅ alkyl),
 -SO₂-(C₁-C₅ alkyl)-N-(C₁-C₅ alkyl)₂,
 5 -SO₂-(C₁-C₅ alkyl)-C(O)-NH₂,
 -SO₂-(C₁-C₅ alkyl)-C(O)-NH-(C₁-C₅ alkyl),
 -SO₂-(C₁-C₅ alkyl)-C(O)-N-(C₁-C₅ alkyl)₂,
 -SO₂-(C₁-C₅ alkyl)-NH-SO₂-(C₁-C₅ alkyl),
 -SO₂-(C₁-C₅ alkyl)-N-pyrrolidin-2-one,
 10 -SO₂-(C₁-C₅ alkyl)-N-pyrrolidine,
 -SO₂-(C₁-C₅ alkyl)-(1-methylpyrrolidin-2-one-3-yl),
 -SO₂-(C₁-C₅ alkyl)-C(O)-O-(C₁-C₅ alkyl),
 -SO₂-(C₁-C₅ alkyl)-C(O)-OH,
 -SO₂-(C₁-C₅ alkyl)-5-tetrazolyl,
 15 -SO₂-(C₁-C₅ alkyl)-SO₂-(C₁-C₅ alkyl),
 -SO₂-(C₁-C₅ alkyl)-SO₂-NH₂,
 -SO₂-(C₁-C₅ alkyl)-SO₂-NH-(C₁-C₅ alkyl),
 -SO₂-(C₁-C₅ alkyl)-SO₂-N-(C₁-C₅ alkyl)₂,
 -SO₂-(C₁-C₅ alkyl)-SO₂-(C₁-C₅ alkyl),
 20 -SO₂-(C₁-C₅ alkyl)-P(O)-(O-C₁-C₅ alkyl)₂,
 -SO₂-(C₁-C₅ alkyl),
 -SO₂-(C₂-C₅ alkenyl),
 -SO₂-(C₃-C₅ cycloalkyl),
 -SO₂-(C₃-C₅ cycloalkenyl),
 25 -SO₂-(C₁-C₅ hydroxyalkyl),
 -SO₂-(C₁-C₅ fluoroalkyl),
 -SO₂-(C₁-C₅)-phenyl,
 -SO₂-N=CHN(C₁-C₅ alkyl)₂,
 30 -S(O)-NH₂,
 -S(O)-NH-(C₁-C₅ alkyl),
 -S(O)-NH-CH₂-C(O)OH

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- S(O)-NH-(C₁-C₅ alkyl)-C(O)OH,
 - S(O)-NH-CH₂-C(O)(O-C₁-C₅ alkyl),
 - S(O)-NH-(C₁-C₅ alkyl)-C(O)(O-C₁-C₅ alkyl),
 - S(O)HC(O)-(C₃-C₆ cycloalkyl),
 - S(O)-NH-C(O)-(C₁-C₅ alkyl),
 - S(O)-N-(C₁-C₅ alkyl)₂,
 - S(O)-(C₁-C₅ alkyl)-O-(C₁-C₅ alkyl),
 - S(O)-(C₁-C₅ alkyl)-C(O)-(C₁-C₅ alkyl),
 - S(O)-(C₁-C₅ alkyl)-C(O)-(O-C₁-C₅ alkyl),
 - S(O)-(C₁-C₅ alkyl)-NH-(C₁-C₅ alkyl),
 - S(O)-(C₁-C₅ alkyl)-N-(C₁-C₅ alkyl)₂,
 - S(O)-(C₁-C₅ alkyl)-C(O)-NH₂,
 - S(O)-(C₁-C₅ alkyl)-C(O)-NH-(C₁-C₅ alkyl),
 - S(O)-(C₁-C₅ alkyl)-C(O)-N-(C₁-C₅ alkyl)₂,
 - S(O)-(C₁-C₅ alkyl)-NH-SO₂-(C₁-C₅ alkyl),
 - S(O)-(C₁-C₅ alkyl)-NH-S(O)-(C₁-C₅ alkyl),
 - S(O)-(C₁-C₅ alkyl)-N-pyrrolidin-2-one,
 - S(O)-(C₁-C₅ alkyl)-N-pyrrolidine,
 - S(O)-(C₁-C₅ alkyl)-(1-methylpyrrolidin-2-one-3-yl),
 - S(O)-(C₁-C₅ alkyl)-C(O)-(O-C₁-C₅ alkyl),
 - S(O)-(C₁-C₅ alkyl)-C(O)-OH,
 - S(O)-(C₁-C₅ alkyl)-5-tetrazolyl,
 - S(O)-(C₁-C₅ alkyl)-SO₂-(C₁-C₅ alkyl),
 - S(O)-(C₁-C₅ alkyl)-S(O)-(C₁-C₅ alkyl),
 - S(O)-(C₁-C₅ alkyl)-SO₂-NH₂,
 - S(O)-(C₁-C₅ alkyl)-S(O)-NH₂,
 - S(O)-(C₁-C₅ alkyl)-SO₂-NH-(C₁-C₅ alkyl),
 - S(O)-(C₁-C₅ alkyl)-S(O)-NH-(C₁-C₅ alkyl),
 - S(O)-(C₁-C₅ alkyl)-SO₂-N-(C₁-C₅ alkyl)₂,
 - S(O)-(C₁-C₅ alkyl)-S(O)-N-(C₁-C₅ alkyl)₂,
 - S(O)-(C₁-C₅ alkyl)-SO₂-(C₁-C₅ alkyl),
 - S(O)-(C₁-C₅ alkyl)-S(O)-(C₁-C₅ alkyl),

- S(O)-(C₁-C₅ alkyl)-P(O)-(O-C₁-C₅ alkyl)₂,
 -S(O)-N=CHN(C₁-C₅ alkyl)₂,
- 5 -NHC(S)NH₂,
 -NHC(S)NH-(C₁-C₅ alkyl),
 -NHC(S)N-(C₁-C₅ alkyl)₂,
 -NHC(S)NH-(C₂-C₅ alkenyl),
 -NHC(S)NH-(C₃-C₅ cycloalkyl),
 -NHC(S)NH-(C₃-C₅ cycloalkenyl),
 10 -NHC(S)NH-(C₁-C₅ fluoroalkyl),
 -NHC(S)NH-C₁-C₅ hydroxyalkyl,
 -NHC(S)NH-(C₁-C₅ fluoroalkyl)
 -NHC(S)NH-phenyl,
 -NHC(S)NH-(C₁-C₅ alkyl)-C(O)-OH,
 15 -NHC(S)NH-(C₁-C₅ alkyl)-O-(C₁-C₅ alkyl),
 -NHC(S)NH-(C₁-C₅ alkyl)-C(O)-(C₁-C₅ alkyl),
 -NHC(S)NH-(C₁-C₅ alkyl)-C(O)-(O-C₁-C₅ alkyl),
 -NHC(S)NH-(C₁-C₅ alkyl)-NH₂,
 -NHC(S)NH-(C₁-C₅ alkyl)-NH-(C₁-C₅ alkyl),
 20 -NHC(S)NH-(C₁-C₅ alkyl)-N-(C₁-C₅ alkyl)₂,
 -NHC(S)NH-(C₁-C₅ alkyl)-C(O)-NH₂,
 -NHC(S)NH-(C₁-C₅ alkyl)-C(O)-NH-(C₁-C₅ alkyl),
 -NHC(S)NH-(C₁-C₅ alkyl)-C(O)-N-(C₁-C₅ alkyl)₂,
 -NHC(S)NH-(C₁-C₅ alkyl)-NH-SO₂-(C₁-C₅ alkyl),
 25 -NHC(S)NH-(C₁-C₅ alkyl)-NH-S(O)-(C₁-C₅ alkyl),
 -NHC(S)NH-(C₁-C₅ alkyl)-N-pyrrolidin-2-one,
 -NHC(S)NH-(C₁-C₅ alkyl)-N-pyrrolidine,
 -NHC(S)NH-(C₁-C₅ alkyl)-(1-methylpyrrolidin-2-one-
 3-yl),
 30 -NHC(S)NH-(C₁-C₅ alkyl)-5-tetrazolyl,
 -NHC(S)NH-(C₁-C₅ alkyl)-SO₂-(C₁-C₅ alkyl),
 -NHC(S)NH-(C₁-C₅ alkyl)-SO₂-NH₂,

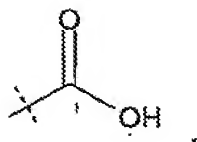
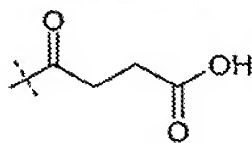
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-NHC(S)NH-(C₁-C₅ alkyl)-SO₂-NH-(C₁-C₅ alkyl),
-NHC(S)NH-(C₁-C₅ alkyl)-SO₂-N-(C₁-C₅ alkyl)₂,
-NHC(S)NH-(C₁-C₅ alkyl)-S(O)-(C₁-C₅ alkyl),
-NHC(S)NH-(C₁-C₅ alkyl)-S(O)-NH₂,
-NHC(S)NH-(C₁-C₅ alkyl)-S(O)-NH-(C₁-C₅ alkyl),
-NHC(S)NH-(C₁-C₅ alkyl)-S(O)-N-(C₁-C₅ alkyl)₂,
-NHC(S)NH-(C₁-C₅ alkyl)-P(O)-(O-C₁-C₅ alkyl)₂,

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-NHC(O)NH₂,
-NHC(O)NH-(C₁-C₅ alkyl),
-NHC(O)N-(C₁-C₅ alkyl)₂,
-NHC(O)NH-(C₂-C₅ alkenyl),
-NHC(O)NH-(C₃-C₅ cycloalkyl),
-NHC(O)NH-(C₃-C₅ cycloalkenyl),
15
-NHC(O)NH-(C₁-C₅ hydroxyalkyl),
-NHC(O)NH-(C₁-C₅ fluoroalkyl),
-NHC(O)NH-phenyl,
-NHC(O)NH-(C₁-C₅ alkyl)-NH₂,
-NHC(O)NH-(C₁-C₅ alkyl)-NH-(C₁-C₅ alkyl),
20
-NHC(O)NH-(C₁-C₅ alkyl)-N-(C₁-C₅ alkyl)₂,
-NHC(O)NH-(C₁-C₅ alkyl)-O-(C₁-C₅ alkyl),
-NHC(O)NH-(C₁-C₅ alkyl)-NH₂,
-NHC(O)NH-(C₁-C₅ alkyl)-NH-(C₁-C₅ alkyl),
-NHC(O)NH-(C₁-C₅ alkyl)-N-(C₁-C₅ alkyl)₂,
25
-NHC(O)NH-(C₁-C₅ alkyl)-C(O)-NH₂,
-NHC(O)NH-(C₁-C₅ alkyl)-C(O)-NH-(C₁-C₅ alkyl),
-NHC(O)NH-(C₁-C₅ alkyl)-C(O)-N-(C₁-C₅ alkyl)₂,
-NHC(O)NH-(C₁-C₅ alkyl)-C(O)-(C₁-C₅ alkyl),
-NHC(O)NH-(C₁-C₅ alkyl)-NH-SO₂-(C₁-C₅ alkyl),
30
-NHC(O)NH-(C₁-C₅ alkyl)-N-pyrrolidin-2-one,
-NHC(O)NH-(C₁-C₅ alkyl)-N-pyrrolidine,
-NHC(O)NH-(C₁-C₅ alkyl)-

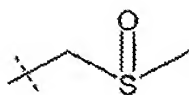
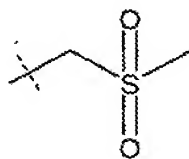
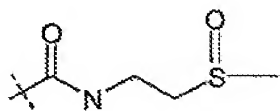
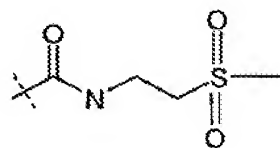
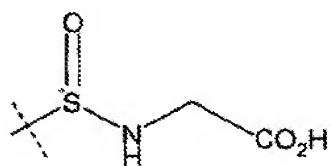
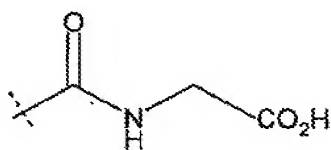
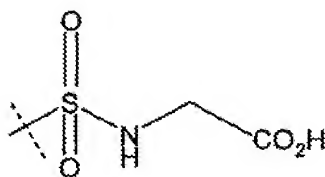
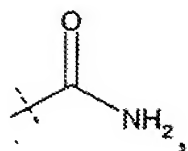
-37-

- (1-methylpyrrolidin-2-one-3-yl),
- NHC(O)NH-(C₁-C₅ alkyl)-C(O)-OH,
- NHC(O)NH-(C₁-C₅ alkyl)-C(O)-O-(C₁-C₅ alkyl),
- NHC(O)NH-(C₁-C₅ alkyl)-5-tetrazolyl,
- 5 -NHC(O)NH-(C₁-C₅ alkyl)-SO₂-(C₁-C₅ alkyl),
- NHC(O)NH-(C₁-C₅ alkyl)-SO₂-NH₂,
- NHC(O)NH-(C₁-C₅ alkyl)-SO₂-NH-(C₁-C₅ alkyl),
- NHC(O)NH-(C₁-C₅ alkyl)-SO₂-N-(C₁-C₅ alkyl)₂,
- NHC(O)NH-(C₁-C₅ alkyl)-P(O)-O-(C₁-C₅ alkyl)₂,
- 10 -NH₂,
- NH-(C₁-C₅ alkyl),
- NH-CH₂-C(O)OH,
- N-(C₁-C₅ alkyl)₂,
- NH-C(O)-NH₂,
- 15 -NH-C(O)-NH-(C₁-C₅ alkyl),
- NH-C(O)-N-(C₁-C₅ alkyl)₂,
- NH-C(O)-(C₁-C₅ alkyl),
- NH-SO₂-(C₁-C₅ alkyl),
- NH-S(O)-(C₁-C₅ alkyl),
- 20 -N(CH₃)(OCH₃),
- N(OH)(CH₃),
- N-pyrrolidin-2-one,
- N-pyrrolidine,
- (1-methylpyrrolidin-2-one-3-yl),

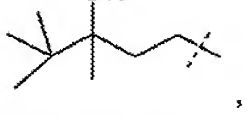
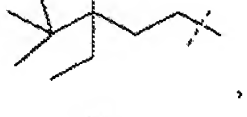
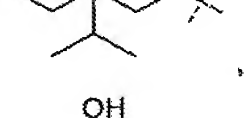
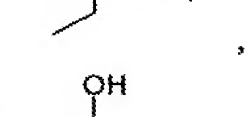
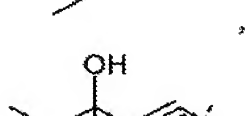
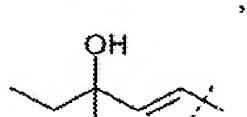
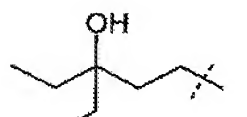
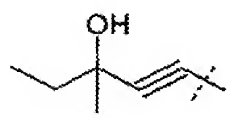
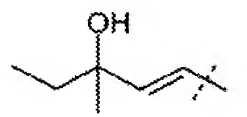
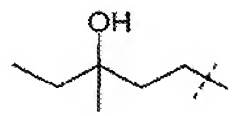
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-38-



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5

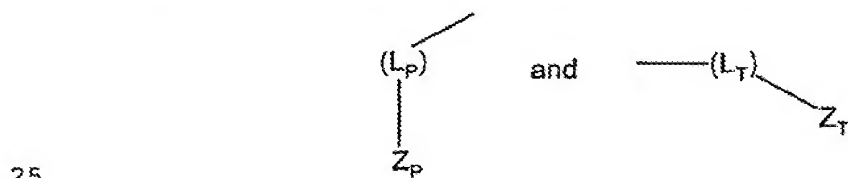
10

1-hydroxycyclopentenyl,
1-hydroxycyclohexenyl,

-40-

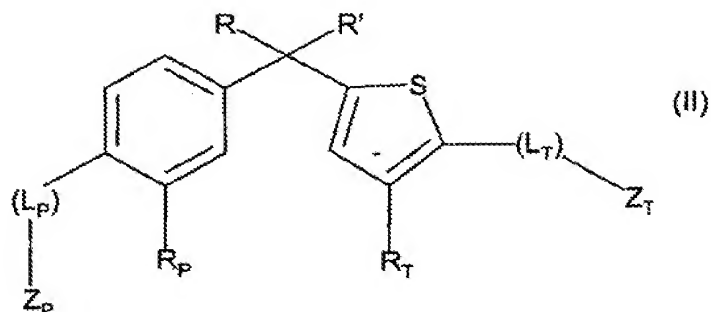
1-hydroxycycloheptenyl,
 1-hydroxycyclooctenyl,
 1-hydroxycyclopropyl,
 1-hydroxycyclobutyl,
 5 1-hydroxycyclopentyl,
 1-hydroxycyclohexyl,
 1-hydroxycycloheptyl,
 1-hydroxycyclooctyl,
 -5-tetrazolyl,
 10 -carboxyl,
 -OH,
 -I,
 -Br
 -Cl
 15 -F,
 -CHO,
 -NO₂,
 -CN,
 sulfonamide,
 20 sulfinamide,
 urethane-type radical, and
 (Acidic Group);

provided that the combined groups of formula I represented by



may both be lipophilic, or either one may be lipophilic and the other one polar; but both combined groups may not be polar.

Preferred compounds of the invention are represented by formula (II) or a pharmaceutically acceptable salt or prodrug derivative thereof:



5 wherein;

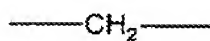
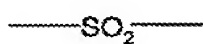
R and R' are independently methyl, ethyl, propyl, 1-methylethyl, 1-methylpropyl, 2-methylpropyl, or 1,1-dimethylethyl;

R_p and R_T are independently selected from the group consisting of hydrogen, fluoro, -CF₃, -CH₂F, -CHF₂, -CH₂Cl, methoxy, ethoxy, vinyl, methyl, ethyl, propyl, cyclopropyl, 1-methylethyl, butyl, 1-methylpropyl, 2-methylpropyl, or 1,1-dimethylethyl;

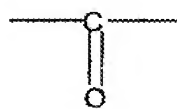
10 L_T and L_p are independently selected from one the following divalent linking group;

-42-

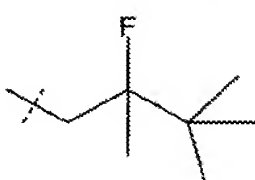
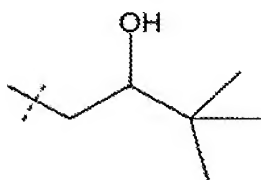
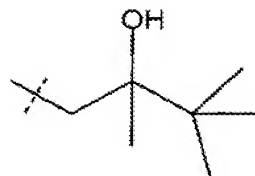
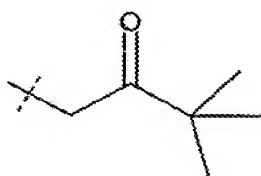
a bond

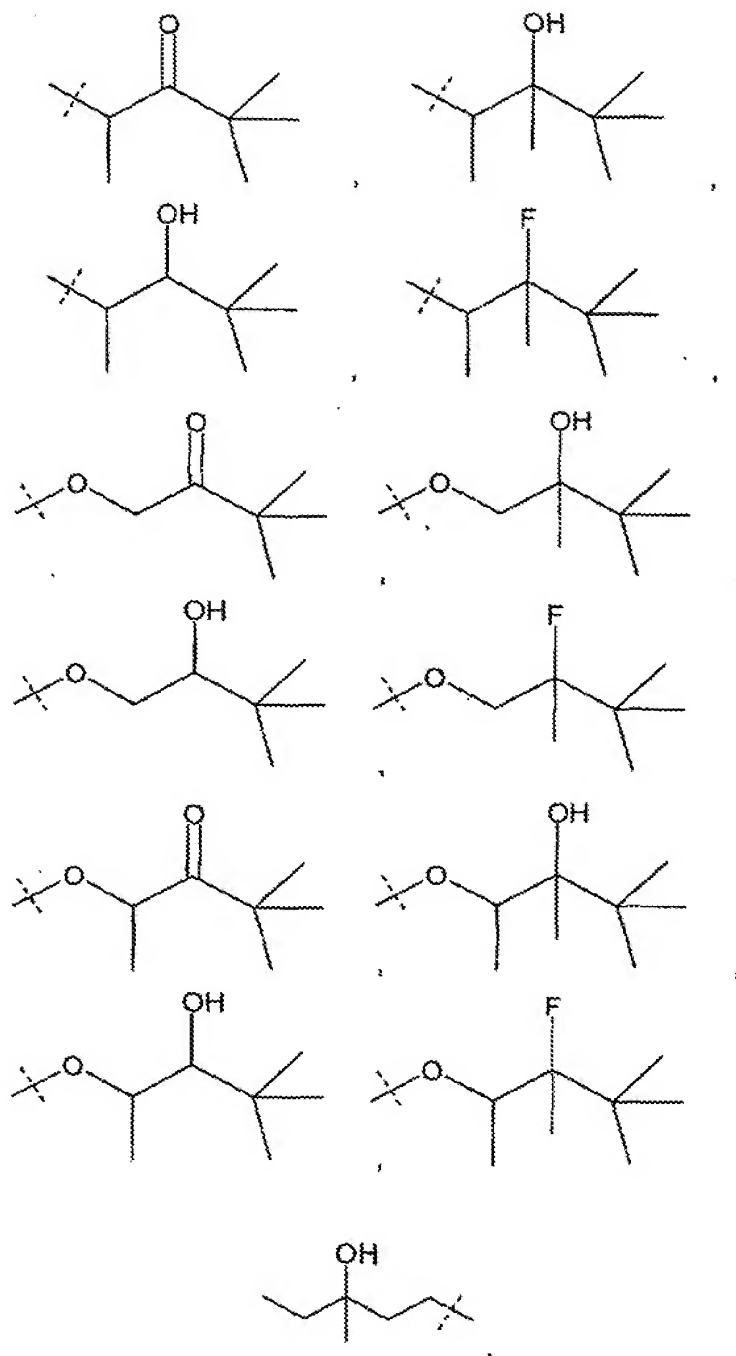


, or

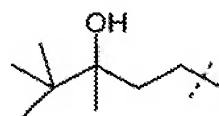
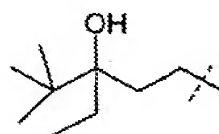
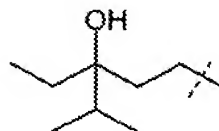
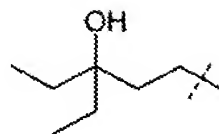


Zp is selected from





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5

1-hydroxycyclopentenyl,

1-hydroxycyclohexenyl,

1-hydroxycycloheptenyl,

1-hydroxycyclooctenyl,

10

1-hydroxycyclopropyl,

1-hydroxycyclobutyl,

1-hydroxycyclopentyl,

1-hydroxycyclohexyl,

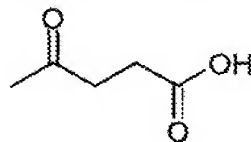
1-hydroxycycloheptyl,

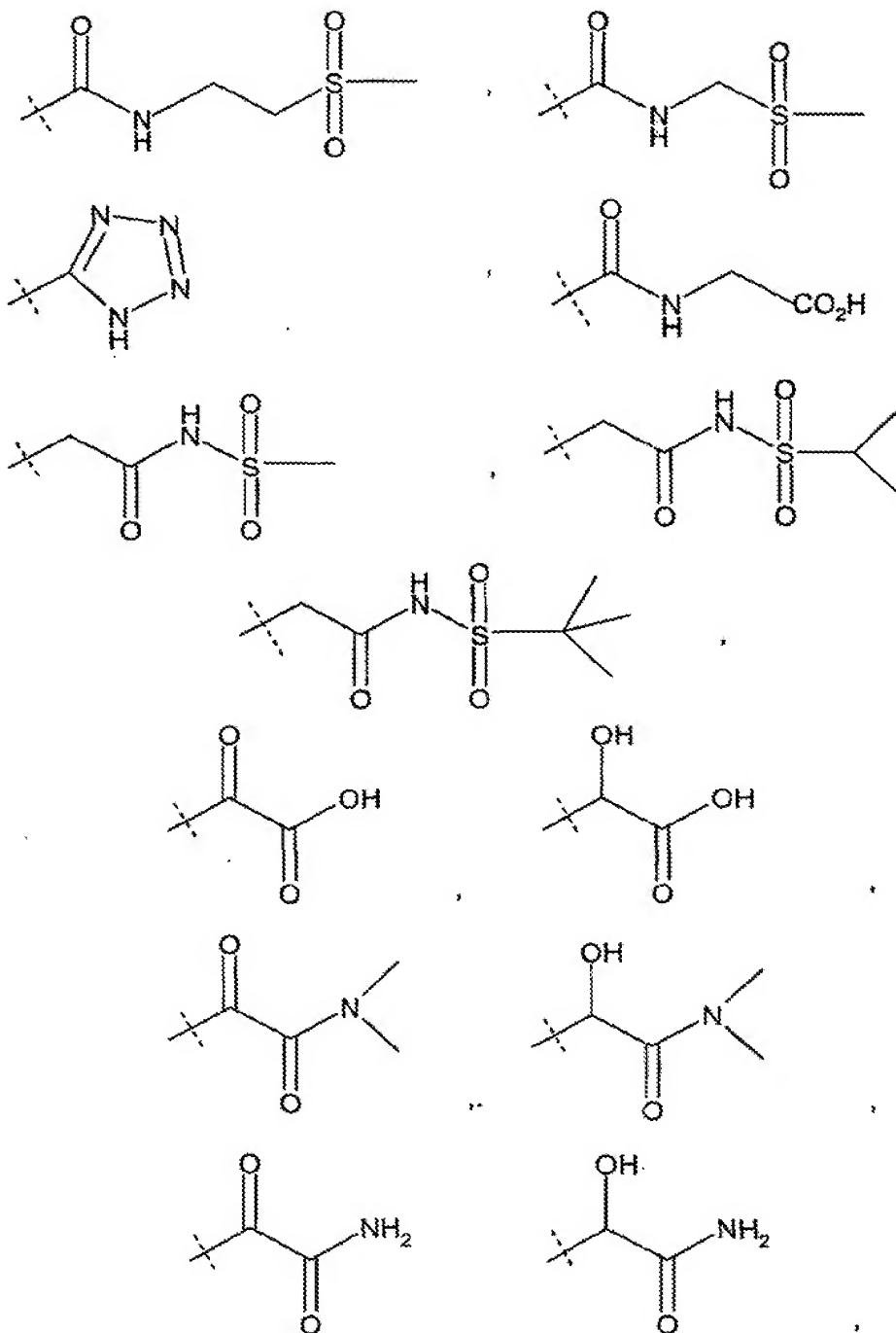
15

and

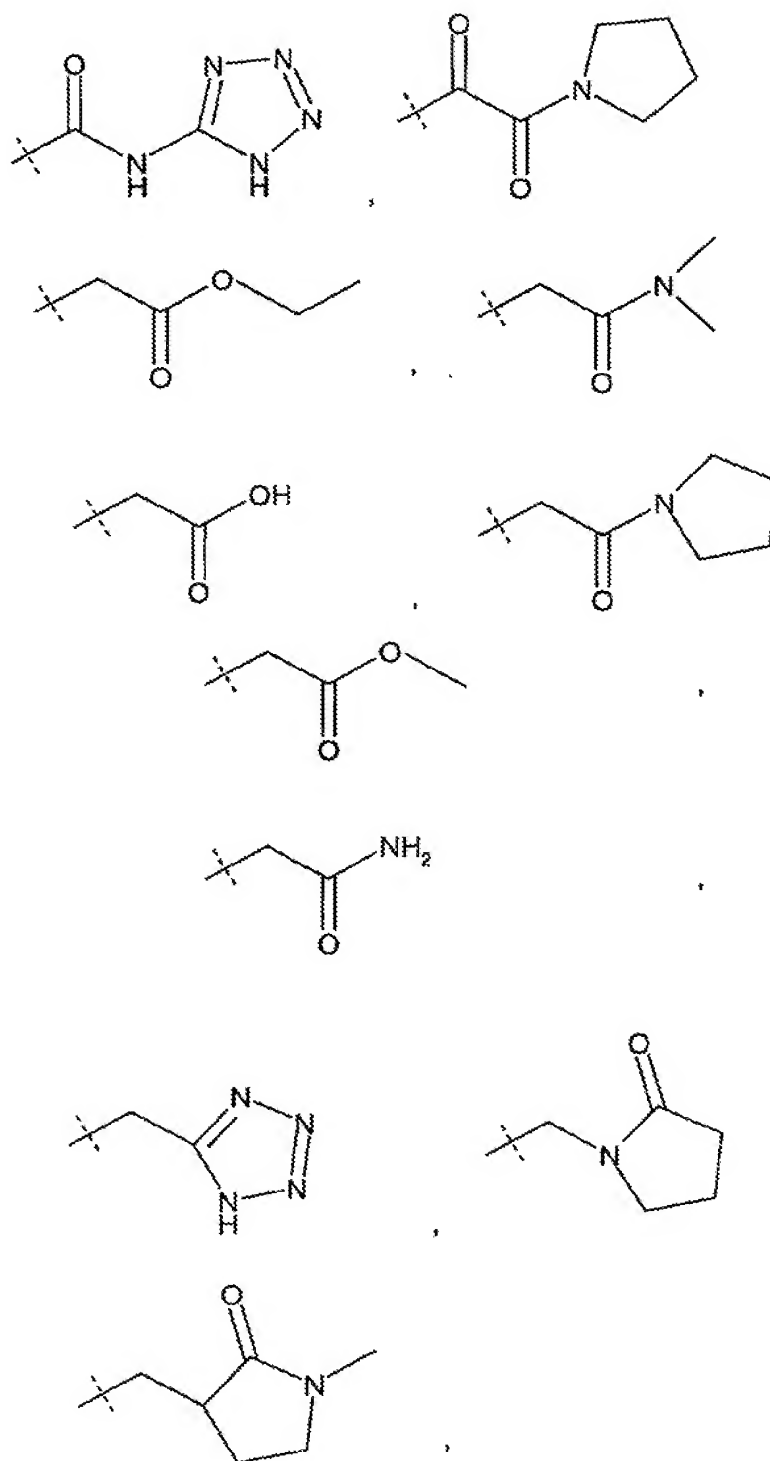
1-hydroxycyclooctyl.

Z_T is a group represented by one of the structural formulae:

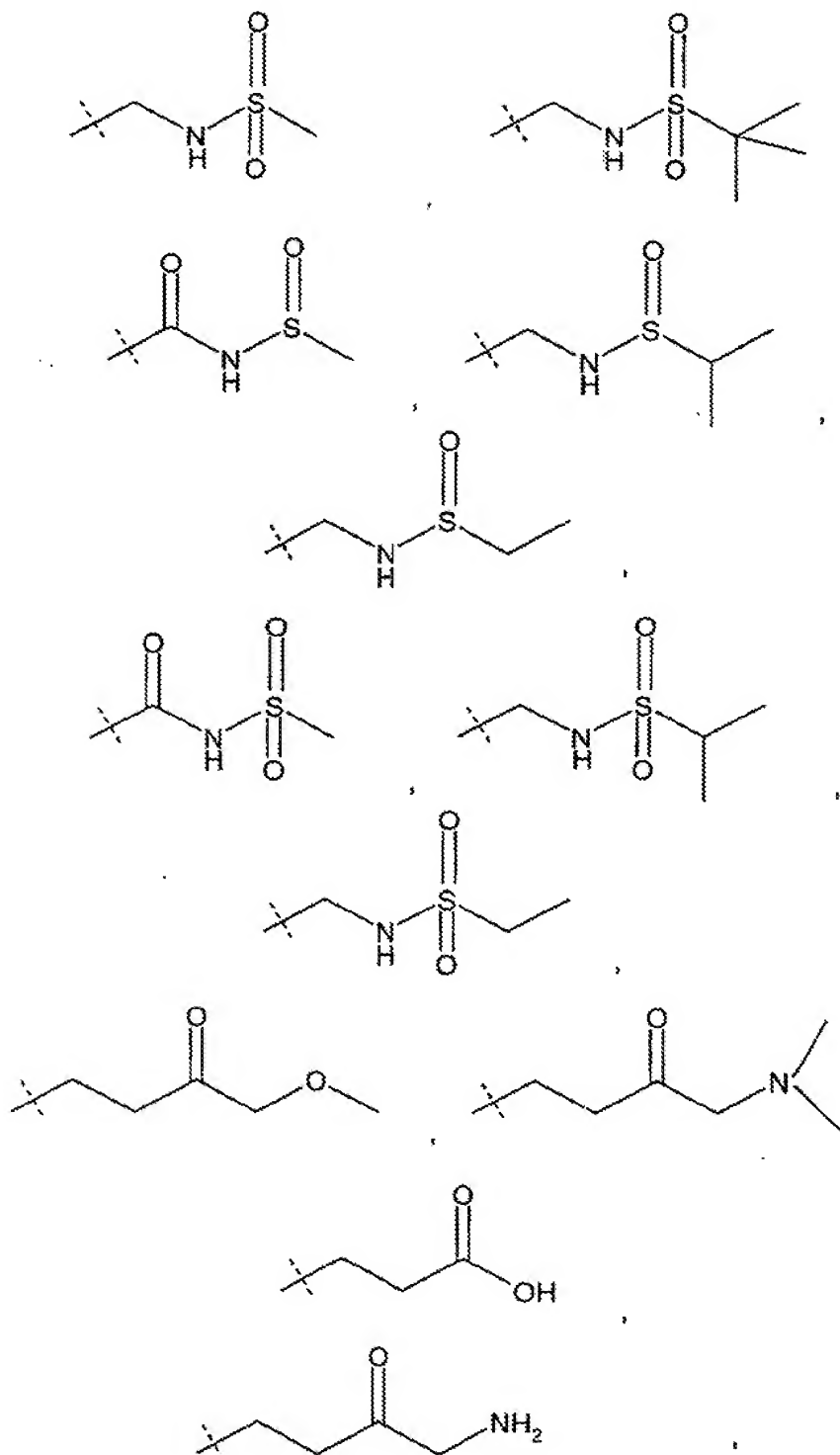


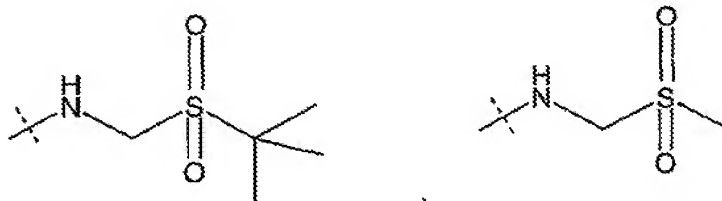
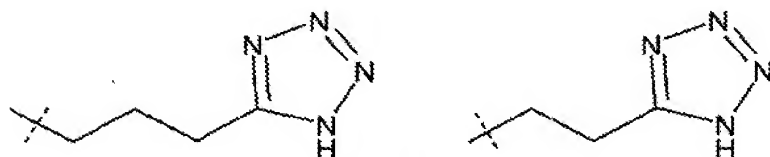
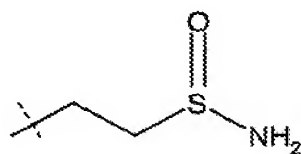
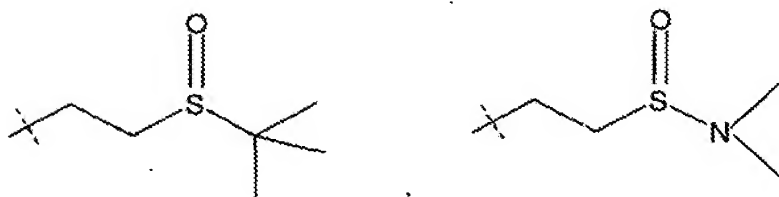
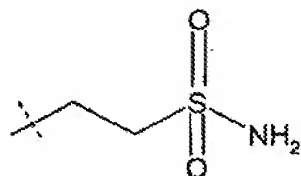
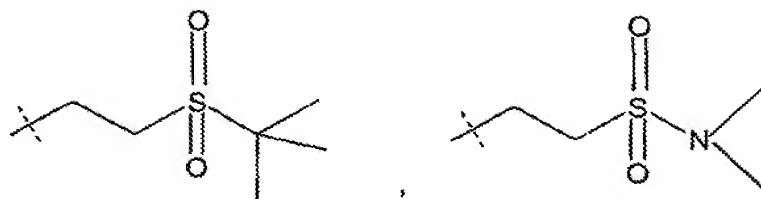


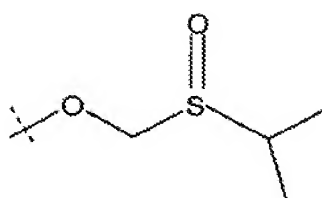
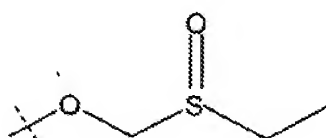
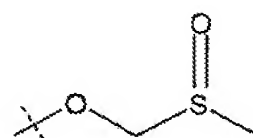
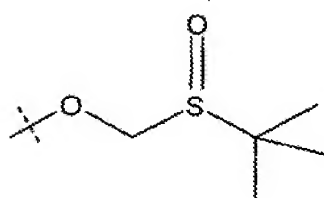
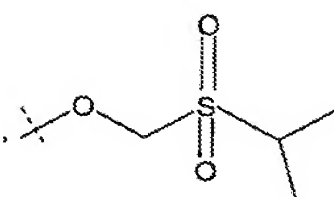
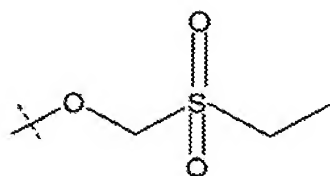
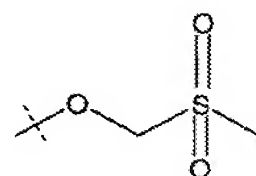
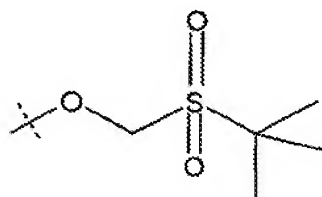
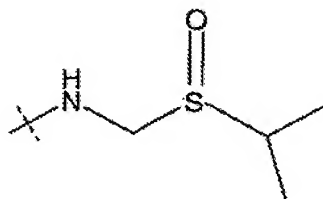
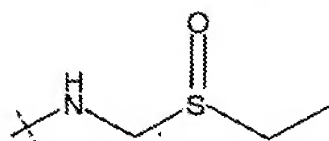
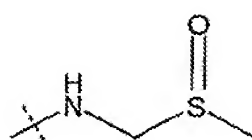
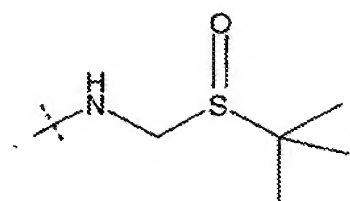
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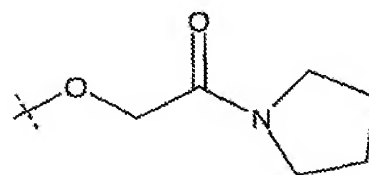
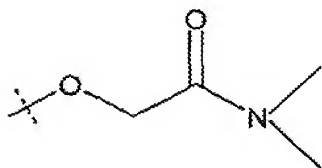
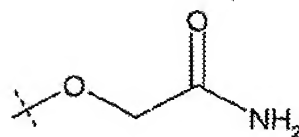
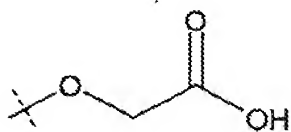
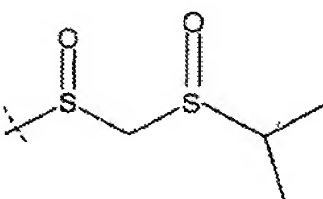
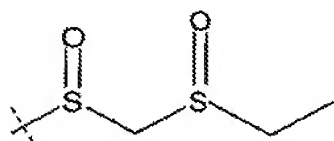
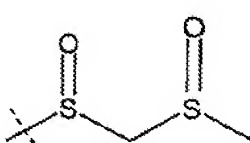
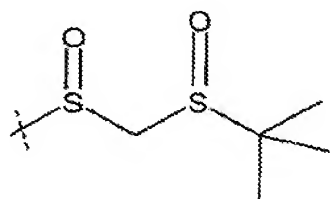
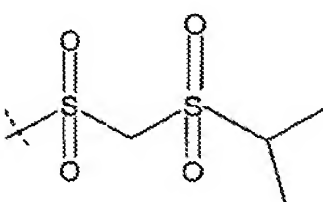
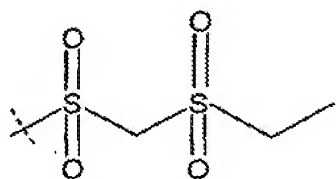
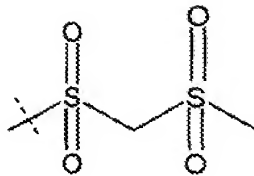
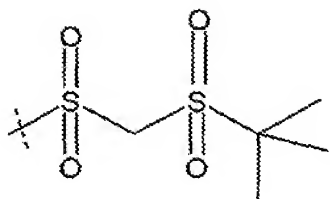


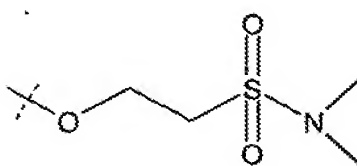
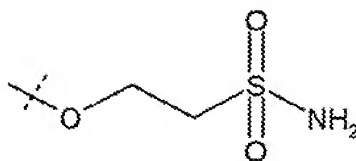
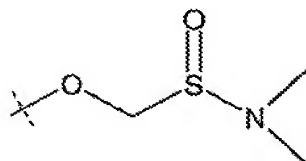
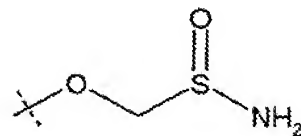
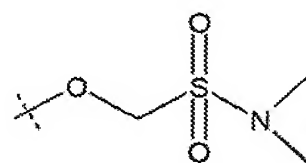
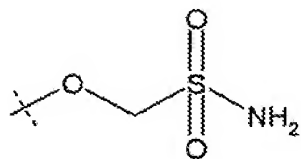
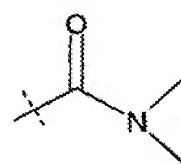
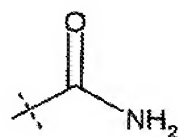
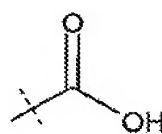
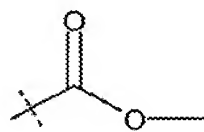
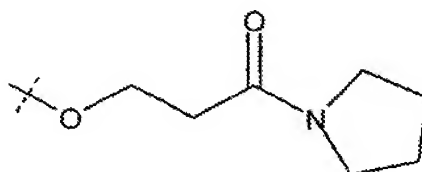
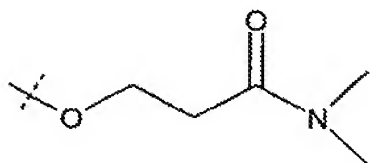
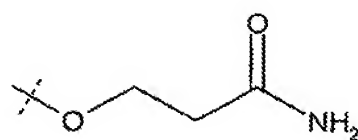
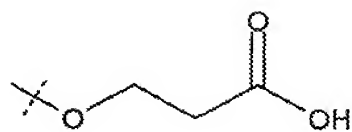
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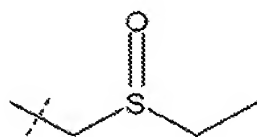
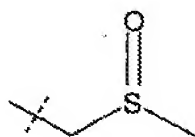
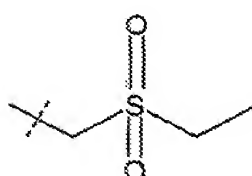
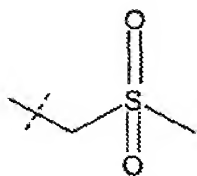
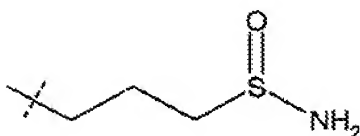
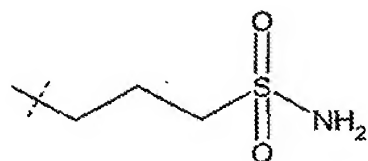
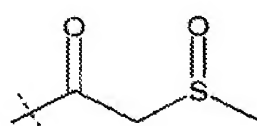
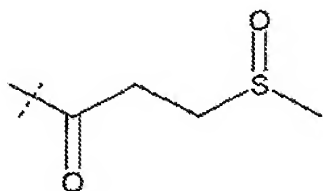
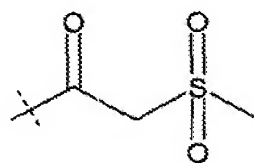
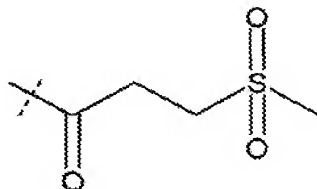
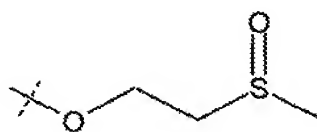
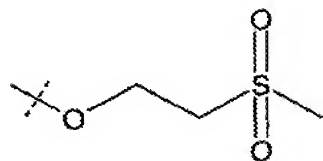
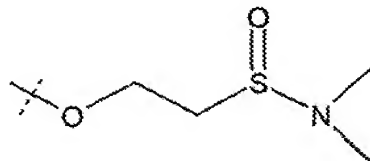
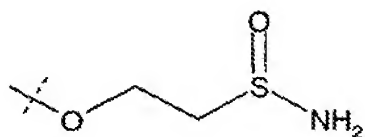


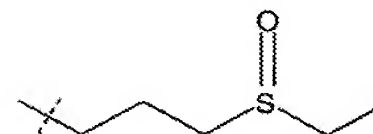
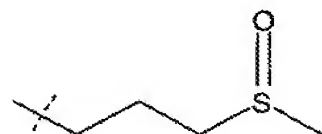
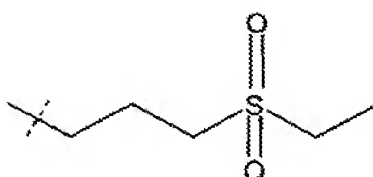
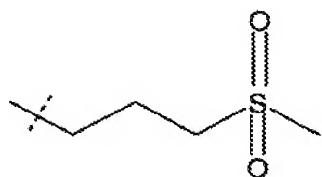
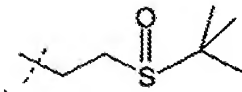
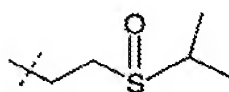
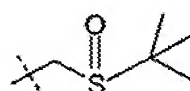
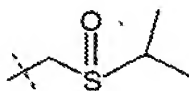
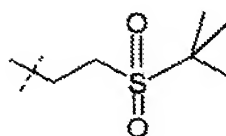
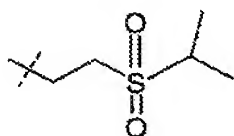
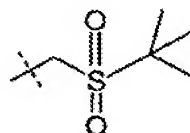
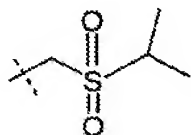
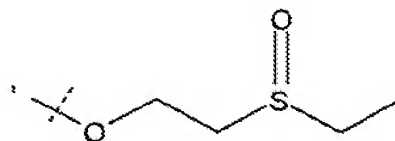
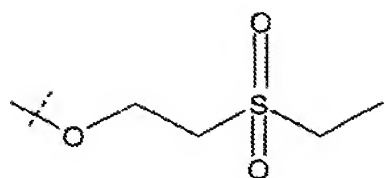


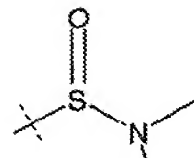
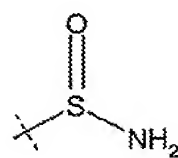
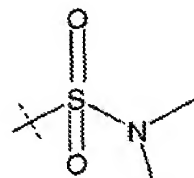
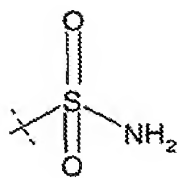
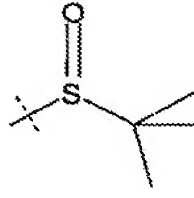
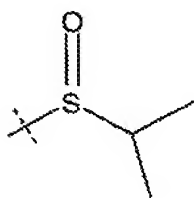
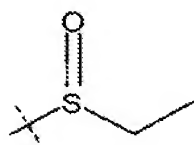
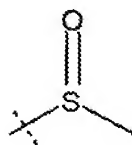
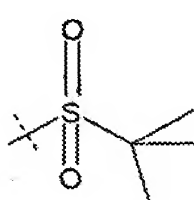
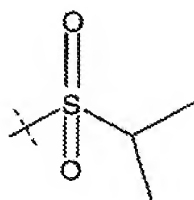
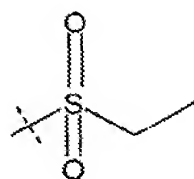
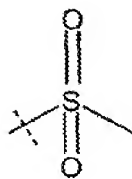


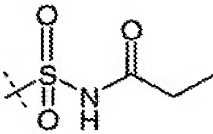
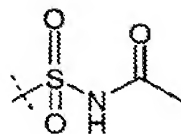
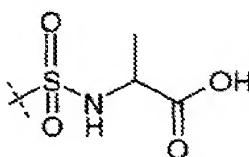
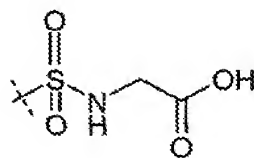
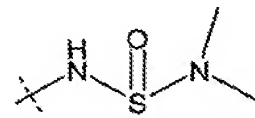
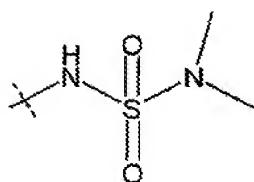
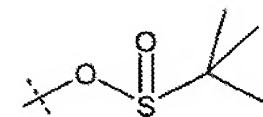
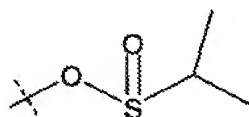
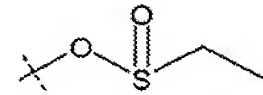
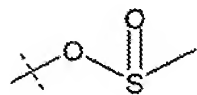
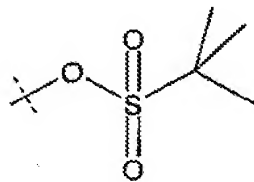
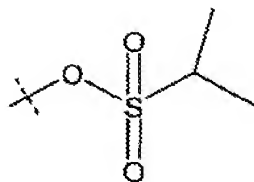
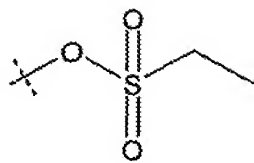
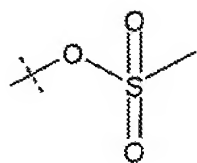




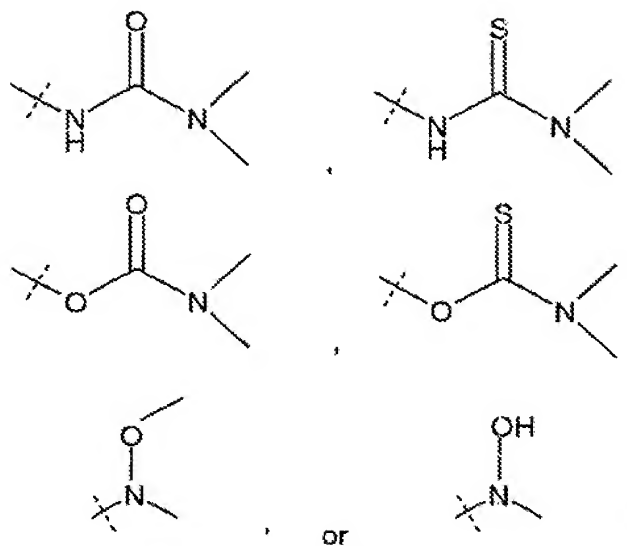




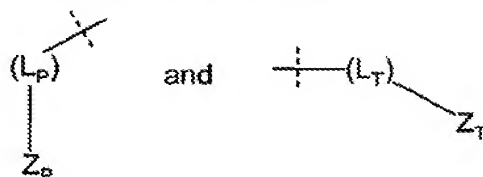




-57-

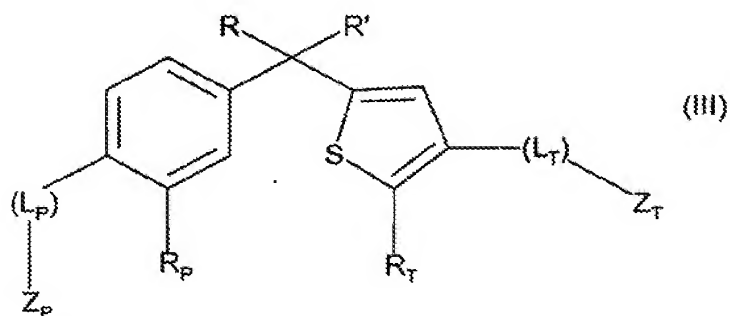


provided that the combined groups of formula I represented by



- 5 may both be lipophilic, or either one may be lipophilic and the other one polar; but both groups may not be polar.

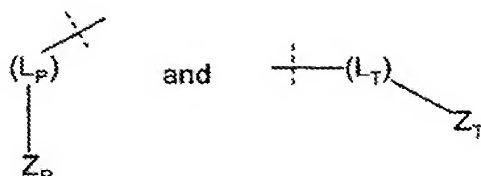
Preferred compounds of the invention are also those represented by the formula III or a pharmaceutically acceptable salt or prodrug derivative thereof:



10

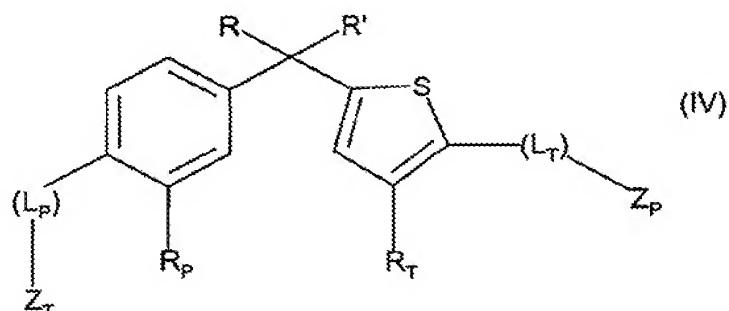
wherein the substituents R, R', Rp, Rt, Lp, Lt, Zp, and Zt are the same as defined for formula II, supra., provided that the combined groups of formula I represented by

-58-

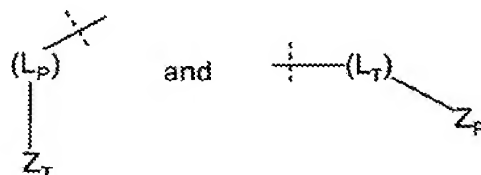


may both be lipophilic, or either one may be lipophilic and the other one polar; but both groups may not be polar.

Preferred compounds of the invention are also those represented by the formula IV
 5 or a pharmaceutically acceptable salt or prodrug derivative thereof:

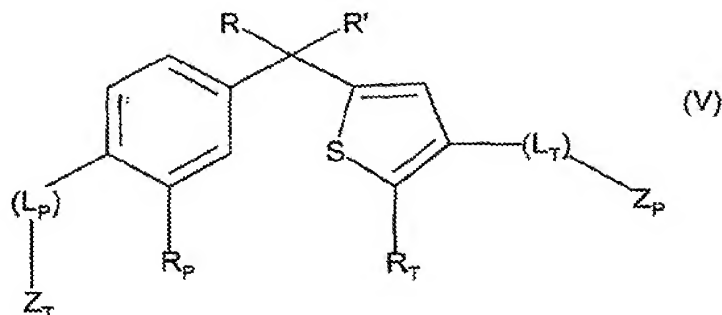


wherein the substituents R , R' , R_p , R_t , L_p , L_t , Z_p , and Z_t are the same as defined for
 10 formula II, supra., provided that the combined groups of formula I represented by

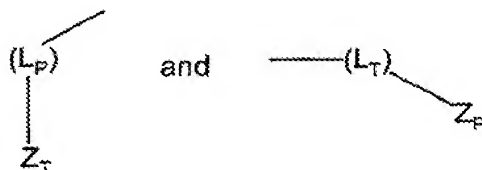


may both be lipophilic, or either one may be lipophilic and the other one polar; but both groups may not be polar.

Preferred compounds of the invention are also those represented by the formula V
 15 or a pharmaceutically acceptable salt or prodrug derivative thereof:



wherein the substituents R, R', R_P, R_T, L_P, L_T, Z_P, and Z_T are the same as defined for formula II, *supra.*, provided that the combined groups of formula I represented by



5 may both be lipophilic, or either one may be lipophilic and the other one polar; but both groups may not be polar.

Preferred Substituents of Compounds Represented by Formulae I, II, III, IV, and V:

Particularly preferred compounds of Formulae I thru V are those wherein the divalent linking group, $-(L_T)-$ is a bond, $-O-$, or $-CH_2-$.

10 Particularly preferred compounds of Formulae I thru V are those wherein both R and R' are ethyl.

Particularly preferred compounds of Formulae I thru V are those wherein both R_p and R_T are methyl.

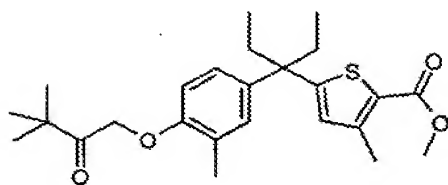
Particularly preferred salt forms of Formulae I thru V are the potassium or sodium salts.

A particularly preferred C₁-C₅ alkyl group where Z_p and/or Z_T contain such group is 1,1-dimethylethyl.

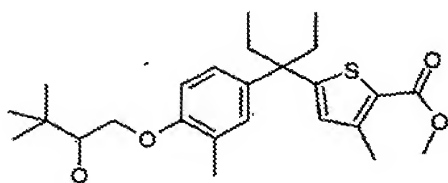
Preferred compounds are useful in practicing the therapeutic methods of the
20 invention as shown in the structural formulae X1 to X188, as follows:

X1)

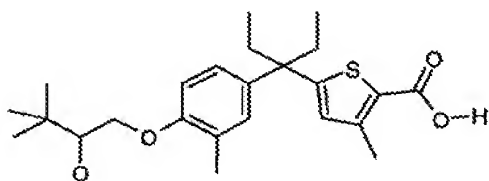
-60-



X2)

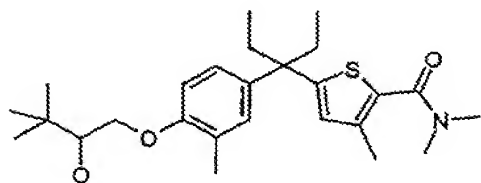


X3)

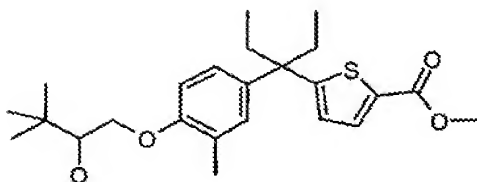


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X4)

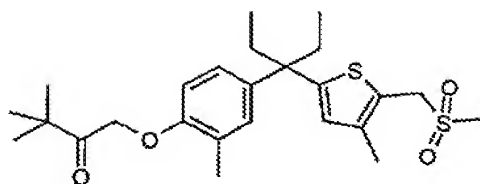


X5)

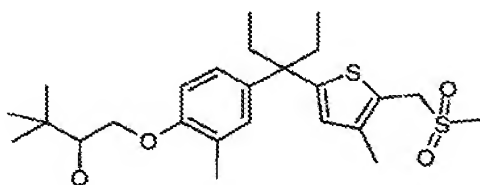


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X9)

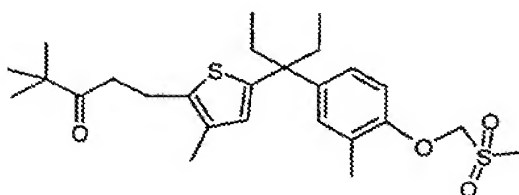


X10)

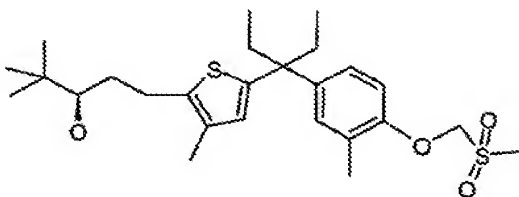


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10 X13)

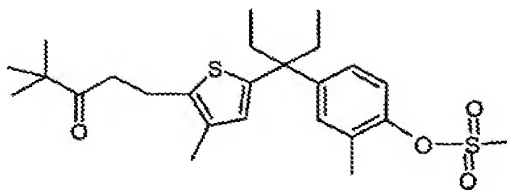


X14)

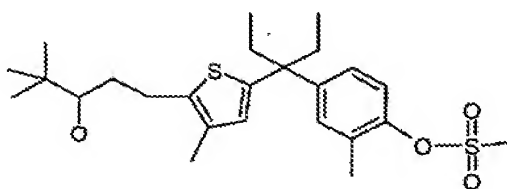


15

X17)

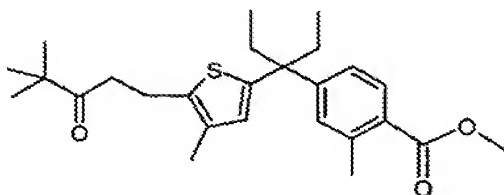


X19)

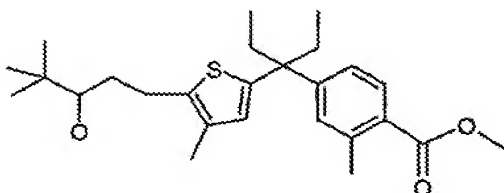


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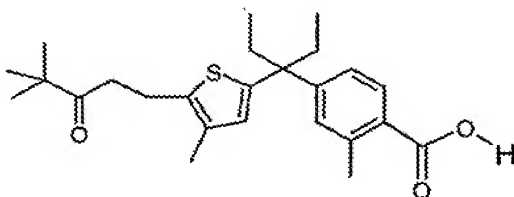
X20)



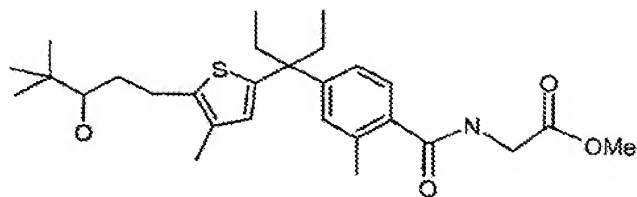
X21)



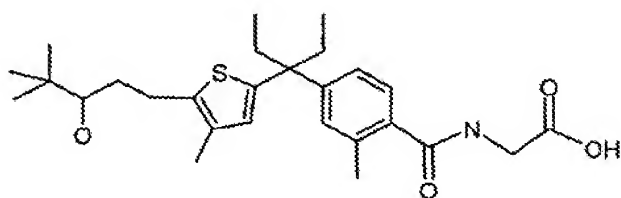
10 X22)



X24)

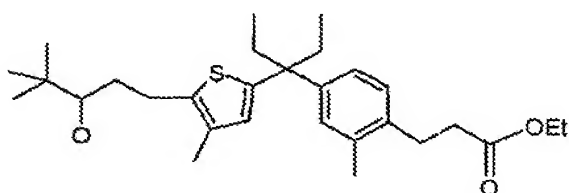


X26)

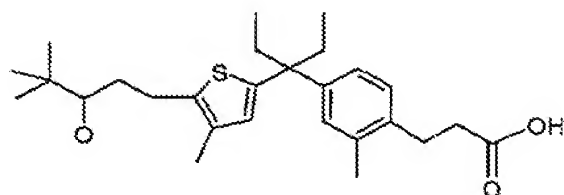


X28)

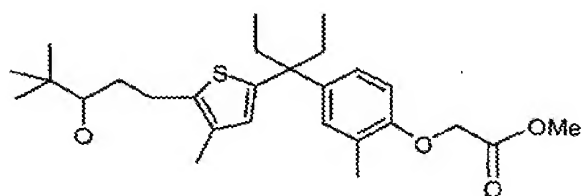
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X29)



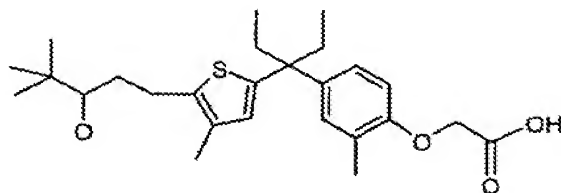
X31)



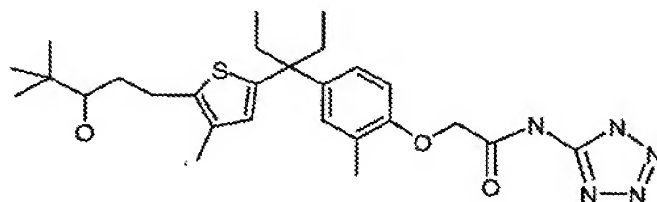
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-64-

X32)

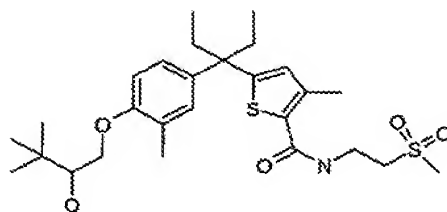


X34)



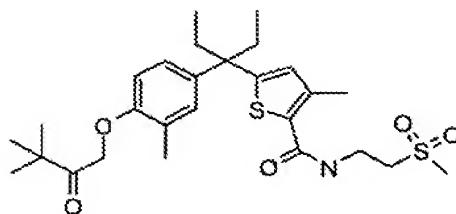
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X38)



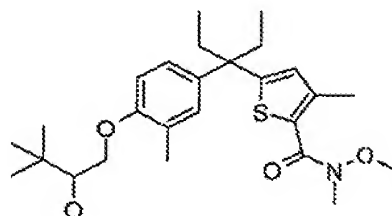
X41)

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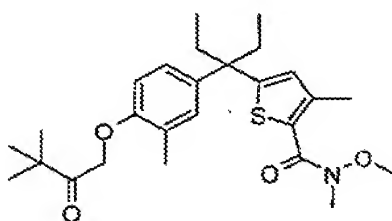


X42)

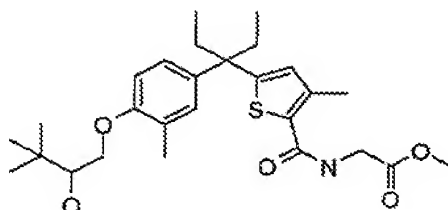
-65-



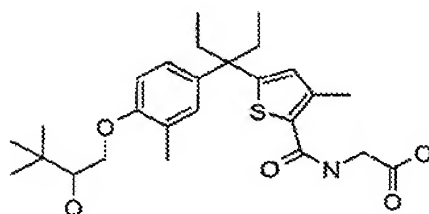
X45)



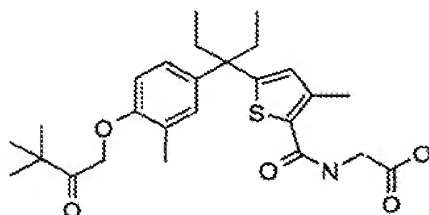
5 X46)



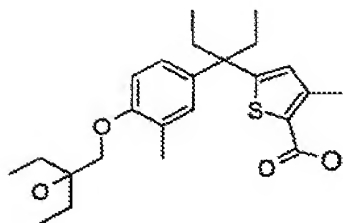
X47)



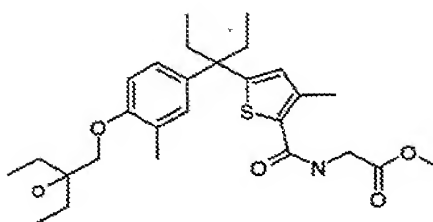
10 X50)



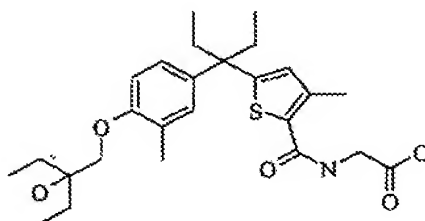
X51)



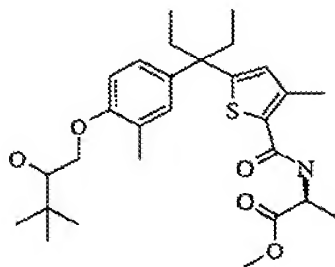
X52)



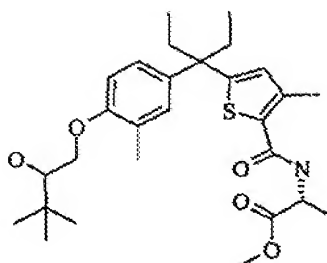
5 X53)



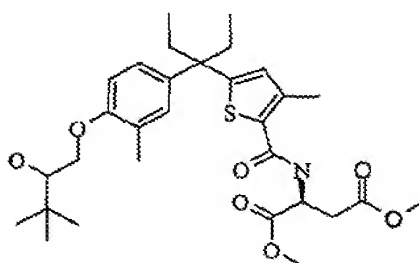
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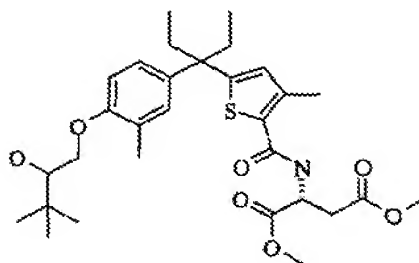
X56)



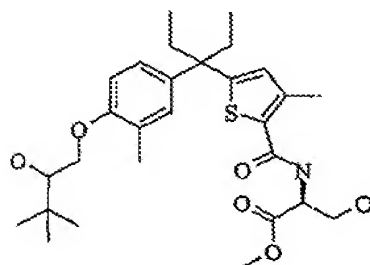
X58)



5 X60)

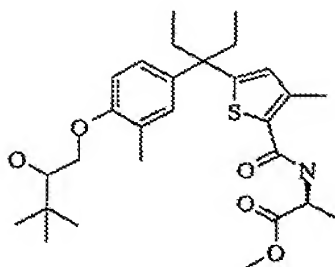


X62)

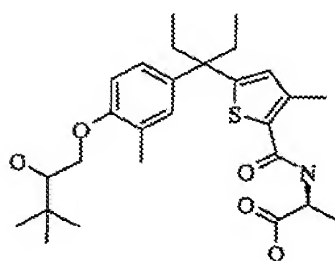


X64)

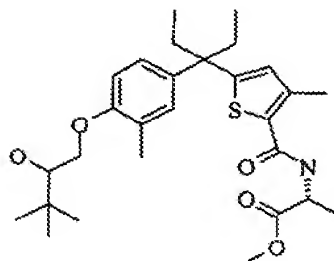
-68-



X65)

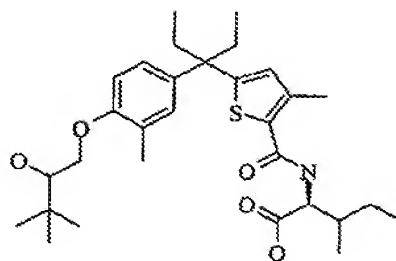


X66)



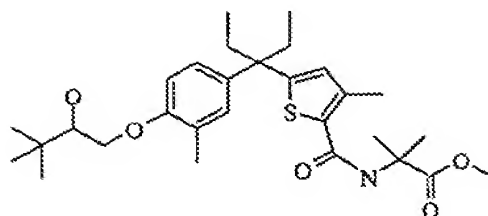
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X69)

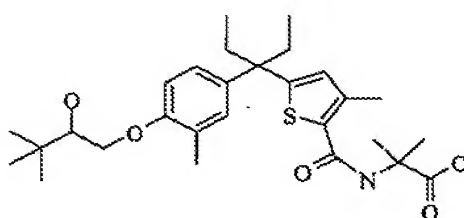


X70)

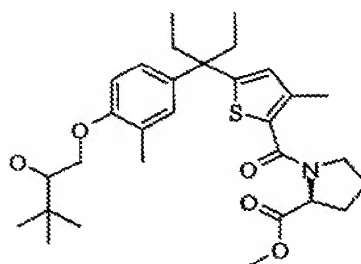
-69-



X71)

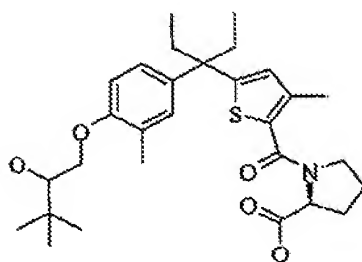


X72)



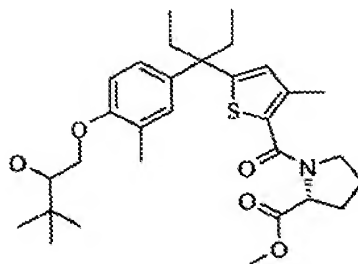
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X75)

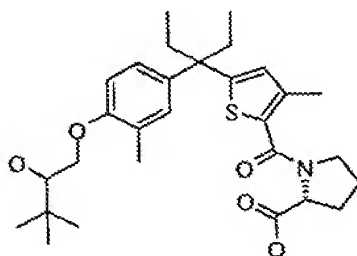


-70-

X78)

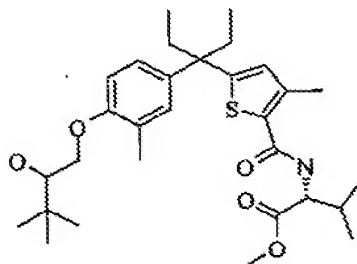


X81)

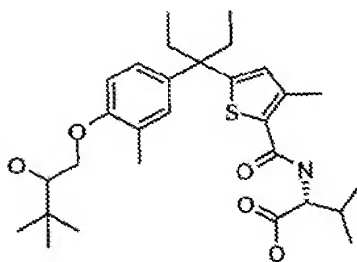


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X83)

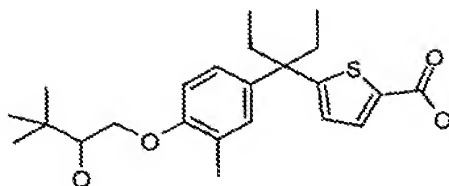


10 X86)



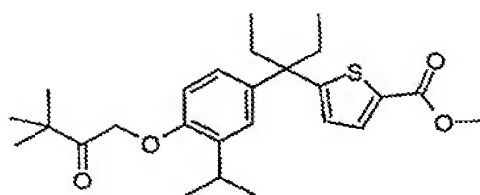
-71-

X88)

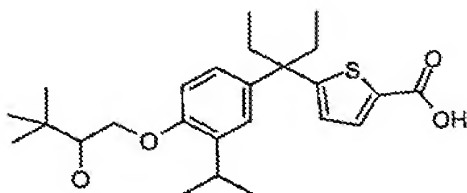


X91)

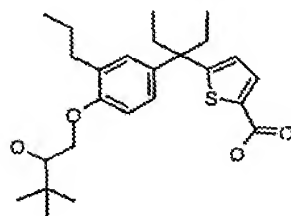
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X92)

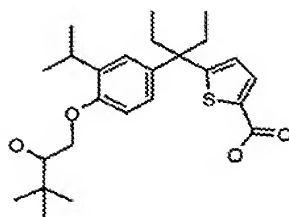


10 X93)

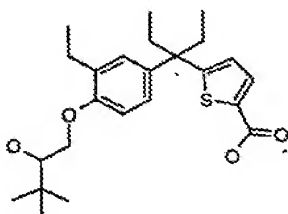


X96)

-72-

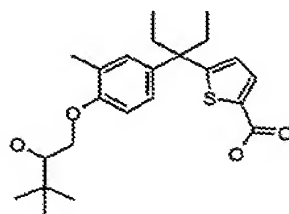


X99)



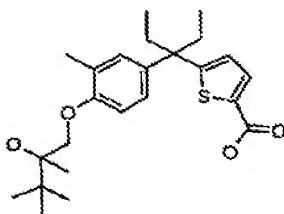
X102)

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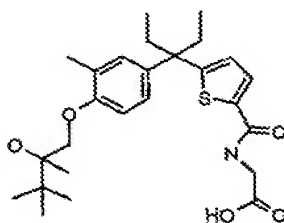


-73-

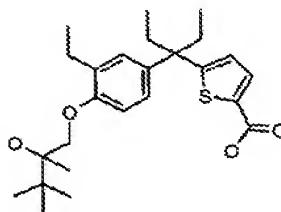
X103)



5 X106)

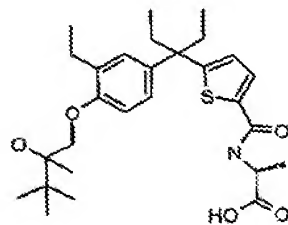


X107)



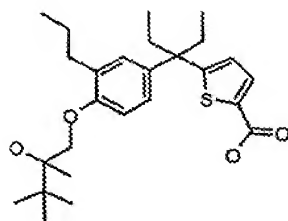
X110)

10

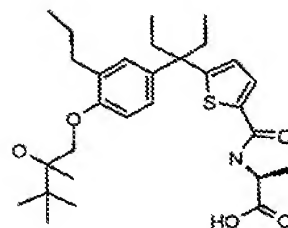


X111)

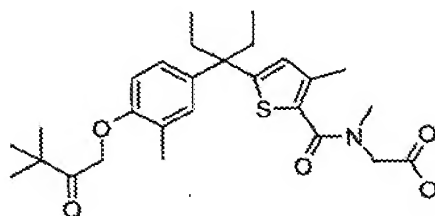
-74-



X114)

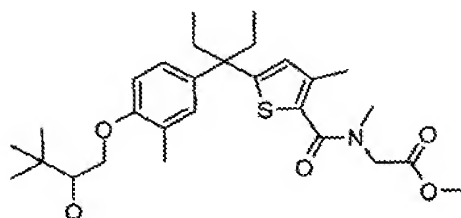


X118)

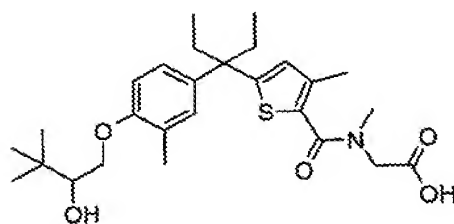


5

X119)



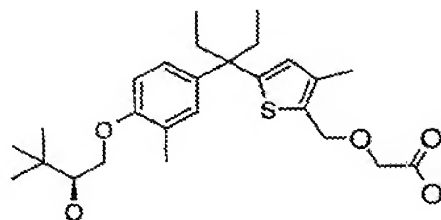
X122)



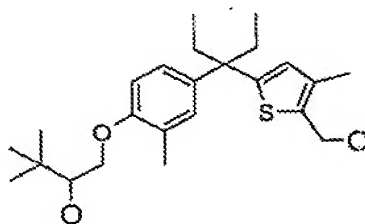
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-75-

X124)

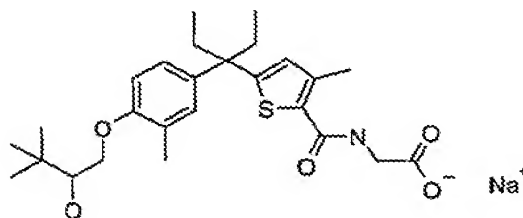


X125)

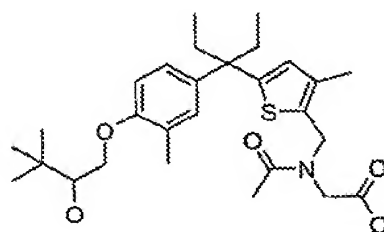


5

X128)



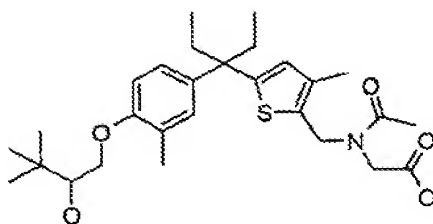
X130)



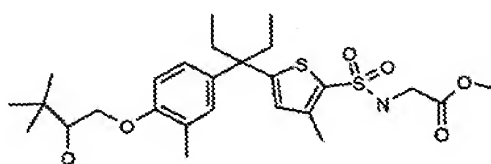
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X131)

-76-

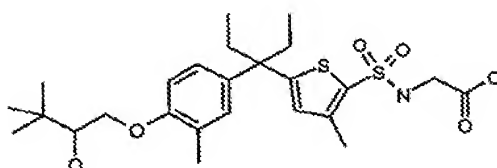


X134)



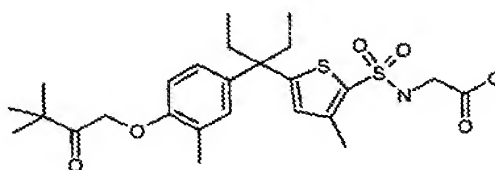
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X137)

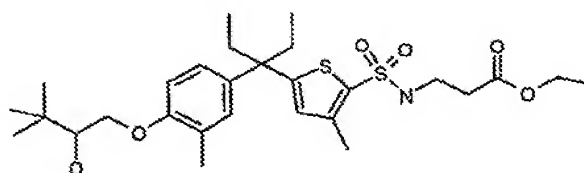


X139)

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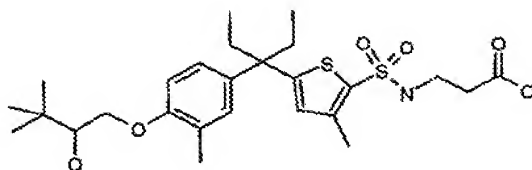
X140)



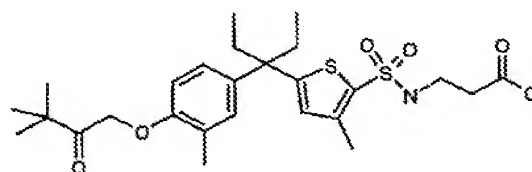
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-77-

X141)

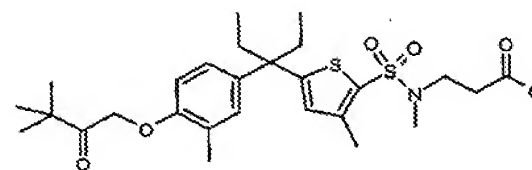


X144)

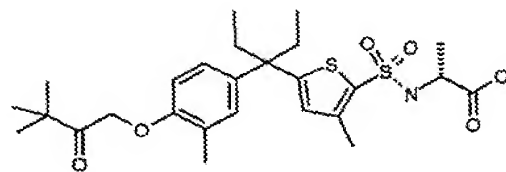


5

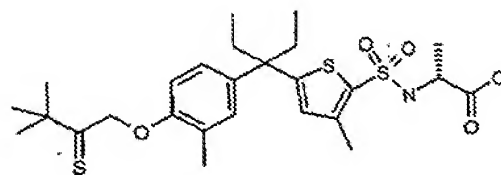
X145)



10 X146)

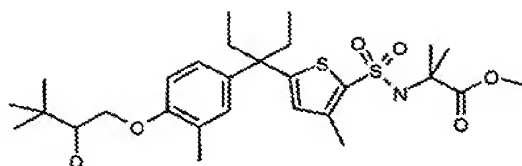


X147)

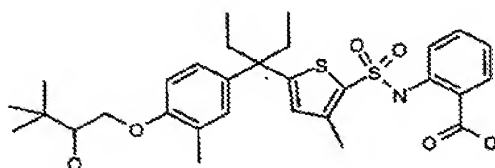


15 X148)

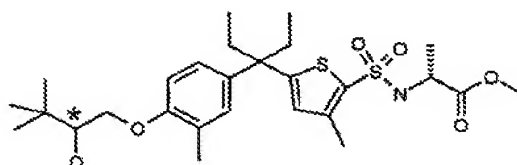
-78-



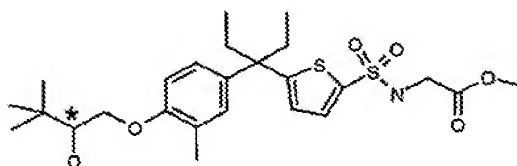
X149)



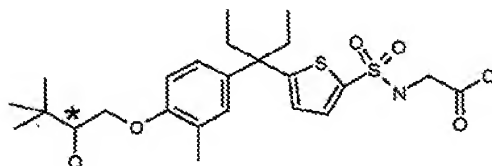
5 X150)



X152)

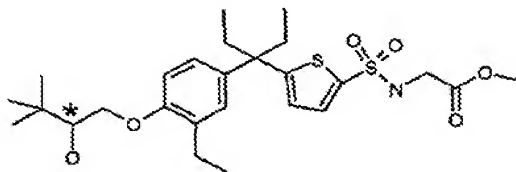


10 X153)

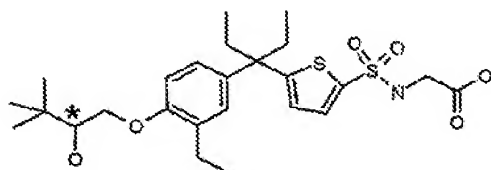


X154)

-79-

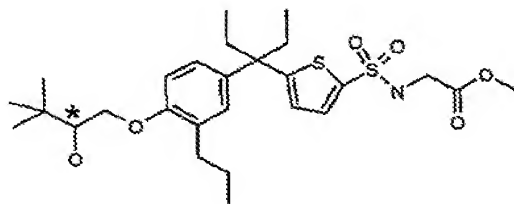


X155)

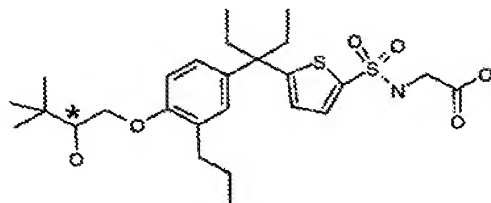


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X156)

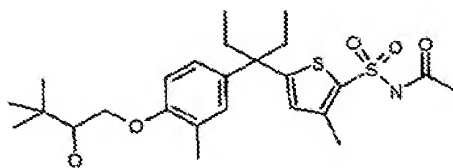


X157)

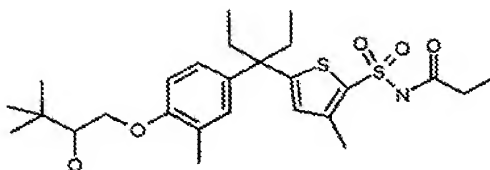


10

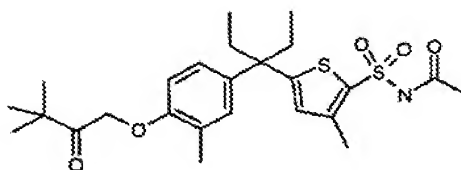
X158)



X159)

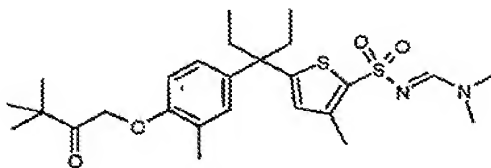


X160)

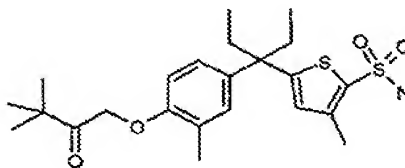


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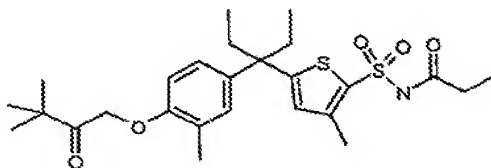
X161)



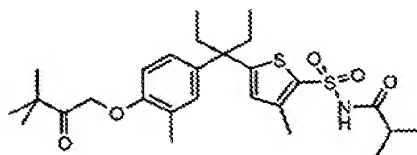
X162)



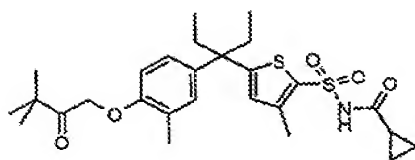
10 X163)



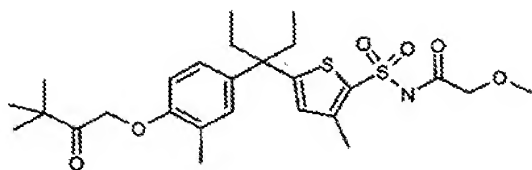
X164)



X165)

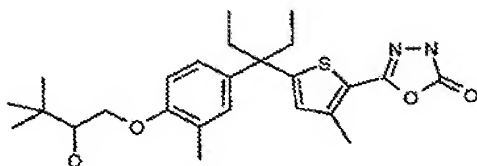


X166)

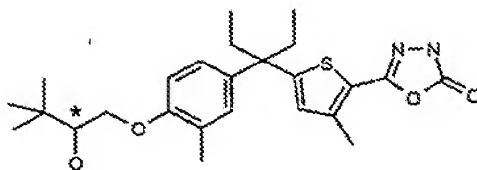


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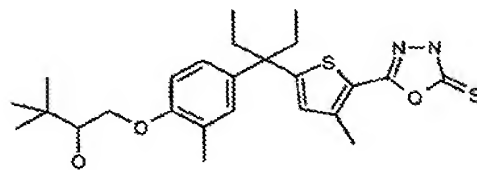
X169)



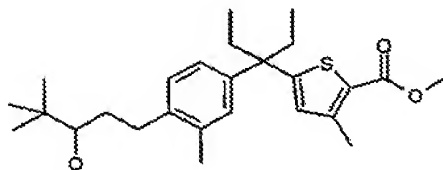
X171)



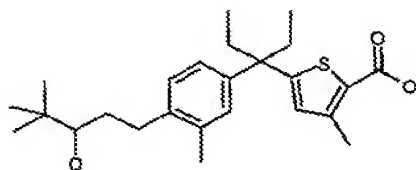
10 X172)



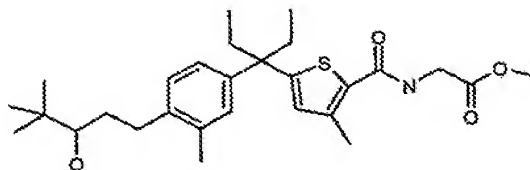
X174)



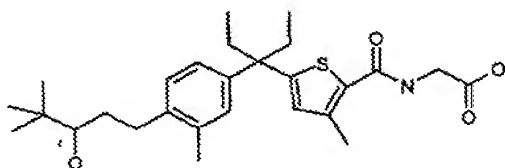
X175)



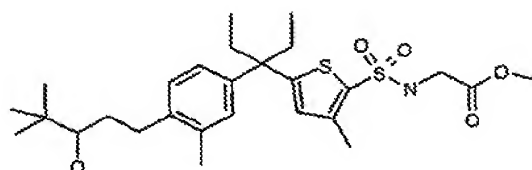
5 X176)



X177)

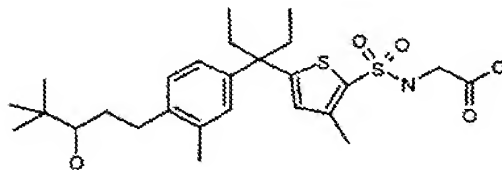


X178)



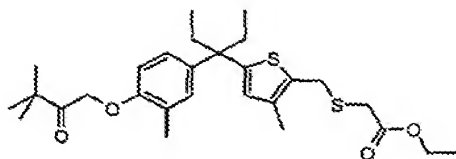
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X179)

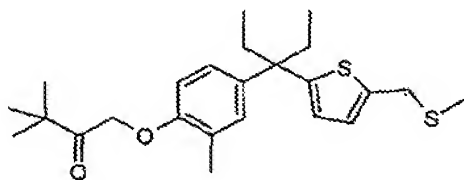


X183)

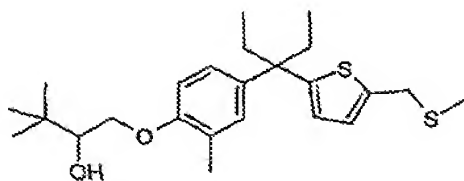
-83-



X184)

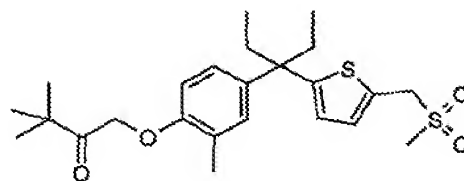


X185)

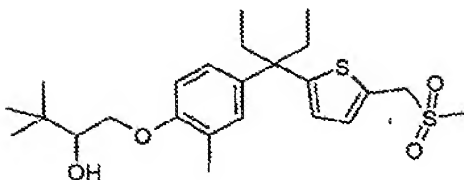


5

X187)



X188)



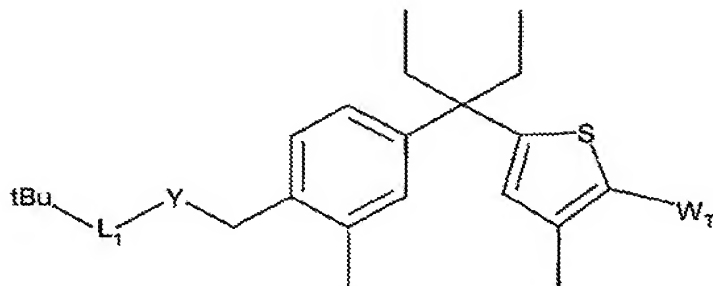
10

Other specific compounds that are preferred embodiments of this invention and are preferred for practicing the method of treatment of the invention are set out in the following four Tables. All numbers in the Tables cells reciting chemical species are subscripts, for example, in row, Code 11, Column, WT, the symbol, "CO₂H" is to be understood as the conventional chemical nomenclature, -- CO₂H --. Each row of Tables

1, 2, 3, and 4 is a single compound having an identifying "Code" (e.g., "206", "318A") defining the specific substituents in the structural formula displayed above the Tables, as follows:

5

Table 1



Code	L ₁	Y	W _T
1	C(O)	CH ₂	-CO ₂ Me
2	CHOH	CH ₂	-CO ₂ Me
3	C(Me)OH	CH ₂	-CO ₂ Me
4	C(O)	CH(Me)	-CO ₂ Me
5	CHOH	CH(Me)	-CO ₂ Me
6	C(Me)OH	CH(Me)	-CO ₂ Me
7	C(O)	CH ₂	-CO ₂ H
8	CHOH	CH ₂	-CO ₂ H
9	C(Me)OH	CH ₂	-CO ₂ H
10	C(O)	CH(Me)	-CO ₂ H
11	CHOH	CH(Me)	-CO ₂ H
12	C(Me)OH	CH(Me)	-CO ₂ H
13	C(O)	CH ₂	-C(O)NH ₂
14	CHOH	CH ₂	-C(O)NH ₂
15	C(Me)OH	CH ₂	-C(O)NH ₂
16	C(O)	CH(Me)	-C(O)NH ₂
17	CHOH	CH(Me)	-C(O)NH ₂

18	C(Me)OH	CH(Me)	-C(O)NH ₂
19	C(O)	CH ₂	-C(O)NMe ₂
20	CHOH	CH ₂	-C(O)NMe ₂
21	C(Me)OH	CH ₂	-C(O)NMe ₂
22	C(O)	CH(Me)	-C(O)NMe ₂
23	CHOH	CH(Me)	-C(O)NMe ₂
24	C(Me)OH	CH(Me)	-C(O)NMe ₂
25	C(O)	CH ₂	5-tetrazolyl
26	CHOH	CH ₂	5-tetrazolyl
27	C(Me)OH	CH ₂	5-tetrazolyl
28	C(O)	CH(Me)	5-tetrazolyl
29	CHOH	CH(Me)	5-tetrazolyl
30	C(Me)OH	CH(Me)	5-tetrazolyl
31	C(O)	CH ₂	-C(O)-NH-5-tetrazolyl
32	CHOH	CH ₂	-C(O)-NH-5-tetrazolyl
33	C(Me)OH	CH ₂	-C(O)-NH-5-tetrazolyl
34	C(O)	CH(Me)	-C(O)-NH-5-tetrazolyl
35	CHOH	CH(Me)	-C(O)-NH-5-tetrazolyl
36	C(Me)OH	CH(Me)	-C(O)-NH-5-tetrazolyl
37	C(O)	CH ₂	-C(O)NHCH ₂ SO ₂ Me
38	CHOH	CH ₂	-C(O)NHCH ₂ SO ₂ Me
39	C(Me)OH	CH ₂	-C(O)NHCH ₂ SO ₂ Me
40	C(O)	CH(Me)	-C(O)NHCH ₂ SO ₂ Me
41	CHOH	CH(Me)	-C(O)NHCH ₂ SO ₂ Me
42	C(Me)OH	CH(Me)	-C(O)NHCH ₂ SO ₂ Me
43	C(O)	CH ₂	-C(O)NHCH ₂ CH ₂ SO ₂ Me
44	CHOH	CH ₂	-C(O)NHCH ₂ CH ₂ SO ₂ Me
45	C(Me)OH	CH ₂	-C(O)NHCH ₂ CH ₂ SO ₂ Me
46	C(O)	CH(Me)	-C(O)NHCH ₂ CH ₂ SO ₂ Me
47	CHOH	CH(Me)	-C(O)NHCH ₂ CH ₂ SO ₂ Me
48	C(Me)OH	CH(Me)	-C(O)NHCH ₂ CH ₂ SO ₂ Me

49	C(O)	CH ₂	-C(O)NHSO ₂ Me
50	CHOH	CH ₂	-C(O)NHSO ₂ Me
51	C(Me)OH	CH ₂	-C(O)NHSO ₂ Me
52	C(O)	CH(Me)	-C(O)NHSO ₂ Me
53	CHOH	CH(Me)	-C(O)NHSO ₂ Me
54	C(Me)OH	CH(Me)	-C(O)NHSO ₂ Me
55	C(O)	CH ₂	-CH ₂ -C(O)NHSO ₂ Et
56	CHOH	CH ₂	-CH ₂ -C(O)NHSO ₂ Et
57	C(Me)OH	CH ₂	-CH ₂ -C(O)NHSO ₂ Et
58	C(O)	CH(Me)	-CH ₂ -C(O)NHSO ₂ Et
59	CHOH	CH(Me)	-CH ₂ -C(O)NHSO ₂ Et
60	C(Me)OH	CH(Me)	-CH ₂ -C(O)NHSO ₂ Et
61	C(O)	CH ₂	-CH ₂ -C(O)NHSO ₂ iPr
62	CHOH	CH ₂	-CH ₂ -C(O)NHSO ₂ iPr
63	C(Me)OH	CH ₂	-CH ₂ -C(O)NHSO ₂ iPr
64	C(O)	CH(Me)	-CH ₂ -C(O)NHSO ₂ iPr
65	CHOH	CH(Me)	-CH ₂ -C(O)NHSO ₂ iPr
66	C(Me)OH	CH(Me)	-CH ₂ -C(O)NHSO ₂ iPr
67	C(O)	CH ₂	-CH ₂ -C(O)NHSO ₂ tBu
68	CHOH	CH ₂	-CH ₂ -C(O)NHSO ₂ tBu
69	C(Me)OH	CH ₂	-CH ₂ -C(O)NHSO ₂ tBu
70	C(O)	CH(Me)	-CH ₂ -C(O)NHSO ₂ tBu
71	CHOH	CH(Me)	-CH ₂ -C(O)NHSO ₂ tBu
72	C(Me)OH	CH(Me)	-CH ₂ -C(O)NHSO ₂ tBu
73	C(O)	CH ₂	-CH ₂ NHSO ₂ Me
74	CHOH	CH ₂	-CH ₂ NHSO ₂ Me
75	C(Me)OH	CH ₂	-CH ₂ NHSO ₂ Me
76	C(O)	CH(Me)	-CH ₂ NHSO ₂ Me
77	CHOH	CH(Me)	-CH ₂ NHSO ₂ Me
78	C(Me)OH	CH(Me)	-CH ₂ NHSO ₂ Me
79	C(O)	CH ₂	-CH ₂ NHSO ₂ Et

80	CHOH	CH ₂	-CH ₂ NHSO ₂ Et
81	C(Me)OH	CH ₂	-CH ₂ NHSO ₂ Et
82	C(O)	CH(Me)	-CH ₂ NHSO ₂ Et
83	CHOH	CH(Me)	-CH ₂ NHSO ₂ Et
84	C(Me)OH	CH(Me)	-CH ₂ NHSO ₂ Et
85	C(O)	CH ₂	-CH ₂ NHSO ₂ iPr
86	CHOH	CH ₂	-CH ₂ NHSO ₂ iPr
87	C(Me)OH	CH ₂	-CH ₂ NHSO ₂ iPr
88	C(O)	CH(Me)	-CH ₂ NHSO ₂ iPr
89	CHOH	CH(Me)	-CH ₂ NHSO ₂ iPr
90	C(Me)OH	CH(Me)	-CH ₂ NHSO ₂ iPr
91	C(O)	CH ₂	-CH ₂ NHSO ₂ tBu
92	CHOH	CH ₂	-CH ₂ NHSO ₂ tBu
93	C(Me)OH	CH ₂	-CH ₂ NHSO ₂ tBu
94	C(O)	CH(Me)	-CH ₂ NHSO ₂ tBu
95	CHOH	CH(Me)	-CH ₂ NHSO ₂ tBu
96	C(Me)OH	CH(Me)	-CH ₂ NHSO ₂ tBu
97	C(O)	CH ₂	-CH ₂ -N-pyrrolidin-2-one
98	CHOH	CH ₂	-CH ₂ -N-pyrrolidin-2-one
99	C(Me)OH	CH ₂	-CH ₂ -N-pyrrolidin-2-one
100	C(O)	CH(Me)	-CH ₂ -N-pyrrolidin-2-one
101	CHOH	CH(Me)	-CH ₂ -N-pyrrolidin-2-one
102	C(Me)OH	CH(Me)	-CH ₂ -N-pyrrolidin-2-one
103	C(O)	CH ₂	-CH ₂ -(1-methylpyrrolidin-2-one-3-yl)
104	CHOH	CH ₂	-CH ₂ -(1-methylpyrrolidin-2-one-3-yl)
105	C(Me)OH	CH ₂	-CH ₂ -(1-methylpyrrolidin-2-one-3-yl)
106	C(O)	CH(Me)	-CH ₂ -(1-methylpyrrolidin-2-one-3-yl)
107	CHOH	CH(Me)	-CH ₂ -(1-methylpyrrolidin-2-one-3-yl)
108	C(Me)OH	CH(Me)	-CH ₂ -(1-methylpyrrolidin-2-one-3-yl)
109	C(O)	CH ₂	-CH ₂ CO ₂ Me
110	CHOH	CH ₂	-CH ₂ CO ₂ Me

111	C(Me)OH	CH ₂	-CH ₂ CO ₂ Me
112	C(O)	CH(Me)	-CH ₂ CO ₂ Me
113	CHOH	CH(Me)	-CH ₂ CO ₂ Me
114	C(Me)OH	CH(Me)	-CH ₂ CO ₂ Me
115	C(O)	CH ₂	-CH ₂ CO ₂ H
116	CHOH	CH ₂	-CH ₂ CO ₂ H
117	C(Me)OH	CH ₂	-CH ₂ CO ₂ H
118	C(O)	CH(Me)	-CH ₂ CO ₂ H
119	CHOH	CH(Me)	-CH ₂ CO ₂ H
120	C(Me)OH	CH(Me)	-CH ₂ CO ₂ H
121	C(O)	CH ₂	-CH ₂ C(O)NH ₂
122	CHOH	CH ₂	-CH ₂ C(O)NH ₂
123	C(Me)OH	CH ₂	-CH ₂ C(O)NH ₂
124	C(O)	CH(Me)	-CH ₂ C(O)NH ₂
125	CHOH	CH(Me)	-CH ₂ C(O)NH ₂
126	C(Me)OH	CH(Me)	-CH ₂ C(O)NH ₂
127	C(O)	CH ₂	-CH ₂ C(O)NMe ₂
128	CHOH	CH ₂	-CH ₂ C(O)NMe ₂
129	C(Me)OH	CH ₂	-CH ₂ C(O)NMe ₂
130	C(O)	CH(Me)	-CH ₂ C(O)NMe ₂
131	CHOH	CH(Me)	-CH ₂ C(O)NMe ₂
132	C(Me)OH	CH(Me)	-CH ₂ C(O)NMe ₂
133	C(O)	CH ₂	-CH ₂ C(O)-N-pyrrolidine
134	CHOH	CH ₂	-CH ₂ C(O)-N-pyrrolidine
135	C(Me)OH	CH ₂	-CH ₂ C(O)-N-pyrrolidine
136	C(O)	CH(Me)	-CH ₂ C(O)-N-pyrrolidine
137	CHOH	CH(Me)	-CH ₂ C(O)-N-pyrrolidine
138	C(Me)OH	CH(Me)	-CH ₂ C(O)-N-pyrrolidine
139	C(O)	CH ₂	-CH ₂ -5-tetrazolyl
140	CHOH	CH ₂	-CH ₂ -5-tetrazolyl
141	C(Me)OH	CH ₂	-CH ₂ -5-tetrazolyl

142	C(O)	CH(Me)	-CH ₂ -5-tetrazolyl
143	CHOH	CH(Me)	-CH ₂ -5-tetrazolyl
144	C(Me)OH	CH(Me)	-CH ₂ -5-tetrazolyl
145	C(O)	CH ₂	-C(O)C(O)OH
146	CHOH	CH ₂	-C(O)C(O)OH
147	C(Me)OH	CH ₂	-C(O)C(O)OH
148	C(O)	CH(Me)	-C(O)C(O)OH
149	CHOH	CH(Me)	-C(O)C(O)OH
150	C(Me)OH	CH(Me)	-C(O)C(O)OH
151	C(O)	CH ₂	-CH(OH)C(O)OH
152	CHOH	CH ₂	-CH(OH)C(O)OH
153	C(Me)OH	CH ₂	-CH(OH)C(O)OH
154	C(O)	CH(Me)	-CH(OH)C(O)OH
155	CHOH	CH(Me)	-CH(OH)C(O)OH
156	C(Me)OH	CH(Me)	-CH(OH)C(O)OH
157	C(O)	CH ₂	-C(O)C(O)NH ₂
158	CHOH	CH ₂	-C(O)C(O)NH ₂
159	C(Me)OH	CH ₂	-C(O)C(O)NH ₂
160	C(O)	CH(Me)	-C(O)C(O)NH ₂
161	CHOH	CH(Me)	-C(O)C(O)NH ₂
162	C(Me)OH	CH(Me)	-C(O)C(O)NH ₂
163	C(O)	CH ₂	-CH(OH)C(O)NH ₂
164	CHOH	CH ₂	-CH(OH)C(O)NH ₂
165	C(Me)OH	CH ₂	-CH(OH)C(O)NH ₂
166	C(O)	CH(Me)	-CH(OH)C(O)NH ₂
167	CHOH	CH(Me)	-CH(OH)C(O)NH ₂
168	C(Me)OH	CH(Me)	-CH(OH)C(O)NH ₂
169	C(O)	CH ₂	-C(O)C(O)NMe ₂
170	CHOH	CH ₂	-C(O)C(O)NMe ₂
171	C(Me)OH	CH ₂	-C(O)C(O)NMe ₂
172	C(O)	CH(Me)	-C(O)C(O)NMe ₂

173	CHOH	CH(Me)	-C(O)C(O)NMe ₂
174	C(Me)OH	CH(Me)	-C(O)C(O)NMe ₂
175	C(O)	CH ₂	-CH(OH)C(O)NMe ₂
176	CHOH	CH ₂	-CH(OH)C(O)NMe ₂
177	C(Me)OH	CH ₂	-CH(OH)C(O)NMe ₂
178	C(O)	CH(Me)	-CH(OH)C(O)NMe ₂
179	CHOH	CH(Me)	-CH(OH)C(O)NMe ₂
180	C(Me)OH	CH(Me)	-CH(OH)C(O)NMe ₂
181	C(O)	CH ₂	-CH ₂ CH ₂ CO ₂ H
182	CHOH	CH ₂	-CH ₂ CH ₂ CO ₂ H
183	C(Me)OH	CH ₂	-CH ₂ CH ₂ CO ₂ H
184	C(O)	CH(Me)	-CH ₂ CH ₂ CO ₂ H
185	CHOH	CH(Me)	-CH ₂ CH ₂ CO ₂ H
186	C(Me)OH	CH(Me)	-CH ₂ CH ₂ CO ₂ H
187	C(O)	CH ₂	-CH ₂ CH ₂ C(O)NH ₂
188	CHOH	CH ₂	-CH ₂ CH ₂ C(O)NH ₂
189	C(Me)OH	CH ₂	-CH ₂ CH ₂ C(O)NH ₂
190	C(O)	CH(Me)	-CH ₂ CH ₂ C(O)NH ₂
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192	C(Me)OH	CH(Me)	-CH ₂ CH ₂ C(O)NH ₂
193	C(O)	CH ₂	-CH ₂ CH ₂ C(O)NMe ₂
194	CHOH	CH ₂	-CH ₂ CH ₂ C(O)NMe ₂
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196	C(O)	CH(Me)	-CH ₂ CH ₂ C(O)NMe ₂
197	CHOH	CH(Me)	-CH ₂ CH ₂ C(O)NMe ₂
198	C(Me)OH	CH(Me)	-CH ₂ CH ₂ C(O)NMe ₂
199	C(O)	CH ₂	-CH ₂ CH ₂ -5-tetrazolyl
200	CHOH	CH ₂	-CH ₂ CH ₂ -5-tetrazolyl
201	C(Me)OH	CH ₂	-CH ₂ CH ₂ -5-tetrazolyl
202	C(O)	CH(Me)	-CH ₂ CH ₂ -5-tetrazolyl
203	CHOH	CH(Me)	-CH ₂ CH ₂ -5-tetrazolyl

204	C(Me)OH	CH(Me)	-CH ₂ CH ₂ -5-tetrazolyl
205	C(O)	CH ₂	-CH ₂ S(O) ₂ Me
206	CHOH	CH ₂	-CH ₂ S(O) ₂ Me
207	C(Me)OH	CH ₂	-CH ₂ S(O) ₂ Me
208	C(O)	CH(Me)	-CH ₂ S(O) ₂ Me
209	CHOH	CH(Me)	-CH ₂ S(O) ₂ Me
210	C(Me)OH	CH(Me)	-CH ₂ S(O) ₂ Me
211	C(O)	CH ₂	-CH ₂ CH ₂ S(O) ₂ Me
212	CHOH	CH ₂	-CH ₂ CH ₂ S(O) ₂ Me
213	C(Me)OH	CH ₂	-CH ₂ CH ₂ S(O) ₂ Me
214	C(O)	CH(Me)	-CH ₂ CH ₂ S(O) ₂ Me
215	CHOH	CH(Me)	-CH ₂ CH ₂ S(O) ₂ Me
216	C(Me)OH	CH(Me)	-CH ₂ CH ₂ S(O) ₂ Me
217	C(O)	CH ₂	-CH ₂ CH ₂ CH ₂ S(O) ₂ Me
218	CHOH	CH ₂	-CH ₂ CH ₂ CH ₂ S(O) ₂ Me
219	C(Me)OH	CH ₂	-CH ₂ CH ₂ CH ₂ S(O) ₂ Me
220	C(O)	CH(Me)	-CH ₂ CH ₂ CH ₂ S(O) ₂ Me
221	CHOH	CH(Me)	-CH ₂ CH ₂ CH ₂ S(O) ₂ Me
222	C(Me)OH	CH(Me)	-CH ₂ CH ₂ CH ₂ S(O) ₂ Me
223	C(O)	CH ₂	-CH ₂ S(O) ₂ Et
224	CHOH	CH ₂	-CH ₂ S(O) ₂ Et
225	C(Me)OH	CH ₂	-CH ₂ S(O) ₂ Et
226	C(O)	CH(Me)	-CH ₂ S(O) ₂ Et
227	CHOH	CH(Me)	-CH ₂ S(O) ₂ Et
228	C(Me)OH	CH(Me)	-CH ₂ S(O) ₂ Et
229	C(O)	CH ₂	-CH ₂ CH ₂ S(O) ₂ Et
230	CHOH	CH ₂	-CH ₂ CH ₂ S(O) ₂ Et
231	C(Me)OH	CH ₂	-CH ₂ CH ₂ S(O) ₂ Et
232	C(O)	CH(Me)	-CH ₂ CH ₂ S(O) ₂ Et
233	CHOH	CH(Me)	-CH ₂ CH ₂ S(O) ₂ Et
234	C(Me)OH	CH(Me)	-CH ₂ CH ₂ S(O) ₂ Et

235	C(O)	CH ₂	-CH ₂ CH ₂ CH ₂ S(O) ₂ Et
236	CHOH	CH ₂	-CH ₂ CH ₂ CH ₂ S(O) ₂ Et
237	C(Me)OH	CH ₂	-CH ₂ CH ₂ CH ₂ S(O) ₂ Et
238	C(O)	CH(Me)	-CH ₂ CH ₂ CH ₂ S(O) ₂ Et
239	CHOH	CH(Me)	-CH ₂ CH ₂ CH ₂ S(O) ₂ Et
240	C(Me)OH	CH(Me)	-CH ₂ CH ₂ CH ₂ S(O) ₂ Et
241	C(O)	CH ₂	-CH ₂ S(O) ₂ iPr
242	CHOH	CH ₂	-CH ₂ S(O) ₂ iPr
243	C(Me)OH	CH ₂	-CH ₂ S(O) ₂ iPr
244	C(O)	CH(Me)	-CH ₂ S(O) ₂ iPr
245	CHOH	CH(Me)	-CH ₂ S(O) ₂ iPr
246	C(Me)OH	CH(Me)	-CH ₂ S(O) ₂ iPr
247	C(O)	CH ₂	-CH ₂ CH ₂ S(O) ₂ iPr
248	CHOH	CH ₂	-CH ₂ CH ₂ S(O) ₂ iPr
249	C(Me)OH	CH ₂	-CH ₂ CH ₂ S(O) ₂ iPr
250	C(O)	CH(Me)	-CH ₂ CH ₂ S(O) ₂ iPr
251	CHOH	CH(Me)	-CH ₂ CH ₂ S(O) ₂ iPr
252	C(Me)OH	CH(Me)	-CH ₂ CH ₂ S(O) ₂ iPr
253	C(O)	CH ₂	-CH ₂ S(O) ₂ tBu
254	CHOH	CH ₂	-CH ₂ S(O) ₂ tBu
255	C(Me)OH	CH ₂	-CH ₂ S(O) ₂ tBu
256	C(O)	CH(Me)	-CH ₂ S(O) ₂ tBu
257	CHOH	CH(Me)	-CH ₂ S(O) ₂ tBu
258	C(Me)OH	CH(Me)	-CH ₂ S(O) ₂ tBu
259	C(O)	CH ₂	-CH ₂ CH ₂ S(O) ₂ tBu
260	CHOH	CH ₂	-CH ₂ CH ₂ S(O) ₂ tBu
261	C(Me)OH	CH ₂	-CH ₂ CH ₂ S(O) ₂ tBu
262	C(O)	CH(Me)	-CH ₂ CH ₂ S(O) ₂ tBu
263	CHOH	CH(Me)	-CH ₂ CH ₂ S(O) ₂ tBu
264	C(Me)OH	CH(Me)	-CH ₂ CH ₂ S(O) ₂ tBu
265	C(O)	CH ₂	-CH ₂ CH ₂ S(O) ₂ NH ₂

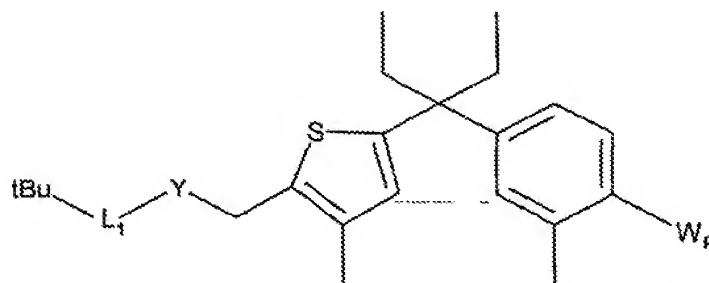
266	CHOH	CH ₂	-CH ₂ CH ₂ S(O) ₂ NH ₂
267	C(Me)OH	CH ₂	-CH ₂ CH ₂ S(O) ₂ NH ₂
268	C(O)	CH(Me)	-CH ₂ CH ₂ S(O) ₂ NH ₂
269	CHOH	CH(Me)	-CH ₂ CH ₂ S(O) ₂ NH ₂
270	C(Me)OH	CH(Me)	-CH ₂ CH ₂ S(O) ₂ NH ₂
271	C(O)	CH ₂	-CH ₂ CH ₂ S(O) ₂ NMe ₂
272	CHOH	CH ₂	-CH ₂ CH ₂ S(O) ₂ NMe ₂
273	C(Me)OH	CH ₂	-CH ₂ CH ₂ S(O) ₂ NMe ₂
274	C(O)	CH(Me)	-CH ₂ CH ₂ S(O) ₂ NMe ₂
275	CHOH	CH(Me)	-CH ₂ CH ₂ S(O) ₂ NMe ₂
276	C(Me)OH	CH(Me)	-CH ₂ CH ₂ S(O) ₂ NMe ₂
277	C(O)	CH ₂	-C(O)CH ₂ S(O) ₂ Me
278	CHOH	CH ₂	-C(O)CH ₂ S(O) ₂ Me
279	C(Me)OH	CH ₂	-C(O)CH ₂ S(O) ₂ Me
280	C(O)	CH(Me)	-C(O)CH ₂ S(O) ₂ Me
281	CHOH	CH(Me)	-C(O)CH ₂ S(O) ₂ Me
282	C(Me)OH	CH(Me)	-C(O)CH ₂ S(O) ₂ Me
283	C(O)	CH ₂	-C(O)CH ₂ CH ₂ S(O) ₂ Me
284	CHOH	CH ₂	-C(O)CH ₂ CH ₂ S(O) ₂ Me
285	C(Me)OH	CH ₂	-C(O)CH ₂ CH ₂ S(O) ₂ Me
286	C(O)	CH(Me)	-C(O)CH ₂ CH ₂ S(O) ₂ Me
287	CHOH	CH(Me)	-C(O)CH ₂ CH ₂ S(O) ₂ Me
288	C(Me)OH	CH(Me)	-C(O)CH ₂ CH ₂ S(O) ₂ Me
289	C(O)	CH ₂	-CH ₂ CH ₂ CH ₂ S(O) ₂ NH ₂
290	CHOH	CH ₂	-CH ₂ CH ₂ CH ₂ S(O) ₂ NH ₂
291	C(Me)OH	CH ₂	-CH ₂ CH ₂ CH ₂ S(O) ₂ NH ₂
292	C(O)	CH(Me)	-CH ₂ CH ₂ CH ₂ S(O) ₂ NH ₂
293	CHOH	CH(Me)	-CH ₂ CH ₂ CH ₂ S(O) ₂ NH ₂
294	C(Me)OH	CH(Me)	-CH ₂ CH ₂ CH ₂ S(O) ₂ NH ₂
295	C(O)	CH ₂	-S(O) ₂ Me
296	CHOH	CH ₂	-S(O) ₂ Me

297	C(Me)OH	CH ₂	-S(O) ₂ Me
298	C(O)	CH(Me)	-S(O) ₂ Me
299	CHOH	CH(Me)	-S(O) ₂ Me
300	C(Me)OH	CH(Me)	-S(O) ₂ Me
301	C(O)	CH ₂	-S(O) ₂ Et
302	CHOH	CH ₂	-S(O) ₂ Et
303	C(Me)OH	CH ₂	-S(O) ₂ Et
304	C(O)	CH(Me)	-S(O) ₂ Et
305	CHOH	CH(Me)	-S(O) ₂ Et
306	C(Me)OH	CH(Me)	-S(O) ₂ Et
307	C(O)	CH ₂	-S(O) ₂ iPr
308	CHOH	CH ₂	-S(O) ₂ iPr
309	C(Me)OH	CH ₂	-S(O) ₂ iPr
310	C(O)	CH(Me)	-S(O) ₂ iPr
311	CHOH	CH(Me)	-S(O) ₂ iPr
312	C(Me)OH	CH(Me)	-S(O) ₂ iPr
313	C(O)	CH ₂	-S(O) ₂ tBu
314	CHOH	CH ₂	-S(O) ₂ tBu
315	C(Me)OH	CH ₂	-S(O) ₂ tBu
316	C(O)	CH(Me)	-S(O) ₂ tBu
317	CHOH	CH(Me)	-S(O) ₂ tBu
318	C(Me)OH	CH(Me)	-S(O) ₂ tBu
319	C(O)	CH ₂	-S(O) ₂ NH ₂
320	CHOH	CH ₂	-S(O) ₂ NH ₂
321	C(Me)OH	CH ₂	-S(O) ₂ NH ₂
322	C(O)	CH(Me)	-S(O) ₂ NH ₂
323	CHOH	CH(Me)	-S(O) ₂ NH ₂
324	C(Me)OH	CH(Me)	-S(O) ₂ NH ₂
325	C(O)	CH ₂	-S(O) ₂ NMe ₂
326	CHOH	CH ₂	-S(O) ₂ NMe ₂
327	C(Me)OH	CH ₂	-S(O) ₂ NMe ₂

328	C(O)	CH(Me)	-S(O) ₂ NMe ₂
329	CHOH	CH(Me)	-S(O) ₂ NMe ₂
330	C(Me)OH	CH(Me)	-S(O) ₂ NMe ₂
331	C(O)	CH ₂	-S(O) ₂ CH ₂ S(O) ₂ Me
332	CHOH	CH ₂	-S(O) ₂ CH ₂ S(O) ₂ Me
333	C(Me)OH	CH ₂	-S(O) ₂ CH ₂ S(O) ₂ Me
334	C(O)	CH(Me)	-S(O) ₂ CH ₂ S(O) ₂ Me
335	CHOH	CH(Me)	-S(O) ₂ CH ₂ S(O) ₂ Me
336	C(Me)OH	CH(Me)	-S(O) ₂ CH ₂ S(O) ₂ Me
337	C(O)	CH ₂	-S(O) ₂ CH ₂ S(O) ₂ Et
338	CHOH	CH ₂	-S(O) ₂ CH ₂ S(O) ₂ Et
339	C(Me)OH	CH ₂	-S(O) ₂ CH ₂ S(O) ₂ Et
340	C(O)	CH(Me)	-S(O) ₂ CH ₂ S(O) ₂ Et
341	CHOH	CH(Me)	-S(O) ₂ CH ₂ S(O) ₂ Et
342	C(Me)OH	CH(Me)	-S(O) ₂ CH ₂ S(O) ₂ Et
343	C(O)	CH ₂	-S(O) ₂ CH ₂ S(O) ₂ iPr
344	CHOH	CH ₂	-S(O) ₂ CH ₂ S(O) ₂ iPr
345	C(Me)OH	CH ₂	-S(O) ₂ CH ₂ S(O) ₂ iPr
346	C(O)	CH(Me)	-S(O) ₂ CH ₂ S(O) ₂ iPr
347	CHOH	CH(Me)	-S(O) ₂ CH ₂ S(O) ₂ iPr
348	C(Me)OH	CH(Me)	-S(O) ₂ CH ₂ S(O) ₂ iPr
349	C(O)	CH ₂	-S(O) ₂ CH ₂ S(O) ₂ tBu
350	CHOH	CH ₂	-S(O) ₂ CH ₂ S(O) ₂ tBu
351	C(Me)OH	CH ₂	-S(O) ₂ CH ₂ S(O) ₂ tBu
352	C(O)	CH(Me)	-S(O) ₂ CH ₂ S(O) ₂ tBu
353	CHOH	CH(Me)	-S(O) ₂ CH ₂ S(O) ₂ tBu
354	C(Me)OH	CH(Me)	-S(O) ₂ CH ₂ S(O) ₂ tBu
355	C(O)	CH ₂	-C(O)NHCH ₂ CO ₂ H
356	CHOH	CH ₂	-C(O)NHCH ₂ CO ₂ H
357	C(Me)OH	CH ₂	-C(O)NHCH ₂ CO ₂ H
358	C(O)	CH(Me)	-C(O)NHCH ₂ CO ₂ H

359	CHOH	CH(Me)	-C(O)NHCH ₂ CO ₂ H
360	C(Me)OH	CH(Me)	-C(O)NHCH ₂ CO ₂ H
361	C(O)	CH ₂	-SO ₂ NHCH ₂ CO ₂ H
362	CHOH	CH ₂	-SO ₂ NHCH ₂ CO ₂ H
363	C(Me)OH	CH ₂	-SO ₂ NHCH ₂ CO ₂ H
364	C(O)	CH(Me)	-SO ₂ NHCH ₂ CO ₂ H
365	CHOH	CH(Me)	-SO ₂ NHCH ₂ CO ₂ H
366	C(Me)OH	CH(Me)	-SO ₂ NHCH ₂ CO ₂ H
367	C(O)	CH ₂	-CH ₂ -S-Me
368	CHOH	CH ₂	-CH ₂ -S-Me
369	C(Me)OH	CH ₂	-CH ₂ -S-Me
370	C(O)	CH(Me)	-CH ₂ -S-Me
371	CHOH	CH(Me)	-CH ₂ -S-Me
372	C(Me)OH	CH(Me)	-CH ₂ -S-Me

Table 2



Code	L ₁	Y	W _p
1A	C(O)	CH ₂	-CO ₂ Me
2A	CHOH	CH ₂	-CO ₂ Me
3A	C(Me)OH	CH ₂	-CO ₂ Me
4A	C(O)	CH(Me)	-CO ₂ Me
5A	CHOH	CH(Me)	-CO ₂ Me
6A	C(Me)OH	CH(Me)	-CO ₂ Me
7A	C(O)	CH ₂	-CO ₂ H

8A	CHOH	CH ₂	-CO ₂ H
9A	C(Me)OH	CH ₂	-CO ₂ H
10A	C(O)	CH(Me)	-CO ₂ H
11A	CHOH	CH(Me)	-CO ₂ H
12A	C(Me)OH	CH(Me)	-CO ₂ H
13A	C(O)	CH ₂	-C(O)NH ₂
14A	CHOH	CH ₂	-C(O)NH ₂
15A	C(Me)OH	CH ₂	-C(O)NH ₂
16A	C(O)	CH(Me)	-C(O)NH ₂
17A	CHOH	CH(Me)	-C(O)NH ₂
18A	C(Me)OH	CH(Me)	-C(O)NH ₂
19A	C(O)	CH ₂	-C(O)NMe ₂
20A	CHOH	CH ₂	-C(O)NMe ₂
21A	C(Me)OH	CH ₂	-C(O)NMe ₂
22A	C(O)	CH(Me)	-C(O)NMe ₂
23A	CHOH	CH(Me)	-C(O)NMe ₂
24A	C(Me)OH	CH(Me)	-C(O)NMe ₂
25A	C(O)	CH ₂	5-tetrazolyl
26A	CHOH	CH ₂	5-tetrazolyl
27A	C(Me)OH	CH ₂	5-tetrazolyl
28A	C(O)	CH(Me)	5-tetrazolyl
29A	CHOH	CH(Me)	5-tetrazolyl
30A	C(Me)OH	CH(Me)	5-tetrazolyl
31A	C(O)	CH ₂	-C(O)-NH-5-tetrazolyl
32A	CHOH	CH ₂	-C(O)-NH-5-tetrazolyl
33A	C(Me)OH	CH ₂	-C(O)-NH-5-tetrazolyl
34A	C(O)	CH(Me)	-C(O)-NH-5-tetrazolyl
35A	CHOH	CH(Me)	-C(O)-NH-5-tetrazolyl
36A	C(Me)OH	CH(Me)	-C(O)-NH-5-tetrazolyl
37A	C(O)	CH ₂	-C(O)NHCH ₂ SO ₂ Me
38A	CHOH	CH ₂	-C(O)NHCH ₂ SO ₂ Me

39A	C(Me)OH	CH ₂	-C(O)NHCH ₂ SO ₂ Me
40A	C(O)	CH(Me)	-C(O)NHCH ₂ SO ₂ Me
41A	CHOH	CH(Me)	-C(O)NHCH ₂ SO ₂ Me
42A	C(Me)OH	CH(Me)	-C(O)NHCH ₂ SO ₂ Me
43A	C(O)	CH ₂	-C(O)NHCH ₂ CH ₂ SO ₂ Me
44A	CHOH	CH ₂	-C(O)NHCH ₂ CH ₂ SO ₂ Me
45A	C(Me)OH	CH ₂	-C(O)NHCH ₂ CH ₂ SO ₂ Me
46A	C(O)	CH(Me)	-C(O)NHCH ₂ CH ₂ SO ₂ Me
47A	CHOH	CH(Me)	-C(O)NHCH ₂ CH ₂ SO ₂ Me
48A	C(Me)OH	CH(Me)	-C(O)NHCH ₂ CH ₂ SO ₂ Me
49A	C(O)	CH ₂	-C(O)NHSO ₂ Me
50A	CHOH	CH ₂	-C(O)NHSO ₂ Me
51A	C(Me)OH	CH ₂	-C(O)NHSO ₂ Me
52A	C(O)	CH(Me)	-C(O)NHSO ₂ Me
53A	CHOH	CH(Me)	-C(O)NHSO ₂ Me
54A	C(Me)OH	CH(Me)	-C(O)NHSO ₂ Me
55A	C(O)	CH ₂	-CH ₂ -C(O)NHSO ₂ Et
56A	CHOH	CH ₂	-CH ₂ -C(O)NHSO ₂ Et
57A	C(Me)OH	CH ₂	-CH ₂ -C(O)NHSO ₂ Et
58A	C(O)	CH(Me)	-CH ₂ -C(O)NHSO ₂ Et
59A	CHOH	CH(Me)	-CH ₂ -C(O)NHSO ₂ Et
60A	C(Me)OH	CH(Me)	-CH ₂ -C(O)NHSO ₂ Et
61A	C(O)	CH ₂	-CH ₂ -C(O)NHSO ₂ iPr
62A	CHOH	CH ₂	-CH ₂ -C(O)NHSO ₂ iPr
63A	C(Me)OH	CH ₂	-CH ₂ -C(O)NHSO ₂ iPr
64A	C(O)	CH(Me)	-CH ₂ -C(O)NHSO ₂ iPr
65A	CHOH	CH(Me)	-CH ₂ -C(O)NHSO ₂ iPr
66A	C(Me)OH	CH(Me)	-CH ₂ -C(O)NHSO ₂ iPr
67A	C(O)	CH ₂	-CH ₂ -C(O)NHSO ₂ tBu
68A	CHOH	CH ₂	-CH ₂ -C(O)NHSO ₂ tBu
69A	C(Me)OH	CH ₂	-CH ₂ -C(O)NHSO ₂ tBu

70A	C(O)	CH(Me)	-CH ₂ -C(O)NHSO ₂ tBu
71A	CHOH	CH(Me)	-CH ₂ -C(O)NHSO ₂ tBu
72A	C(Me)OH	CH(Me)	-CH ₂ -C(O)NHSO ₂ tBu
73A	C(O)	CH ₂	-CH ₂ NHSO ₂ Me
74A	CHOH	CH ₂	-CH ₂ NHSO ₂ Me
75A	C(Me)OH	CH ₂	-CH ₂ NHSO ₂ Me
76A	C(O)	CH(Me)	-CH ₂ NHSO ₂ Me
77A	CHOH	CH(Me)	-CH ₂ NHSO ₂ Me
78A	C(Me)OH	CH(Me)	-CH ₂ NHSO ₂ Me
79A	C(O)	CH ₂	-CH ₂ NHSO ₂ Et
80A	CHOH	CH ₂	-CH ₂ NHSO ₂ Et
81A	C(Me)OH	CH ₂	-CH ₂ NHSO ₂ Et
82A	C(O)	CH(Me)	-CH ₂ NHSO ₂ Et
83A	CHOH	CH(Me)	-CH ₂ NHSO ₂ Et
84A	C(Me)OH	CH(Me)	-CH ₂ NHSO ₂ Et
85A	C(O)	CH ₂	-CH ₂ NHSO ₂ iPr
86A	CHOH	CH ₂	-CH ₂ NHSO ₂ iPr
87A	C(Me)OH	CH ₂	-CH ₂ NHSO ₂ iPr
88A	C(O)	CH(Me)	-CH ₂ NHSO ₂ iPr
89A	CHOH	CH(Me)	-CH ₂ NHSO ₂ iPr
90A	C(Me)OH	CH(Me)	-CH ₂ NHSO ₂ iPr
91A	C(O)	CH ₂	-CH ₂ NHSO ₂ tBu
92A	CHOH	CH ₂	-CH ₂ NHSO ₂ tBu
93A	C(Me)OH	CH ₂	-CH ₂ NHSO ₂ tBu
94A	C(O)	CH(Me)	-CH ₂ NHSO ₂ tBu
95A	CHOH	CH(Me)	-CH ₂ NHSO ₂ tBu
96A	C(Me)OH	CH(Me)	-CH ₂ NHSO ₂ tBu
97A	C(O)	CH ₂	-CH ₂ -N-pyrrolidin-2-one
98A	CHOH	CH ₂	-CH ₂ -N-pyrrolidin-2-one
99A	C(Me)OH	CH ₂	-CH ₂ -N-pyrrolidin-2-one
100A	C(O)	CH(Me)	-CH ₂ -N-pyrrolidin-2-one

101A	CHOH	CH(Me)	-CH ₂ -N-pyrrolidin-2-one
102A	C(Me)OH	CH(Me)	-CH ₂ -N-pyrrolidin-2-one
103A	C(O)	CH ₂	-CH ₂ -(1-methylpyrrolidin-2-one-3-yl)
104A	CHOH	CH ₂	-CH ₂ -(1-methylpyrrolidin-2-one-3-yl)
105A	C(Me)OH	CH ₂	-CH ₂ -(1-methylpyrrolidin-2-one-3-yl)
106A	C(O)	CH(Me)	-CH ₂ -(1-methylpyrrolidin-2-one-3-yl)
107A	CHOH	CH(Me)	-CH ₂ -(1-methylpyrrolidin-2-one-3-yl)
108A	C(Me)OH	CH(Me)	-CH ₂ -(1-methylpyrrolidin-2-one-3-yl)
109A	C(O)	CH ₂	-CH ₂ CO ₂ Me
110A	CHOH	CH ₂	-CH ₂ CO ₂ Me
111A	C(Me)OH	CH ₂	-CH ₂ CO ₂ Me
112A	C(O)	CH(Me)	-CH ₂ CO ₂ Me
113A	CHOH	CH(Me)	-CH ₂ CO ₂ Me
114A	C(Me)OH	CH(Me)	-CH ₂ CO ₂ Me
115A	C(O)	CH ₂	-CH ₂ CO ₂ H
116A	CHOH	CH ₂	-CH ₂ CO ₂ H
117A	C(Me)OH	CH ₂	-CH ₂ CO ₂ H
118A	C(O)	CH(Me)	-CH ₂ CO ₂ H
119A	CHOH	CH(Me)	-CH ₂ CO ₂ H
120A	C(Me)OH	CH(Me)	-CH ₂ CO ₂ H
121A	C(O)	CH ₂	-CH ₂ C(O)NH ₂
122A	CHOH	CH ₂	-CH ₂ C(O)NH ₂
123A	C(Me)OH	CH ₂	-CH ₂ C(O)NH ₂
124A	C(O)	CH(Me)	-CH ₂ C(O)NH ₂
125A	CHOH	CH(Me)	-CH ₂ C(O)NH ₂
126A	C(Me)OH	CH(Me)	-CH ₂ C(O)NH ₂
127A	C(O)	CH ₂	-CH ₂ C(O)NMe ₂
128A	CHOH	CH ₂	-CH ₂ C(O)NMe ₂
129A	C(Me)OH	CH ₂	-CH ₂ C(O)NMe ₂
130A	C(O)	CH(Me)	-CH ₂ C(O)NMe ₂
131A	CHOH	CH(Me)	-CH ₂ C(O)NMe ₂

132A	C(Me)OH	CH(Me)	-CH ₂ C(O)NMe ₂
133A	C(O)	CH ₂	-CH ₂ C(O)-N-pyrrolidine
134A	CHOH	CH ₂	-CH ₂ C(O)-N-pyrrolidine
135A	C(Me)OH	CH ₂	-CH ₂ C(O)-N-pyrrolidine
136A	C(O)	CH(Me)	-CH ₂ C(O)-N-pyrrolidine
137A	CHOH	CH(Me)	-CH ₂ C(O)-N-pyrrolidine
138A	C(Me)OH	CH(Me)	-CH ₂ C(O)-N-pyrrolidine
139A	C(O)	CH ₂	-CH ₂ -5-tetrazolyl
140A	CHOH	CH ₂	-CH ₂ -5-tetrazolyl
141A	C(Me)OH	CH ₂	-CH ₂ -5-tetrazolyl
142A	C(O)	CH(Me)	-CH ₂ -5-tetrazolyl
143A	CHOH	CH(Me)	-CH ₂ -5-tetrazolyl
144A	C(Me)OH	CH(Me)	-CH ₂ -5-tetrazolyl
145A	C(O)	CH ₂	-C(O)C(O)OH
146A	CHOH	CH ₂	-C(O)C(O)OH
147A	C(Me)OH	CH ₂	-C(O)C(O)OH
148A	C(O)	CH(Me)	-C(O)C(O)OH
149A	CHOH	CH(Me)	-C(O)C(O)OH
150A	C(Me)OH	CH(Me)	-C(O)C(O)OH
151A	C(O)	CH ₂	-CH(OH)C(O)OH
152A	CHOH	CH ₂	-CH(OH)C(O)OH
153A	C(Me)OH	CH ₂	-CH(OH)C(O)OH
154A	C(O)	CH(Me)	-CH(OH)C(O)OH
155A	CHOH	CH(Me)	-CH(OH)C(O)OH
156A	C(Me)OH	CH(Me)	-CH(OH)C(O)OH
157A	C(O)	CH ₂	-C(O)C(O)NH ₂
158A	CHOH	CH ₂	-C(O)C(O)NH ₂
159A	C(Me)OH	CH ₂	-C(O)C(O)NH ₂
160A	C(O)	CH(Me)	-C(O)C(O)NH ₂
161A	CHOH	CH(Me)	-C(O)C(O)NH ₂
162A	C(Me)OH	CH(Me)	-C(O)C(O)NH ₂

163A	C(O)	CH ₂	-CH(OH)C(O)NH ₂
164A	CHOH	CH ₂	-CH(OH)C(O)NH ₂
165A	C(Me)OH	CH ₂	-CH(OH)C(O)NH ₂
166A	C(O)	CH(Me)	-CH(OH)C(O)NH ₂
167A	CHOH	CH(Me)	-CH(OH)C(O)NH ₂
168A	C(Me)OH	CH(Me)	-CH(OH)C(O)NH ₂
169A	C(O)	CH ₂	-C(O)C(O)NMe ₂
170A	CHOH	CH ₂	-C(O)C(O)NMe ₂
171A	C(Me)OH	CH ₂	-C(O)C(O)NMe ₂
172A	C(O)	CH(Me)	-C(O)C(O)NMe ₂
173A	CHOH	CH(Me)	-C(O)C(O)NMe ₂
174A	C(Me)OH	CH(Me)	-C(O)C(O)NMe ₂
175A	C(O)	CH ₂	-CH(OH)C(O)NMe ₂
176A	CHOH	CH ₂	-CH(OH)C(O)NMe ₂
177A	C(Me)OH	CH ₂	-CH(OH)C(O)NMe ₂
178A	C(O)	CH(Me)	-CH(OH)C(O)NMe ₂
179A	CHOH	CH(Me)	-CH(OH)C(O)NMe ₂
180A	C(Me)OH	CH(Me)	-CH(OH)C(O)NMe ₂
181A	C(O)	CH ₂	-CH ₂ CH ₂ CO ₂ H
182A	CHOH	CH ₂	-CH ₂ CH ₂ CO ₂ H
183A	C(Me)OH	CH ₂	-CH ₂ CH ₂ CO ₂ H
184A	C(O)	CH(Me)	-CH ₂ CH ₂ CO ₂ H
185A	CHOH	CH(Me)	-CH ₂ CH ₂ CO ₂ H
186A	C(Me)OH	CH(Me)	-CH ₂ CH ₂ CO ₂ H
187A	C(O)	CH ₂	-CH ₂ CH ₂ C(O)NH ₂
188A	CHOH	CH ₂	-CH ₂ CH ₂ C(O)NH ₂
189A	C(Me)OH	CH ₂	-CH ₂ CH ₂ C(O)NH ₂
190A	C(O)	CH(Me)	-CH ₂ CH ₂ C(O)NH ₂
191A	CHOH	CH(Me)	-CH ₂ CH ₂ C(O)NH ₂
192A	C(Me)OH	CH(Me)	-CH ₂ CH ₂ C(O)NH ₂
193A	C(O)	CH ₂	-CH ₂ CH ₂ C(O)NMe ₂

194A	CHOH	CH ₂	-CH ₂ CH ₂ C(O)NMe ₂
195A	C(Me)OH	CH ₂	-CH ₂ CH ₂ C(O)NMe ₂
196A	C(O)	CH(Me)	-CH ₂ CH ₂ C(O)NMe ₂
197A	CHOH	CH(Me)	-CH ₂ CH ₂ C(O)NMe ₂
198A	C(Me)OH	CH(Me)	-CH ₂ CH ₂ C(O)NMe ₂
199A	C(O)	CH ₂	-CH ₂ CH ₂ -5-tetrazolyl
200A	CHOH	CH ₂	-CH ₂ CH ₂ -5-tetrazolyl
201A	C(Me)OH	CH ₂	-CH ₂ CH ₂ -5-tetrazolyl
202A	C(O)	CH(Me)	-CH ₂ CH ₂ -5-tetrazolyl
203A	CHOH	CH(Me)	-CH ₂ CH ₂ -5-tetrazolyl
204A	C(Me)OH	CH(Me)	-CH ₂ CH ₂ -5-tetrazolyl
205A	C(O)	CH ₂	-OCH ₂ S(O) ₂ Me
206A	CHOH	CH ₂	-OCH ₂ S(O) ₂ Me
207A	C(Me)OH	CH ₂	-OCH ₂ S(O) ₂ Me
208A	C(O)	CH(Me)	-OCH ₂ S(O) ₂ Me
209A	CHOH	CH(Me)	-OCH ₂ S(O) ₂ Me
210A	C(Me)OH	CH(Me)	-OCH ₂ S(O) ₂ Me
211A	C(O)	CH ₂	-OCH ₂ CH ₂ S(O) ₂ Me
212A	CHOH	CH ₂	-OCH ₂ CH ₂ S(O) ₂ Me
213A	C(Me)OH	CH ₂	-OCH ₂ CH ₂ S(O) ₂ Me
214A	C(O)	CH(Me)	-OCH ₂ CH ₂ S(O) ₂ Me
215A	CHOH	CH(Me)	-OCH ₂ CH ₂ S(O) ₂ Me
216A	C(Me)OH	CH(Me)	-OCH ₂ CH ₂ S(O) ₂ Me
217A	C(O)	CH ₂	-CH ₂ S(O) ₂ Me
218A	CHOH	CH ₂	-CH ₂ S(O) ₂ Me
219A	C(Me)OH	CH ₂	-CH ₂ S(O) ₂ Me
220A	C(O)	CH(Me)	-CH ₂ S(O) ₂ Me
221A	CHOH	CH(Me)	-CH ₂ S(O) ₂ Me
222A	C(Me)OH	CH(Me)	-CH ₂ S(O) ₂ Me
223A	C(O)	CH ₂	-CH ₂ CH ₂ S(O) ₂ Me
224A	CHOH	CH ₂	-CH ₂ CH ₂ S(O) ₂ Me

225A	C(Me)OH	CH ₂	-CH ₂ CH ₂ S(O) ₂ Me
226A	C(O)	CH(Me)	-CH ₂ CH ₂ S(O) ₂ Me
227A	CHOH	CH(Me)	-CH ₂ CH ₂ S(O) ₂ Me
228A	C(Me)OH	CH(Me)	-CH ₂ CH ₂ S(O) ₂ Me
229A	C(O)	CH ₂	-CH ₂ CH ₂ CH ₂ S(O) ₂ Me
230A	CHOH	CH ₂	-CH ₂ CH ₂ CH ₂ S(O) ₂ Me
231A	C(Me)OH	CH ₂	-CH ₂ CH ₂ CH ₂ S(O) ₂ Me
232A	C(O)	CH(Me)	-CH ₂ CH ₂ CH ₂ S(O) ₂ Me
233A	CHOH	CH(Me)	-CH ₂ CH ₂ CH ₂ S(O) ₂ Me
234A	C(Me)OH	CH(Me)	-CH ₂ CH ₂ CH ₂ S(O) ₂ Me
235A	C(O)	CH ₂	-OCH ₂ S(O) ₂ Et
236A	CHOH	CH ₂	-OCH ₂ S(O) ₂ Et
237A	C(Me)OH	CH ₂	-OCH ₂ S(O) ₂ Et
238A	C(O)	CH(Me)	-OCH ₂ S(O) ₂ Et
239A	CHOH	CH(Me)	-OCH ₂ S(O) ₂ Et
240A	C(Me)OH	CH(Me)	-OCH ₂ S(O) ₂ Et
241A	C(O)	CH ₂	-OCH ₂ CH ₂ S(O) ₂ Et
242A	CHOH	CH ₂	-OCH ₂ CH ₂ S(O) ₂ Et
243A	C(Me)OH	CH ₂	-OCH ₂ CH ₂ S(O) ₂ Et
244A	C(O)	CH(Me)	-OCH ₂ CH ₂ S(O) ₂ Et
245A	CHOH	CH(Me)	-OCH ₂ CH ₂ S(O) ₂ Et
246A	C(Me)OH	CH(Me)	-OCH ₂ CH ₂ S(O) ₂ Et
247A	C(O)	CH ₂	-CH ₂ S(O) ₂ Et
248A	CHOH	CH ₂	-CH ₂ S(O) ₂ Et
249A	C(Me)OH	CH ₂	-CH ₂ S(O) ₂ Et
250A	C(O)	CH(Me)	-CH ₂ S(O) ₂ Et
251A	CHOH	CH(Me)	-CH ₂ S(O) ₂ Et
252A	C(Me)OH	CH(Me)	-CH ₂ S(O) ₂ Et
253A	C(O)	CH ₂	-CH ₂ CH ₂ S(O) ₂ Et
254A	CHOH	CH ₂	-CH ₂ CH ₂ S(O) ₂ Et
255A	C(Me)OH	CH ₂	-CH ₂ CH ₂ S(O) ₂ Et

256A	C(O)	CH(Me)	-CH ₂ CH ₂ S(O) ₂ Et
257A	CHOH	CH(Me)	-CH ₂ CH ₂ S(O) ₂ Et
258A	C(Me)OH	CH(Me)	-CH ₂ CH ₂ S(O) ₂ Et
259A	C(O)	CH ₂	-CH ₂ CH ₂ CH ₂ S(O) ₂ Et
260A	CHOH	CH ₂	-CH ₂ CH ₂ CH ₂ S(O) ₂ Et
261A	C(Me)OH	CH ₂	-CH ₂ CH ₂ CH ₂ S(O) ₂ Et
262A	C(O)	CH(Me)	-CH ₂ CH ₂ CH ₂ S(O) ₂ Et
263A	CHOH	CH(Me)	-CH ₂ CH ₂ CH ₂ S(O) ₂ Et
264A	C(Me)OH	CH(Me)	-CH ₂ CH ₂ CH ₂ S(O) ₂ Et
265A	C(O)	CH ₂	-OCH ₂ S(O) ₂ iPr
266A	CHOH	CH ₂	-OCH ₂ S(O) ₂ iPr
267A	C(Me)OH	CH ₂	-OCH ₂ S(O) ₂ iPr
268A	C(O)	CH(Me)	-OCH ₂ S(O) ₂ iPr
269A	CHOH	CH(Me)	-OCH ₂ S(O) ₂ iPr
270A	C(Me)OH	CH(Me)	-OCH ₂ S(O) ₂ iPr
271A	C(O)	CH ₂	-CH ₂ S(O) ₂ iPr
272A	CHOH	CH ₂	-CH ₂ S(O) ₂ iPr
273A	C(Me)OH	CH ₂	-CH ₂ S(O) ₂ iPr
274A	C(O)	CH(Me)	-CH ₂ S(O) ₂ iPr
275A	CHOH	CH(Me)	-CH ₂ S(O) ₂ iPr
276A	C(Me)OH	CH(Me)	-CH ₂ S(O) ₂ iPr
277A	C(O)	CH ₂	-CH ₂ CH ₂ S(O) ₂ iPr
278A	CHOH	CH ₂	-CH ₂ CH ₂ S(O) ₂ iPr
279A	C(Me)OH	CH ₂	-CH ₂ CH ₂ S(O) ₂ iPr
280A	C(O)	CH(Me)	-CH ₂ CH ₂ S(O) ₂ iPr
281A	CHOH	CH(Me)	-CH ₂ CH ₂ S(O) ₂ iPr
282A	C(Me)OH	CH(Me)	-CH ₂ CH ₂ S(O) ₂ iPr
283A	C(O)	CH ₂	-OCH ₂ S(O) ₂ tBu
284A	CHOH	CH ₂	-OCH ₂ S(O) ₂ tBu
285A	C(Me)OH	CH ₂	-OCH ₂ S(O) ₂ tBu
286A	C(O)	CH(Me)	-OCH ₂ S(O) ₂ tBu

287A	CHOH	CH(Me)	-OCH ₂ S(O)2tBu
288A	C(Me)OH	CH(Me)	-OCH ₂ S(O)2tBu
289A	C(O)	CH ₂	-CH ₂ S(O)2tBu
290A	CHOH	CH ₂	-CH ₂ S(O)2tBu
291A	C(Me)OH	CH ₂	-CH ₂ S(O)2tBu
292A	C(O)	CH(Me)	-CH ₂ S(O)2tBu
293A	CHOH	CH(Me)	-CH ₂ S(O)2tBu
294A	C(Me)OH	CH(Me)	-CH ₂ S(O)2tBu
295A	C(O)	CH ₂	-CH ₂ CH ₂ S(O)2tBu
296A	CHOH	CH ₂	-CH ₂ CH ₂ S(O)2tBu
297A	C(Me)OH	CH ₂	-CH ₂ CH ₂ S(O)2tBu
298A	C(O)	CH(Me)	-CH ₂ CH ₂ S(O)2tBu
299A	CHOH	CH(Me)	-CH ₂ CH ₂ S(O)2tBu
300A	C(Me)OH	CH(Me)	-CH ₂ CH ₂ S(O)2tBu
301A	C(O)	CH ₂	-OCH ₂ S(O)2NH ₂
302A	CHOH	CH ₂	-OCH ₂ S(O)2NH ₂
303A	C(Me)OH	CH ₂	-OCH ₂ S(O)2NH ₂
304A	C(O)	CH(Me)	-OCH ₂ S(O)2NH ₂
305A	CHOH	CH(Me)	-OCH ₂ S(O)2NH ₂
306A	C(Me)OH	CH(Me)	-OCH ₂ S(O)2NH ₂
307A	C(O)	CH ₂	-OCH ₂ S(O)2NMe ₂
308A	CHOH	CH ₂	-OCH ₂ S(O)2NMe ₂
309A	C(Me)OH	CH ₂	-OCH ₂ S(O)2NMe ₂
310A	C(O)	CH(Me)	-OCH ₂ S(O)2NMe ₂
311A	CHOH	CH(Me)	-OCH ₂ S(O)2NMe ₂
312A	C(Me)OH	CH(Me)	-OCH ₂ S(O)2NMe ₂
313A	C(O)	CH ₂	-CH ₂ CH ₂ S(O)2NH ₂
314A	CHOH	CH ₂	-CH ₂ CH ₂ S(O)2NH ₂
315A	C(Me)OH	CH ₂	-CH ₂ CH ₂ S(O)2NH ₂
316A	C(O)	CH(Me)	-CH ₂ CH ₂ S(O)2NH ₂
317A	CHOH	CH(Me)	-CH ₂ CH ₂ S(O)2NH ₂

318A	C(Me)OH	CH(Me)	-CH ₂ CH ₂ S(O) ₂ NH ₂
319A	C(O)	CH ₂	-CH ₂ CH ₂ S(O) ₂ NMe ₂
320A	CHOH	CH ₂	-CH ₂ CH ₂ S(O) ₂ NMe ₂
321A	C(Me)OH	CH ₂	-CH ₂ CH ₂ S(O) ₂ NMe ₂
322A	C(O)	CH(Me)	-CH ₂ CH ₂ S(O) ₂ NMe ₂
323A	CHOH	CH(Me)	-CH ₂ CH ₂ S(O) ₂ NMe ₂
324A	C(Me)OH	CH(Me)	-CH ₂ CH ₂ S(O) ₂ NMe ₂
325A	C(O)	CH ₂	-C(O)CH ₂ S(O) ₂ Me
326A	CHOH	CH ₂	-C(O)CH ₂ S(O) ₂ Me
327A	C(Me)OH	CH ₂	-C(O)CH ₂ S(O) ₂ Me
328A	C(O)	CH(Me)	-C(O)CH ₂ S(O) ₂ Me
329A	CHOH	CH(Me)	-C(O)CH ₂ S(O) ₂ Me
330A	C(Me)OH	CH(Me)	-C(O)CH ₂ S(O) ₂ Me
331A	C(O)	CH ₂	-C(O)CH ₂ CH ₂ S(O) ₂ Me
332A	CHOH	CH ₂	-C(O)CH ₂ CH ₂ S(O) ₂ Me
333A	C(Me)OH	CH ₂	-C(O)CH ₂ CH ₂ S(O) ₂ Me
334A	C(O)	CH(Me)	-C(O)CH ₂ CH ₂ S(O) ₂ Me
335A	CHOH	CH(Me)	-C(O)CH ₂ CH ₂ S(O) ₂ Me
336A	C(Me)OH	CH(Me)	-C(O)CH ₂ CH ₂ S(O) ₂ Me
337A	C(O)	CH ₂	-OCH ₂ CH ₂ S(O) ₂ NH ₂
338A	CHOH	CH ₂	-OCH ₂ CH ₂ S(O) ₂ NH ₂
339A	C(Me)OH	CH ₂	-OCH ₂ CH ₂ S(O) ₂ NH ₂
340A	C(O)	CH(Me)	-OCH ₂ CH ₂ S(O) ₂ NH ₂
341A	CHOH	CH(Me)	-OCH ₂ CH ₂ S(O) ₂ NH ₂
342A	C(Me)OH	CH(Me)	-OCH ₂ CH ₂ S(O) ₂ NH ₂
343A	C(O)	CH ₂	-OCH ₂ CH ₂ S(O) ₂ NMe ₂
344A	CHOH	CH ₂	-OCH ₂ CH ₂ S(O) ₂ NMe ₂
345A	C(Me)OH	CH ₂	-OCH ₂ CH ₂ S(O) ₂ NMe ₂
346A	C(O)	CH(Me)	-OCH ₂ CH ₂ S(O) ₂ NMe ₂
347A	CHOH	CH(Me)	-OCH ₂ CH ₂ S(O) ₂ NMe ₂
348A	C(Me)OH	CH(Me)	-OCH ₂ CH ₂ S(O) ₂ NMe ₂

349A	C(O)	CH ₂	-CH ₂ CH ₂ CH ₂ S(O) ₂ NH ₂
350A	CHOH	CH ₂	-CH ₂ CH ₂ CH ₂ S(O) ₂ NH ₂
351A	C(Me)OH	CH ₂	-CH ₂ CH ₂ CH ₂ S(O) ₂ NH ₂
352A	C(O)	CH(Me)	-CH ₂ CH ₂ CH ₂ S(O) ₂ NH ₂
353A	CHOH	CH(Me)	-CH ₂ CH ₂ CH ₂ S(O) ₂ NH ₂
354A	C(Me)OH	CH(Me)	-CH ₂ CH ₂ CH ₂ S(O) ₂ NH ₂
355A	C(O)	CH ₂	-S(O) ₂ Me
356A	CHOH	CH ₂	-S(O) ₂ Me
357A	C(Me)OH	CH ₂	-S(O) ₂ Me
358A	C(O)	CH(Me)	-S(O) ₂ Me
359A	CHOH	CH(Me)	-S(O) ₂ Me
360A	C(Me)OH	CH(Me)	-S(O) ₂ Me
361A	C(O)	CH ₂	-S(O) ₂ Et
362A	CHOH	CH ₂	-S(O) ₂ Et
363A	C(Me)OH	CH ₂	-S(O) ₂ Et
364A	C(O)	CH(Me)	-S(O) ₂ Et
365A	CHOH	CH(Me)	-S(O) ₂ Et
366A	C(Me)OH	CH(Me)	-S(O) ₂ Et
367A	C(O)	CH ₂	-S(O) ₂ iPr
368A	CHOH	CH ₂	-S(O) ₂ iPr
369A	C(Me)OH	CH ₂	-S(O) ₂ iPr
370A	C(O)	CH(Me)	-S(O) ₂ iPr
371A	CHOH	CH(Me)	-S(O) ₂ iPr
372A	C(Me)OH	CH(Me)	-S(O) ₂ iPr
373A	C(O)	CH ₂	-S(O) ₂ tBu
374A	CHOH	CH ₂	-S(O) ₂ tBu
375A	C(Me)OH	CH ₂	-S(O) ₂ tBu
376A	C(O)	CH(Me)	-S(O) ₂ tBu
377A	CHOH	CH(Me)	-S(O) ₂ tBu
378A	C(Me)OH	CH(Me)	-S(O) ₂ tBu
379A	C(O)	CH ₂	-OCH ₂ CO ₂ H

380A	CHOH	CH ₂	-OCH ₂ CO ₂ H
381A	C(Me)OH	CH ₂	-OCH ₂ CO ₂ H
382A	C(O)	CH(Me)	-OCH ₂ CO ₂ H
383A	CHOH	CH(Me)	-OCH ₂ CO ₂ H
384A	C(Me)OH	CH(Me)	-OCH ₂ CO ₂ H
385A	C(O)	CH ₂	-OCH ₂ -5-tetrazolyl
386A	CHOH	CH ₂	-OCH ₂ -5-tetrazolyl
387A	C(Me)OH	CH ₂	-OCH ₂ -5-tetrazolyl
388A	C(O)	CH(Me)	-OCH ₂ -5-tetrazolyl
389A	CHOH	CH(Me)	-OCH ₂ -5-tetrazolyl
390A	C(Me)OH	CH(Me)	-OCH ₂ -5-tetrazolyl
391A	C(O)	CH ₂	-S(O) ₂ NH ₂
392A	CHOH	CH ₂	-S(O) ₂ NH ₂
393A	C(Me)OH	CH ₂	-S(O) ₂ NH ₂
394A	C(O)	CH(Me)	-S(O) ₂ NH ₂
395A	CHOH	CH(Me)	-S(O) ₂ NH ₂
396A	C(Me)OH	CH(Me)	-S(O) ₂ NH ₂
397A	C(O)	CH ₂	-S(O) ₂ NMe ₂
398A	CHOH	CH ₂	-S(O) ₂ NMe ₂
399A	C(Me)OH	CH ₂	-S(O) ₂ NMe ₂
400A	C(O)	CH(Me)	-S(O) ₂ NMe ₂
401A	CHOH	CH(Me)	-S(O) ₂ NMe ₂
402A	C(Me)OH	CH(Me)	-S(O) ₂ NMe ₂
403A	C(O)	CH ₂	-S(O) ₂ CH ₂ S(O) ₂ Me
404A	CHOH	CH ₂	-S(O) ₂ CH ₂ S(O) ₂ Me
405A	C(Me)OH	CH ₂	-S(O) ₂ CH ₂ S(O) ₂ Me
406A	C(O)	CH(Me)	-S(O) ₂ CH ₂ S(O) ₂ Me
407A	CHOH	CH(Me)	-S(O) ₂ CH ₂ S(O) ₂ Me
408A	C(Me)OH	CH(Me)	-S(O) ₂ CH ₂ S(O) ₂ Me
409A	C(O)	CH ₂	-S(O) ₂ CH ₂ S(O) ₂ Et
410A	CHOH	CH ₂	-S(O) ₂ CH ₂ S(O) ₂ Et

411A	C(Me)OH	CH ₂	-S(O) ₂ CH ₂ S(O) ₂ Et
412A	C(O)	CH(Me)	-S(O) ₂ CH ₂ S(O) ₂ Et
413A	CHOH	CH(Me)	-S(O) ₂ CH ₂ S(O) ₂ Et
414A	C(Me)OH	CH(Me)	-S(O) ₂ CH ₂ S(O) ₂ Et
415A	C(O)	CH ₂	-S(O) ₂ CH ₂ S(O) ₂ iPr
416A	CHOH	CH ₂	-S(O) ₂ CH ₂ S(O) ₂ iPr
417A	C(Me)OH	CH ₂	-S(O) ₂ CH ₂ S(O) ₂ iPr
418A	C(O)	CH(Me)	-S(O) ₂ CH ₂ S(O) ₂ iPr
419A	CHOH	CH(Me)	-S(O) ₂ CH ₂ S(O) ₂ iPr
420A	C(Me)OH	CH(Me)	-S(O) ₂ CH ₂ S(O) ₂ iPr
421A	C(O)	CH ₂	-S(O) ₂ CH ₂ S(O) ₂ tBu
422A	CHOH	CH ₂	-S(O) ₂ CH ₂ S(O) ₂ tBu
423A	C(Me)OH	CH ₂	-S(O) ₂ CH ₂ S(O) ₂ tBu
424A	C(O)	CH(Me)	-S(O) ₂ CH ₂ S(O) ₂ tBu
425A	CHOH	CH(Me)	-S(O) ₂ CH ₂ S(O) ₂ tBu
426A	C(Me)OH	CH(Me)	-S(O) ₂ CH ₂ S(O) ₂ tBu
427A	C(O)	CH ₂	-NHS(O) ₂ Me
428A	CHOH	CH ₂	-NHS(O) ₂ Me
429A	C(Me)OH	CH ₂	-NHS(O) ₂ Me
430A	C(O)	CH(Me)	-NHS(O) ₂ Me
431A	CHOH	CH(Me)	-NHS(O) ₂ Me
432A	C(Me)OH	CH(Me)	-NHS(O) ₂ Me
433A	C(O)	CH ₂	-NHS(O) ₂ Et
434A	CHOH	CH ₂	-NHS(O) ₂ Et
435A	C(Me)OH	CH ₂	-NHS(O) ₂ Et
436A	C(O)	CH(Me)	-NHS(O) ₂ Et
437A	CHOH	CH(Me)	-NHS(O) ₂ Et
438A	C(Me)OH	CH(Me)	-NHS(O) ₂ Et
439A	C(O)	CH ₂	-NHS(O) ₂ iPr
440A	CHOH	CH ₂	-NHS(O) ₂ iPr
441A	C(Me)OH	CH ₂	-NHS(O) ₂ iPr

442A	C(O)	CH(Me)	-NHS(O)2iPr
443A	CHOH	CH(Me)	-NHS(O)2iPr
444A	C(Me)OH	CH(Me)	-NHS(O)2iPr
445A	C(O)	CH ₂	-NHS(O)2tBu
446A	CHOH	CH ₂	-NHS(O)2tBu
447A	C(Me)OH	CH ₂	-NHS(O)2tBu
448A	C(O)	CH(Me)	-NHS(O)2tBu
449A	CHOH	CH(Me)	-NHS(O)2tBu
450A	C(Me)OH	CH(Me)	-NHS(O)2tBu
451A	C(O)	CH ₂	-OS(O)2Me
452A	CHOH	CH ₂	-OS(O)2Me
453A	C(Me)OH	CH ₂	-OS(O)2Me
454A	C(O)	CH(Me)	-OS(O)2Me
455A	CHOH	CH(Me)	-OS(O)2Me
456A	C(Me)OH	CH(Me)	-OS(O)2Me
457A	C(O)	CH ₂	-OS(O)2Et
458A	CHOH	CH ₂	-OS(O)2Et
459A	C(Me)OH	CH ₂	-OS(O)2Et
460A	C(O)	CH(Me)	-OS(O)2Et
461A	CHOH	CH(Me)	-OS(O)2Et
462A	C(Me)OH	CH(Me)	-OS(O)2Et
463A	C(O)	CH ₂	-OS(O)2iPr
464A	CHOH	CH ₂	-OS(O)2iPr
465A	C(Me)OH	CH ₂	-OS(O)2iPr
466A	C(O)	CH(Me)	-OS(O)2iPr
467A	CHOH	CH(Me)	-OS(O)2iPr
468A	C(Me)OH	CH(Me)	-OS(O)2iPr
469A	C(O)	CH ₂	-OS(O)2tBu
470A	CHOH	CH ₂	-OS(O)2tBu
471A	C(Me)OH	CH ₂	-OS(O)2tBu
472A	C(O)	CH(Me)	-OS(O)2tBu

473A	CHOH	CH(Me)	-OS(O)2tBu
474A	C(Me)OH	CH(Me)	-OS(O)2tBu
475A	C(O)	CH2	-NHC(O)NMe2
476A	CHOH	CH2	-NHC(O)NMe2
477A	C(Me)OH	CH2	-NHC(O)NMe2
478A	C(O)	CH(Me)	-NHC(O)NMe2
479A	CHOH	CH(Me)	-NHC(O)NMe2
480A	C(Me)OH	CH(Me)	-NHC(O)NMe2
481A	C(O)	CH2	-NHC(S)NMe2
482A	CHOH	CH2	-NHC(S)NMe2
483A	C(Me)OH	CH2	-NHC(S)NMe2
484A	C(O)	CH(Me)	-NHC(S)NMe2
485A	CHOH	CH(Me)	-NHC(S)NMe2
486A	C(Me)OH	CH(Me)	-NHC(S)NMe2
487A	C(O)	CH2	-OC(O)NMe2
488A	CHOH	CH2	-OC(O)NMe2
489A	C(Me)OH	CH2	-OC(O)NMe2
490A	C(O)	CH(Me)	-OC(O)NMe2
491A	CHOH	CH(Me)	-OC(O)NMe2
492A	C(Me)OH	CH(Me)	-OC(O)NMe2
493A	C(O)	CH2	-OC(S)NMe2
494A	CHOH	CH2	-OC(S)NMe2
495A	C(Me)OH	CH2	-OC(S)NMe2
496A	C(O)	CH(Me)	-OC(S)NMe2
497A	CHOH	CH(Me)	-OC(S)NMe2
498A	C(Me)OH	CH(Me)	-OC(S)NMe2
499A	C(O)	CH2	-NHS(O)2NMe2
500A	CHOH	CH2	-NHS(O)2NMe2
501A	C(Me)OH	CH2	-NHS(O)2NMe2
502A	C(O)	CH(Me)	-NHS(O)2NMe2
503A	CHOH	CH(Me)	-NHS(O)2NMe2

504A	C(Me)OH	CH(Me)	-NHS(O)2NMe2
505A	C(O)	CH2	-C(O)NHCH2CO2H
506A	CHOH	CH2	-C(O)NHCH2CO2H
507A	C(Me)OH	CH2	-C(O)NHCH2CO2H
508A	C(O)	CH(Me)	-C(O)NHCH2CO2H
509A	CHOH	CH(Me)	-C(O)NHCH2CO2H
510A	C(Me)OH	CH(Me)	-C(O)NHCH2CO2H
511A	C(O)	CH2	-SO2NHCH2CO2H
512A	CHOH	CH2	-SO2NHCH2CO2H
513A	C(Me)OH	CH2	-SO2NHCH2CO2H
514A	C(O)	CH(Me)	-SO2NHCH2CO2H
515A	CHOH	CH(Me)	-SO2NHCH2CO2H
516A	C(Me)OH	CH(Me)	-SO2NHCH2CO2H
517A	C(O)	CH2	-CH2-S-Me
518A	CHOH	CH2	-CH2-S-Me
519A	C(Me)OH	CH2	-CH2-S-Me
520A	C(O)	CH(Me)	-CH2-S-Me
521A	CHOH	CH(Me)	-CH2-S-Me
522A	C(Me)OH	CH(Me)	-CH2-S-Me

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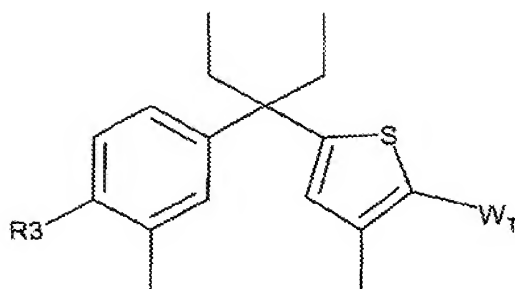


Table 3

Code	R ₃	W _T
1B	3Me3OH-Pentyl	-CO ₂ Me
2B	3Me3OH-Pentenyl	-CO ₂ Me
3B	3Me3OH-Pentynyl	-CO ₂ Me
4B	3Et3OH-Pentyl	-CO ₂ Me
5B	3Et3OH-Pentenyl	-CO ₂ Me
6B	3Et3OH-Pentynyl	-CO ₂ Me
7B	3Me3OH-Pentyl	-CO ₂ H
8B	3Me3OH-Pentenyl	-CO ₂ H
9B	3Me3OH-Pentynyl	-CO ₂ H
10B	3Et3OH-Pentyl	-CO ₂ H
11B	3Et3OH-Pentenyl	-CO ₂ H
12B	3Et3OH-Pentynyl	-CO ₂ H
13B	3Me3OH-Pentyl	-C(O)NH ₂
14B	3Me3OH-Pentenyl	-C(O)NH ₂
15B	3Me3OH-Pentynyl	-C(O)NH ₂
16B	3Et3OH-Pentyl	-C(O)NH ₂
17B	3Et3OH-Pentenyl	-C(O)NH ₂
18B	3Et3OH-Pentynyl	-C(O)NH ₂
19B	3Me3OH-Pentyl	-C(O)NMe ₂
20B	3Me3OH-Pentenyl	-C(O)NMe ₂
21B	3Me3OH-Pentynyl	-C(O)NMe ₂

22B	3Et3OH-Pentyl	-C(O)NMe2
23B	3Et3OH-Pentenyl	-C(O)NMe2
24B	3Et3OH-Pentynyl	-C(O)NMe2
25B	3Me3OH-Pentyl	5-tetrazolyl
26B	3Me3OH-Pentenyl	5-tetrazolyl
27B	3Me3OH-Pentynyl	5-tetrazolyl
28B	3Et3OH-Pentyl	5-tetrazolyl
29B	3Et3OH-Pentenyl	5-tetrazolyl
30B	3Et3OH-Pentynyl	5-tetrazolyl
31B	3Me3OH-Pentyl	-C(O)-NH-5-tetrazolyl
32B	3Me3OH-Pentenyl	-C(O)-NH-5-tetrazolyl
33B	3Me3OH-Pentynyl	-C(O)-NH-5-tetrazolyl
34B	3Et3OH-Pentyl	-C(O)-NH-5-tetrazolyl
35B	3Et3OH-Pentenyl	-C(O)-NH-5-tetrazolyl
36B	3Et3OH-Pentynyl	-C(O)-NH-5-tetrazolyl
37B	3Me3OH-Pentyl	-C(O)NHCH2SO2Me
38B	3Me3OH-Pentenyl	-C(O)NHCH2SO2Me
39B	3Me3OH-Pentynyl	-C(O)NHCH2SO2Me
40B	3Et3OH-Pentyl	-C(O)NHCH2SO2Me
41B	3Et3OH-Pentenyl	-C(O)NHCH2SO2Me
42B	3Et3OH-Pentynyl	-C(O)NHCH2SO2Me
43B	3Me3OH-Pentyl	-C(O)NHCH2CH2SO2Me
44B	3Me3OH-Pentenyl	-C(O)NHCH2CH2SO2Me
45B	3Me3OH-Pentynyl	-C(O)NHCH2CH2SO2Me
46B	3Et3OH-Pentyl	-C(O)NHCH2CH2SO2Me
47B	3Et3OH-Pentenyl	-C(O)NHCH2CH2SO2Me
48B	3Et3OH-Pentynyl	-C(O)NHCH2CH2SO2Me
49B	3Me3OH-Pentyl	-C(O)NHSO2Me
50B	3Me3OH-Pentenyl	-C(O)NHSO2Me
51B	3Me3OH-Pentynyl	-C(O)NHSO2Me
52B	3Et3OH-Pentyl	-C(O)NHSO2Me

53B	3Et3OH-Pentenyl	-C(O)NHSO ₂ Me
54B	3Et3OH-Pentynyl	-C(O)NHSO ₂ Me
55B	3Me3OH-Pentyl	-CH ₂ -C(O)NHSO ₂ Et
56B	3Me3OH-Pentenyl	-CH ₂ -C(O)NHSO ₂ Et
57B	3Me3OH-Pentynyl	-CH ₂ -C(O)NHSO ₂ Et
58B	3Et3OH-Pentyl	-CH ₂ -C(O)NHSO ₂ Et
59B	3Et3OH-Pentenyl	-CH ₂ -C(O)NHSO ₂ Et
60B	3Et3OH-Pentynyl	-CH ₂ -C(O)NHSO ₂ Et
61B	3Me3OH-Pentyl	-CH ₂ -C(O)NHSO ₂ iPr
62B	3Me3OH-Pentenyl	-CH ₂ -C(O)NHSO ₂ iPr
63B	3Me3OH-Pentynyl	-CH ₂ -C(O)NHSO ₂ iPr
64B	3Et3OH-Pentyl	-CH ₂ -C(O)NHSO ₂ iPr
65B	3Et3OH-Pentenyl	-CH ₂ -C(O)NHSO ₂ iPr
66B	3Et3OH-Pentynyl	-CH ₂ -C(O)NHSO ₂ iPr
67B	3Me3OH-Pentyl	-CH ₂ -C(O)NHSO ₂ tBu
68B	3Me3OH-Pentenyl	-CH ₂ -C(O)NHSO ₂ tBu
69B	3Me3OH-Pentynyl	-CH ₂ -C(O)NHSO ₂ tBu
70B	3Et3OH-Pentyl	-CH ₂ -C(O)NHSO ₂ tBu
71B	3Et3OH-Pentenyl	-CH ₂ -C(O)NHSO ₂ tBu
72B	3Et3OH-Pentynyl	-CH ₂ -C(O)NHSO ₂ tBu
73B	3Me3OH-Pentyl	-CH ₂ NHSO ₂ Me
74B	3Me3OH-Pentenyl	-CH ₂ NHSO ₂ Me
75B	3Me3OH-Pentynyl	-CH ₂ NHSO ₂ Me
76B	3Et3OH-Pentyl	-CH ₂ NHSO ₂ Me
77B	3Et3OH-Pentenyl	-CH ₂ NHSO ₂ Me
78B	3Et3OH-Pentynyl	-CH ₂ NHSO ₂ Me
79B	3Me3OH-Pentyl	-CH ₂ NHSO ₂ Et
80B	3Me3OH-Pentenyl	-CH ₂ NHSO ₂ Et
81B	3Me3OH-Pentynyl	-CH ₂ NHSO ₂ Et
82B	3Et3OH-Pentyl	-CH ₂ NHSO ₂ Et
83B	3Et3OH-Pentenyl	-CH ₂ NHSO ₂ Et

84B	3Et3OH-Pentynyl	-CH ₂ NHSO ₂ Et
85B	3Me3OH-Pentyl	-CH ₂ NHSO ₂ iPr
86B	3Me3OH-Pentenyl	-CH ₂ NHSO ₂ iPr
87B	3Me3OH-Pentynyl	-CH ₂ NHSO ₂ iPr
88B	3Et3OH-Pentyl	-CH ₂ NHSO ₂ iPr
89B	3Et3OH-Pentenyl	-CH ₂ NHSO ₂ iPr
90B	3Et3OH-Pentynyl	-CH ₂ NHSO ₂ iPr
91B	3Me3OH-Pentyl	-CH ₂ NHSO ₂ tBu
92B	3Me3OH-Pentenyl	-CH ₂ NHSO ₂ tBu
93B	3Me3OH-Pentynyl	-CH ₂ NHSO ₂ tBu
94B	3Et3OH-Pentyl	-CH ₂ NHSO ₂ tBu
95B	3Et3OH-Pentenyl	-CH ₂ NHSO ₂ tBu
96B	3Et3OH-Pentynyl	-CH ₂ NHSO ₂ tBu
97B	3Me3OH-Pentyl	-CH ₂ -N-pyrrolidin-2-one
98B	3Me3OH-Pentenyl	-CH ₂ -N-pyrrolidin-2-one
99B	3Me3OH-Pentynyl	-CH ₂ -N-pyrrolidin-2-one
100B	3Et3OH-Pentyl	-CH ₂ -N-pyrrolidin-2-one
101B	3Et3OH-Pentenyl	-CH ₂ -N-pyrrolidin-2-one
102B	3Et3OH-Pentynyl	-CH ₂ -N-pyrrolidin-2-one
103B	3Me3OH-Pentyl	-CH ₂ -(1-methylpyrrolidin-2-one-3-yl)
104B	3Me3OH-Pentenyl	-CH ₂ -(1-methylpyrrolidin-2-one-3-yl)
105B	3Me3OH-Pentynyl	-CH ₂ -(1-methylpyrrolidin-2-one-3-yl)
106B	3Et3OH-Pentyl	-CH ₂ -(1-methylpyrrolidin-2-one-3-yl)
107B	3Et3OH-Pentenyl	-CH ₂ -(1-methylpyrrolidin-2-one-3-yl)
108B	3Et3OH-Pentynyl	-CH ₂ -(1-methylpyrrolidin-2-one-3-yl)
109B	3Me3OH-Pentyl	-CH ₂ CO ₂ Me

110B	3Me3OH-Pentenyl	-CH ₂ CO ₂ Me
111B	3Me3OH-Pentynyl	-CH ₂ CO ₂ Me
112B	3Et3OH-Pentyl	-CH ₂ CO ₂ Me
113B	3Et3OH-Pentenyl	-CH ₂ CO ₂ Me
114B	3Et3OH-Pentynyl	-CH ₂ CO ₂ Me
115B	3Me3OH-Pentyl	-CH ₂ CO ₂ H
116B	3Me3OH-Pentenyl	-CH ₂ CO ₂ H
117B	3Me3OH-Pentynyl	-CH ₂ CO ₂ H
118B	3Et3OH-Pentyl	-CH ₂ CO ₂ H
119B	3Et3OH-Pentenyl	-CH ₂ CO ₂ H
120B	3Et3OH-Pentynyl	-CH ₂ CO ₂ H
121B	3Me3OH-Pentyl	-CH ₂ C(O)NH ₂
122B	3Me3OH-Pentenyl	-CH ₂ C(O)NH ₂
123B	3Me3OH-Pentynyl	-CH ₂ C(O)NH ₂
124B	3Et3OH-Pentyl	-CH ₂ C(O)NH ₂
125B	3Et3OH-Pentenyl	-CH ₂ C(O)NH ₂
126B	3Et3OH-Pentynyl	-CH ₂ C(O)NH ₂
127B	3Me3OH-Pentyl	-CH ₂ C(O)NMe ₂
128B	3Me3OH-Pentenyl	-CH ₂ C(O)NMe ₂
129B	3Me3OH-Pentynyl	-CH ₂ C(O)NMe ₂
130B	3Et3OH-Pentyl	-CH ₂ C(O)NMe ₂
131B	3Et3OH-Pentenyl	-CH ₂ C(O)NMe ₂
132B	3Et3OH-Pentynyl	-CH ₂ C(O)NMe ₂
133B	3Me3OH-Pentyl	-CH ₂ C(O)-N-pyrrolidine
134B	3Me3OH-Pentenyl	-CH ₂ C(O)-N-pyrrolidine
135B	3Me3OH-Pentynyl	-CH ₂ C(O)-N-pyrrolidine
136B	3Et3OH-Pentyl	-CH ₂ C(O)-N-pyrrolidine
137B	3Et3OH-Pentenyl	-CH ₂ C(O)-N-pyrrolidine
138B	3Et3OH-Pentynyl	-CH ₂ C(O)-N-pyrrolidine
139B	3Me3OH-Pentyl	-CH ₂ -5-tetrazolyl
140B	3Me3OH-Pentenyl	-CH ₂ -5-tetrazolyl

141B	3Me3OH-Pentynyl	-CH ₂ -5-tetrazolyl
142B	3Et3OH-Pentyl	-CH ₂ -5-tetrazolyl
143B	3Et3OH-Pentenyl	-CH ₂ -5-tetrazolyl
144B	3Et3OH-Pentynyl	-CH ₂ -5-tetrazolyl
145B	3Me3OH-Pentyl	-C(O)C(O)OH
146B	3Me3OH-Pentenyl	-C(O)C(O)OH
147B	3Me3OH-Pentynyl	-C(O)C(O)OH
148B	3Et3OH-Pentyl	-C(O)C(O)OH
149B	3Et3OH-Pentenyl	-C(O)C(O)OH
150B	3Et3OH-Pentynyl	-C(O)C(O)OH
151B	3Me3OH-Pentyl	-CH(OH)C(O)OH
152B	3Me3OH-Pentenyl	-CH(OH)C(O)OH
153B	3Me3OH-Pentynyl	-CH(OH)C(O)OH
154B	3Et3OH-Pentyl	-CH(OH)C(O)OH
155B	3Et3OH-Pentenyl	-CH(OH)C(O)OH
156B	3Et3OH-Pentynyl	-CH(OH)C(O)OH
157B	3Me3OH-Pentyl	-C(O)C(O)NH ₂
158B	3Me3OH-Pentenyl	-C(O)C(O)NH ₂
159B	3Me3OH-Pentynyl	-C(O)C(O)NH ₂
160B	3Et3OH-Pentyl	-C(O)C(O)NH ₂
161B	3Et3OH-Pentenyl	-C(O)C(O)NH ₂
162B	3Et3OH-Pentynyl	-C(O)C(O)NH ₂
163B	3Me3OH-Pentyl	-CH(OH)C(O)NH ₂
164B	3Me3OH-Pentenyl	-CH(OH)C(O)NH ₂
165B	3Me3OH-Pentynyl	-CH(OH)C(O)NH ₂
166B	3Et3OH-Pentyl	-CH(OH)C(O)NH ₂
167B	3Et3OH-Pentenyl	-CH(OH)C(O)NH ₂
168B	3Et3OH-Pentynyl	-CH(OH)C(O)NH ₂
169B	3Me3OH-Pentyl	-C(O)C(O)NMe ₂
170B	3Me3OH-Pentenyl	-C(O)C(O)NMe ₂
171B	3Me3OH-Pentynyl	-C(O)C(O)NMe ₂

172B	3Et3OH-Pentyl	-C(O)C(O)NMe2
173B	3Et3OH-Pentenyl	-C(O)C(O)NMe2
174B	3Et3OH-Pentynyl	-C(O)C(O)NMe2
175B	3Me3OH-Pentyl	-CH(OH)C(O)NMe2
176B	3Me3OH-Pentenyl	-CH(OH)C(O)NMe2
177B	3Me3OH-Pentynyl	-CH(OH)C(O)NMe2
178B	3Et3OH-Pentyl	-CH(OH)C(O)NMe2
179B	3Et3OH-Pentenyl	-CH(OH)C(O)NMe2
180B	3Et3OH-Pentynyl	-CH(OH)C(O)NMe2
181B	3Me3OH-Pentyl	-CH2CH2CO2H
182B	3Me3OH-Pentenyl	-CH2CH2CO2H
183B	3Me3OH-Pentynyl	-CH2CH2CO2H
184B	3Et3OH-Pentyl	-CH2CH2CO2H
185B	3Et3OH-Pentenyl	-CH2CH2CO2H
186B	3Et3OH-Pentynyl	-CH2CH2CO2H
187B	3Me3OH-Pentyl	-CH2CH2C(O)NH2
188B	3Me3OH-Pentenyl	-CH2CH2C(O)NH2
189B	3Me3OH-Pentynyl	-CH2CH2C(O)NH2
190B	3Et3OH-Pentyl	-CH2CH2C(O)NH2
191B	3Et3OH-Pentenyl	-CH2CH2C(O)NH2
192B	3Et3OH-Pentynyl	-CH2CH2C(O)NH2
193B	3Me3OH-Pentyl	-CH2CH2C(O)NMe2
194B	3Me3OH-Pentenyl	-CH2CH2C(O)NMe2
195B	3Me3OH-Pentynyl	-CH2CH2C(O)NMe2
196B	3Et3OH-Pentyl	-CH2CH2C(O)NMe2
197B	3Et3OH-Pentenyl	-CH2CH2C(O)NMe2
198B	3Et3OH-Pentynyl	-CH2CH2C(O)NMe2
199B	3Me3OH-Pentyl	-CH2CH2-5-tetrazolyl
200B	3Me3OH-Pentenyl	-CH2CH2-5-tetrazolyl
201B	3Me3OH-Pentynyl	-CH2CH2-5-tetrazolyl
202B	3Et3OH-Pentyl	-CH2CH2-5-tetrazolyl

203B	3Et3OH-Pentenyl	-CH ₂ CH ₂ -5-tetrazolyl
204B	3Et3OH-Pentynyl	-CH ₂ CH ₂ -5-tetrazolyl
205B	3Me3OH-Pentyl	-CH ₂ S(O) ₂ Me
206B	3Me3OH-Pentenyl	-CH ₂ S(O) ₂ Me
207B	3Me3OH-Pentynyl	-CH ₂ S(O) ₂ Me
208B	3Et3OH-Pentyl	-CH ₂ S(O) ₂ Me
209B	3Et3OH-Pentenyl	-CH ₂ S(O) ₂ Me
210B	3Et3OH-Pentynyl	-CH ₂ S(O) ₂ Me
211B	3Me3OH-Pentyl	-CH ₂ CH ₂ S(O) ₂ Me
212B	3Me3OH-Pentenyl	-CH ₂ CH ₂ S(O) ₂ Me
213B	3Me3OH-Pentynyl	-CH ₂ CH ₂ S(O) ₂ Me
214B	3Et3OH-Pentyl	-CH ₂ CH ₂ S(O) ₂ Me
215B	3Et3OH-Pentenyl	-CH ₂ CH ₂ S(O) ₂ Me
216B	3Et3OH-Pentynyl	-CH ₂ CH ₂ S(O) ₂ Me
217B	3Me3OH-Pentyl	-CH ₂ CH ₂ CH ₂ S(O) ₂ Me
218B	3Me3OH-Pentenyl	-CH ₂ CH ₂ CH ₂ S(O) ₂ Me
219B	3Me3OH-Pentynyl	-CH ₂ CH ₂ CH ₂ S(O) ₂ Me
220B	3Et3OH-Pentyl	-CH ₂ CH ₂ CH ₂ S(O) ₂ Me
221B	3Et3OH-Pentenyl	-CH ₂ CH ₂ CH ₂ S(O) ₂ Me
222B	3Et3OH-Pentynyl	-CH ₂ CH ₂ CH ₂ S(O) ₂ Me
223B	3Me3OH-Pentyl	-CH ₂ S(O) ₂ Et
224B	3Me3OH-Pentenyl	-CH ₂ S(O) ₂ Et
225B	3Me3OH-Pentynyl	-CH ₂ S(O) ₂ Et
226B	3Et3OH-Pentyl	-CH ₂ S(O) ₂ Et
227B	3Et3OH-Pentenyl	-CH ₂ S(O) ₂ Et
228B	3Et3OH-Pentynyl	-CH ₂ S(O) ₂ Et
229B	3Me3OH-Pentyl	-CH ₂ CH ₂ S(O) ₂ Et
230B	3Me3OH-Pentenyl	-CH ₂ CH ₂ S(O) ₂ Et
231B	3Me3OH-Pentynyl	-CH ₂ CH ₂ S(O) ₂ Et
232B	3Et3OH-Pentyl	-CH ₂ CH ₂ S(O) ₂ Et
233B	3Et3OH-Pentenyl	-CH ₂ CH ₂ S(O) ₂ Et

234B	3Et3OH-Pentynyl	-CH ₂ CH ₂ S(O) ₂ Et
235B	3Me3OH-Pentyl	-CH ₂ CH ₂ CH ₂ S(O) ₂ Et
236B	3Me3OH-Pentenyl	-CH ₂ CH ₂ CH ₂ S(O) ₂ Et
237B	3Me3OH-Pentynyl	-CH ₂ CH ₂ CH ₂ S(O) ₂ Et
238B	3Et3OH-Pentyl	-CH ₂ CH ₂ CH ₂ S(O) ₂ Et
239B	3Et3OH-Pentenyl	-CH ₂ CH ₂ CH ₂ S(O) ₂ Et
240B	3Et3OH-Pentynyl	-CH ₂ CH ₂ CH ₂ S(O) ₂ Et
241B	3Me3OH-Pentyl	-CH ₂ S(O) ₂ iPr
242B	3Me3OH-Pentenyl	-CH ₂ S(O) ₂ iPr
243B	3Me3OH-Pentynyl	-CH ₂ S(O) ₂ iPr
244B	3Et3OH-Pentyl	-CH ₂ S(O) ₂ iPr
245B	3Et3OH-Pentenyl	-CH ₂ S(O) ₂ iPr
246B	3Et3OH-Pentynyl	-CH ₂ S(O) ₂ iPr
247B	3Me3OH-Pentyl	-CH ₂ CH ₂ S(O) ₂ iPr
248B	3Me3OH-Pentenyl	-CH ₂ CH ₂ S(O) ₂ iPr
249B	3Me3OH-Pentynyl	-CH ₂ CH ₂ S(O) ₂ iPr
250B	3Et3OH-Pentyl	-CH ₂ CH ₂ S(O) ₂ iPr
251B	3Et3OH-Pentenyl	-CH ₂ CH ₂ S(O) ₂ iPr
252B	3Et3OH-Pentynyl	-CH ₂ CH ₂ S(O) ₂ iPr
253B	3Me3OH-Pentyl	-CH ₂ S(O) ₂ tBu
254B	3Me3OH-Pentenyl	-CH ₂ S(O) ₂ tBu
255B	3Me3OH-Pentynyl	-CH ₂ S(O) ₂ tBu
256B	3Et3OH-Pentyl	-CH ₂ S(O) ₂ tBu
257B	3Et3OH-Pentenyl	-CH ₂ S(O) ₂ tBu
258B	3Et3OH-Pentynyl	-CH ₂ S(O) ₂ tBu
259B	3Me3OH-Pentyl	-CH ₂ CH ₂ S(O) ₂ tBu
260B	3Me3OH-Pentenyl	-CH ₂ CH ₂ S(O) ₂ tBu
261B	3Me3OH-Pentynyl	-CH ₂ CH ₂ S(O) ₂ tBu
262B	3Et3OH-Pentyl	-CH ₂ CH ₂ S(O) ₂ tBu
263B	3Et3OH-Pentenyl	-CH ₂ CH ₂ S(O) ₂ tBu
264B	3Et3OH-Pentynyl	-CH ₂ CH ₂ S(O) ₂ tBu

265B	3Me3OH-Pentyl	-CH ₂ CH ₂ S(O) ₂ NH ₂
266B	3Me3OH-Pentenyl	-CH ₂ CH ₂ S(O) ₂ NH ₂
267B	3Me3OH-Pentynyl	-CH ₂ CH ₂ S(O) ₂ NH ₂
268B	3Et3OH-Pentyl	-CH ₂ CH ₂ S(O) ₂ NH ₂
269B	3Et3OH-Pentenyl	-CH ₂ CH ₂ S(O) ₂ NH ₂
270B	3Et3OH-Pentynyl	-CH ₂ CH ₂ S(O) ₂ NH ₂
271B	3Me3OH-Pentyl	-CH ₂ CH ₂ S(O) ₂ NMe ₂
272B	3Me3OH-Pentenyl	-CH ₂ CH ₂ S(O) ₂ NMe ₂
273B	3Me3OH-Pentynyl	-CH ₂ CH ₂ S(O) ₂ NMe ₂
274B	3Et3OH-Pentyl	-CH ₂ CH ₂ S(O) ₂ NMe ₂
275B	3Et3OH-Pentenyl	-CH ₂ CH ₂ S(O) ₂ NMe ₂
276B	3Et3OH-Pentynyl	-CH ₂ CH ₂ S(O) ₂ NMe ₂
277B	3Me3OH-Pentyl	-C(O)CH ₂ S(O) ₂ Me
278B	3Me3OH-Pentenyl	-C(O)CH ₂ S(O) ₂ Me
279B	3Me3OH-Pentynyl	-C(O)CH ₂ S(O) ₂ Me
280B	3Et3OH-Pentyl	-C(O)CH ₂ S(O) ₂ Me
281B	3Et3OH-Pentenyl	-C(O)CH ₂ S(O) ₂ Me
282B	3Et3OH-Pentynyl	-C(O)CH ₂ S(O) ₂ Me
283B	3Me3OH-Pentyl	-C(O)CH ₂ CH ₂ S(O) ₂ Me
284B	3Me3OH-Pentenyl	-C(O)CH ₂ CH ₂ S(O) ₂ Me
285B	3Me3OH-Pentynyl	-C(O)CH ₂ CH ₂ S(O) ₂ Me
286B	3Et3OH-Pentyl	-C(O)CH ₂ CH ₂ S(O) ₂ Me
287B	3Et3OH-Pentenyl	-C(O)CH ₂ CH ₂ S(O) ₂ Me
288B	3Et3OH-Pentynyl	-C(O)CH ₂ CH ₂ S(O) ₂ Me
289B	3Me3OH-Pentyl	-CH ₂ CH ₂ CH ₂ S(O) ₂ NH ₂
290B	3Me3OH-Pentenyl	-CH ₂ CH ₂ CH ₂ S(O) ₂ NH ₂
291B	3Me3OH-Pentynyl	-CH ₂ CH ₂ CH ₂ S(O) ₂ NH ₂
292B	3Et3OH-Pentyl	-CH ₂ CH ₂ CH ₂ S(O) ₂ NH ₂
293B	3Et3OH-Pentenyl	-CH ₂ CH ₂ CH ₂ S(O) ₂ NH ₂
294B	3Et3OH-Pentynyl	-CH ₂ CH ₂ CH ₂ S(O) ₂ NH ₂
295B	3Me3OH-Pentyl	-S(O) ₂ Me

296B	3Me3OH-Pentenyl	-S(O)2Me
297B	3Me3OH-Pentynyl	-S(O)2Me
298B	3Et3OH-Pentyl	-S(O)2Me
299B	3Et3OH-Pentenyl	-S(O)2Me
300B	3Et3OH-Pentynyl	-S(O)2Me
301B	3Me3OH-Pentyl	-S(O)2Et
302B	3Me3OH-Pentenyl	-S(O)2Et
303B	3Me3OH-Pentynyl	-S(O)2Et
304B	3Et3OH-Pentyl	-S(O)2Et
305B	3Et3OH-Pentenyl	-S(O)2Et
306B	3Et3OH-Pentynyl	-S(O)2Et
307B	3Me3OH-Pentyl	-S(O)2iPr
308B	3Me3OH-Pentenyl	-S(O)2iPr
309B	3Me3OH-Pentynyl	-S(O)2iPr
310B	3Et3OH-Pentyl	-S(O)2iPr
311B	3Et3OH-Pentenyl	-S(O)2iPr
312B	3Et3OH-Pentynyl	-S(O)2iPr
313B	3Me3OH-Pentyl	-S(O)2tBu
314B	3Me3OH-Pentenyl	-S(O)2tBu
315B	3Me3OH-Pentynyl	-S(O)2tBu
316B	3Et3OH-Pentyl	-S(O)2tBu
317B	3Et3OH-Pentenyl	-S(O)2tBu
318B	3Et3OH-Pentynyl	-S(O)2tBu
319B	3Me3OH-Pentyl	-S(O)2NH2
320B	3Me3OH-Pentenyl	-S(O)2NH2
321B	3Me3OH-Pentynyl	-S(O)2NH2
322B	3Et3OH-Pentyl	-S(O)2NH2
323B	3Et3OH-Pentenyl	-S(O)2NH2
324B	3Et3OH-Pentynyl	-S(O)2NH2
325B	3Me3OH-Pentyl	-S(O)2NMe2
326B	3Me3OH-Pentenyl	-S(O)2NMe2